

42903

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SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: PATEL SUDHAKER Examiner #: 77-018 Date: 5/22/01
 Art Unit: 1624 Phone Number 308-42909 Serial Number: 09612644
 Mail Box and Bldg/Room Location: CM1 1E01 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

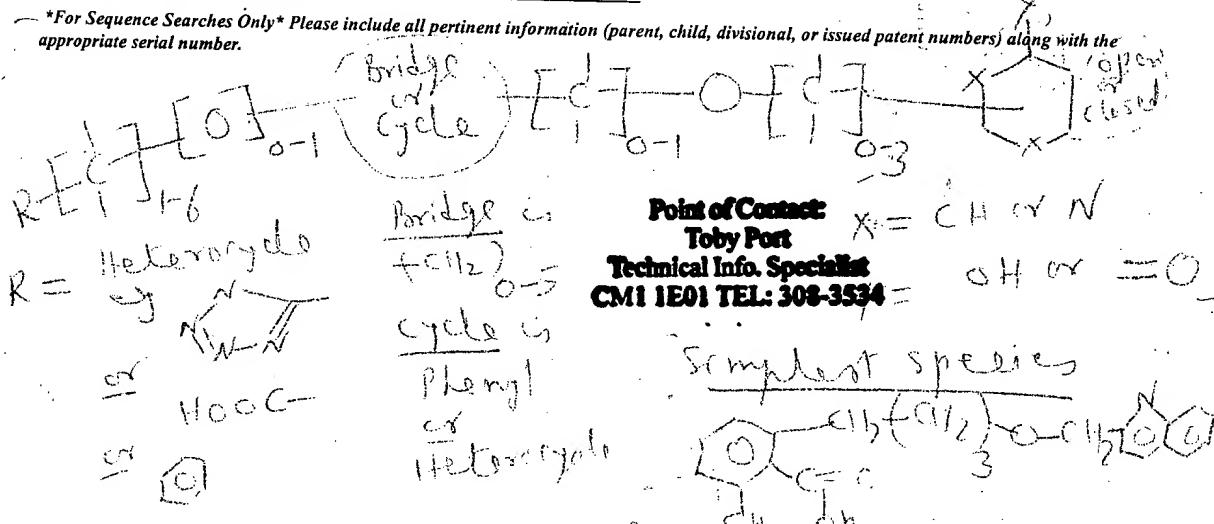
Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: THE THERAPEUTIC USES OF DI-ARYL AMID DERIVATIVES

Inventors (please provide full names): ZAI JAYYUSI et al

Earliest Priority Filing Date: ASAP

For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.



Need info on components & uses as PIROXISOME PROLIFERATOR-ACTIVATED RECEPTOR PPAR for treating obesity, Diabetes II

POINT OF CONTACT:

BARB O'BRYEN

TECH. INFORMATION SPECIALIST
STIC CM1 12C14 308-4291

THT refle

-TT24

STAFF USE ONLY

Searcher: Toby Port + Barb O'BrienSearcher Phone #: 308-3534

Searcher Location: _____

Date Searcher Picked Up: 5/9Date Completed: 5/10Searcher Prep & Review Time: 290

Clerical Prep Time: _____

Online Time: 150

Type of Search

Vendors and cost where applicable

NA Sequence (#) _____ STN _____

AA Sequence (#) _____ Dialog _____

Structure (#) _____ Questel/Orbit _____

Bibliographic 237 Dr.Link _____

Litigation _____ Lexis/Nexis _____

Fulltext _____ Sequence Systems _____

Patent Family _____ WWW/Internet _____

Other _____ Other (specify) _____

L12 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2002 ACS

AN 1989:515036 CAPLUS

DN 111:115036

TI A method of preparing mixed succinic acid esters as juvenile hormones with dual activity

IN Kahovcova, Jitka; Krecek, Jan; Slama, Karel; Romanuk, Miroslav

PA Czech.

SO Czech., 4 pp.

CODEN: CZXXA9

DT Patent

LA Czech

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI CS 248922	B1	19870312	CS 1984-9093	19841128

OS MARPAT 111:115036

AB AR₁CHO₂CCH₂CH₂CO₂CHR₁A₁ (I; R₁ = Cl-3 alkyl) were prep'd. by room temp. esterification of AR₁CHO₂CCH₂CH₂CO₂H (II) with AlCH₂OH (III) in the presence of DCC and a catalytic amt. of 4-(dimethylamino)pyridine (4-DMAP). A mixt. of II (R₁ = Et) 60, III (R₁ = Et) 61, and DCC 41 mg was stirred 2 h at 15-25.degree. in dry Et₂O in the presence of a small amt. of 4-DMAP and left overnight to give 60 mg I (R = Et) which (concn. not specified) completely inhibited development of soldiers and intercastes in *Prorhinotermes simplex* after 16 days.

IT 122156-11-0P

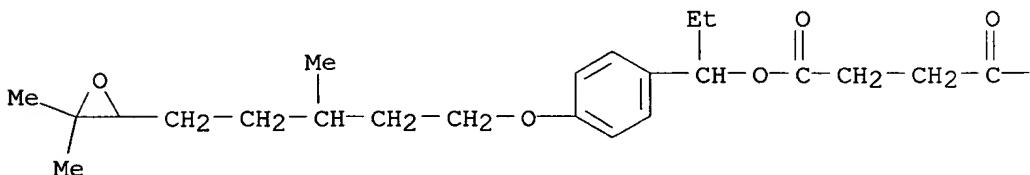
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as juvenile hormone)

> RN 122156-11-0 CAPLUS

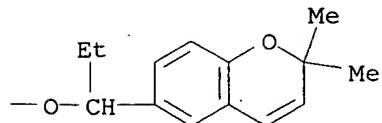
CN Butanedioic acid, 1-(2,2-dimethyl-2H-1-benzopyran-6-yl)propyl
1-[4-[[5-(3,3-dimethyloxiranyl)-3-methylpentyl]oxy]phenyl]propyl ester
(9CI) (CA INDEX NAME)

106

PAGE 1-A

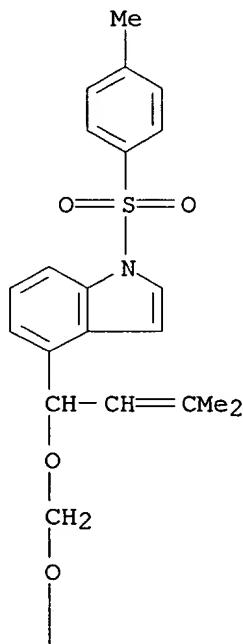


PAGE 1-B

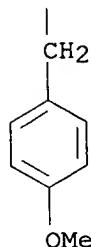


L12 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2002 ACS
 AN 1989:56678 CAPLUS
 DN 110:56678
 TI Protection of alcohols as their (p-methoxybenzyloxy)methyl ethers
 AU Kozikowski, Alan P.; Wu, Jiang Ping
 CS Dep. Chem., Univ. Pittsburgh, Pittsburgh, PA, 15260, USA
 SO Tetrahedron Lett. (1987), 28(43), 5125-8
 CODEN: TELEAY; ISSN: 0040-4039
 DT Journal
 LA English
 OS CASREACT 110:56678
 AB Protection of even tertiary alcs. can be accomplished by treatment with p-methoxybenzyl chloromethyl ether. Deprotection can be effected under mild conditions using DDQ.
 IT 118617-86-0P 118617-88-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and deprotection of)
 RN 118617-86-0 CAPLUS
 CN 1H-Indole, 4-[1-[(4-methoxyphenyl)methoxy]-3-methyl-2-butenyl]-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



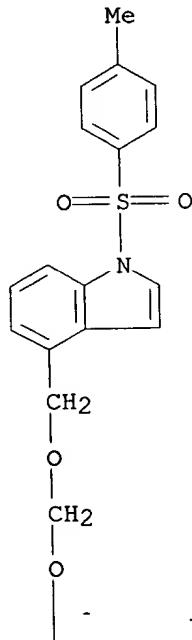
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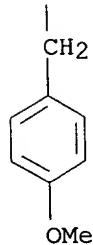
RN 118617-88-2 CAPLUS

CN 1H-Indole, 4-[[[(4-methoxyphenyl)methoxy]methoxy]methyl]-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



Connecting via Winsock to STN

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NEWS 4 Feb 01 DKILIT now produced by FIZ Karlsruhe and has a new update frequency
NEWS 5 Feb 19 Access via Tymnet and SprintNet Eliminated Effective 3/31/02
NEWS 6 Mar 08 Gene Names now available in BIOSIS
NEWS 7 Mar 22 TOXLIT no longer available
NEWS 8 Mar 22 TRCTHERMO no longer available
NEWS 9 Mar 28 US Provisional Priorities searched with P in CA/CAplus and USPATFULL
NEWS 10 Mar 28 LIPINSKI/CALC added for property searching in REGISTRY
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NEWS 17 Apr 22 BIOSIS Gene Names now available in TOXCENTER
NEWS 18 Apr 22 Federal Research in Progress (FEDRIP) now available
NEWS 19 Jun 03 New e-mail delivery for search results now available
NEWS 20 Jun 10 MEDLINE Reload
NEWS 21 Jun 10 PCTFULL has been reloaded
NEWS 22 Jul 02 FOREGE no longer contains STANDARDS file segment
NEWS 23 Jul 19 NTIS to be reloaded July 28, 2002
NEWS 24 Jul 22 USAN to be reloaded July 28, 2002;
saved answer sets no longer valid
NEWS 25 Jul 29 Enhanced polymer searching in REGISTRY
NEWS 26 Jul 30 NETFIRST to be removed from STN

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CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP),
AND CURRENT DISCOVER FILE IS DATED 05 FEBRUARY 2002
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=> ile registry  
ILE IS NOT A RECOGNIZED COMMAND  
The previous command name entered was not recognized by the system.  
For a list of commands available to you in the current file, enter  
"HELP COMMANDS" at an arrow prompt (=>).
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=> fileregistry  
FILEREGISTRY IS NOT A RECOGNIZED COMMAND  
The previous command name entered was not recognized by the system.  
For a list of commands available to you in the current file, enter  
"HELP COMMANDS" at an arrow prompt (>=).
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STRUCTURE FILE UPDATES: 31 JUL 2002 HIGHEST RN 441711-84-8
DICTIONARY FILE UPDATES: 31 JUL 2002 HIGHEST RN 441711-84-8

TSCA INFORMATION NOW CURRENT THROUGH January 7, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:

09446145

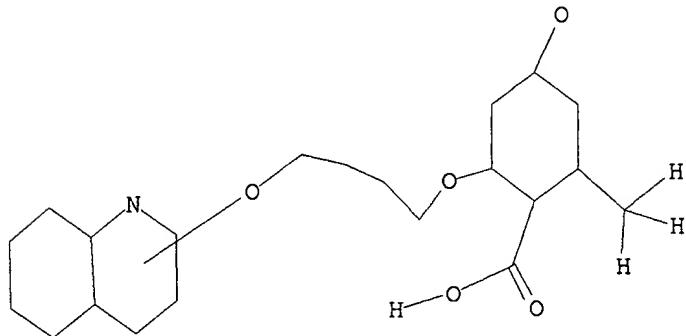
Page 3

<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

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L1 STRUCTURE UPLOADED

=> d 11
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

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100.0% PROCESSED 0 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 0 TO 0
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s 11 sss full
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FULL SCREEN SEARCH COMPLETED - 4 TO ITERATE

100.0% PROCESSED 4 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

L3 0 SEA SSS FUL L1

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COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION

09446145

Page 4

FULL ESTIMATED COST 140.28 140.49

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Patel

<7/29/2002>

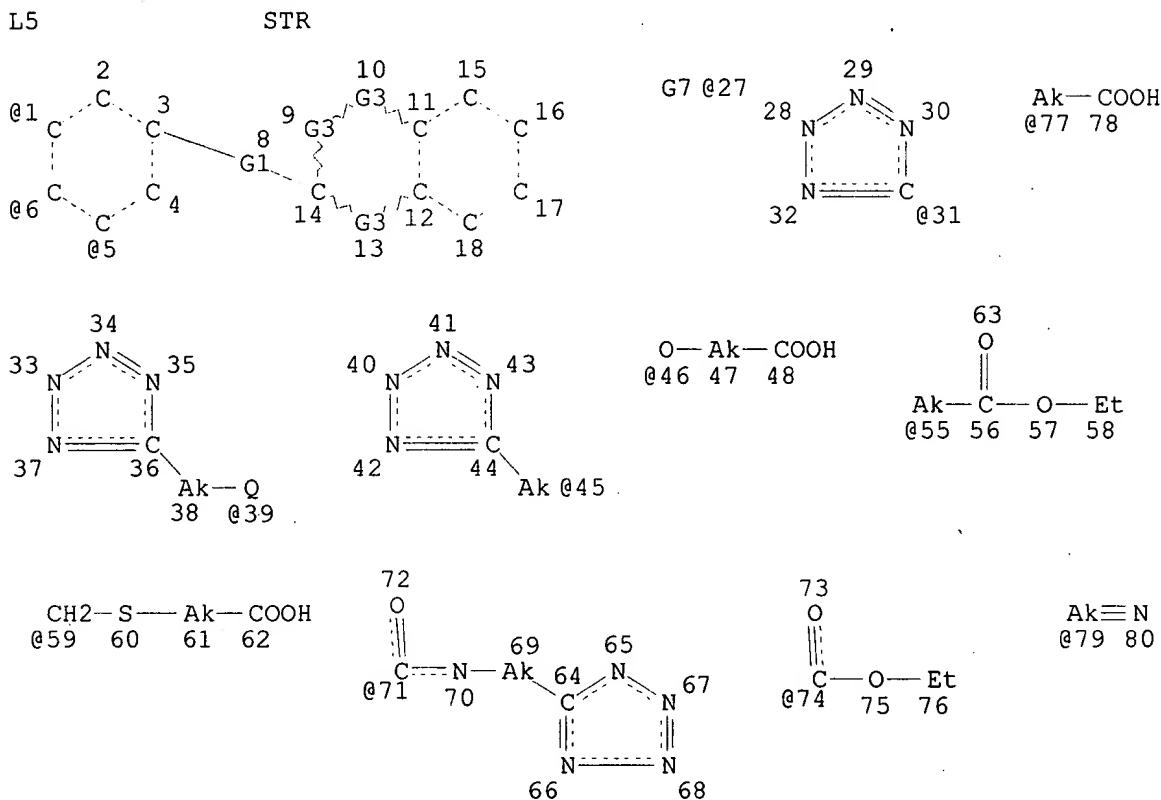
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STRUCTURE FILE UPDATES: 30 MAY 2001 HIGHEST RN 339046-06-9
DICTIONARY FILE UPDATES: 30 MAY 2001 HIGHEST RN 339046-06-9

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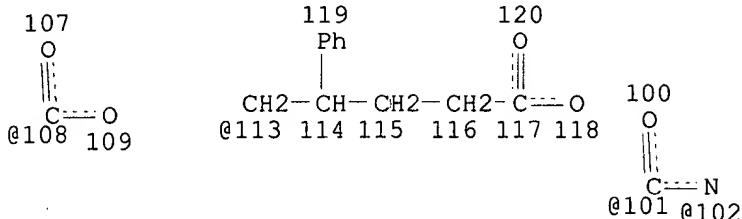
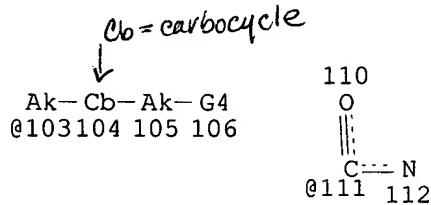
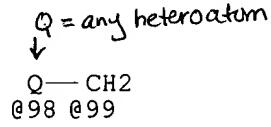
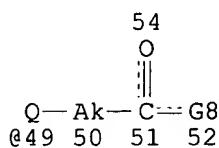
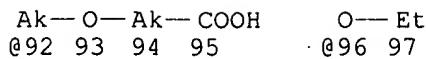
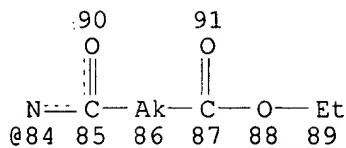
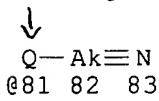
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Structure search limits have been increased. See HELP SLIMIT
for details.



Page 1-A

$\text{Q} = \text{any heteroatom}$



Page 2-A

VAR G1=98-3 99-14/101-3 102-14

VAR G3=N/C

VAR G4=CN/HY/108/111

VAR G7=31/39/45/46/49/55/59/77/71/74/79/81/84/92/103/113

VAR G8=96/N

VPA 27-1/5/6 U

NODE ATTRIBUTES:

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GGCAT IS MCY SAT AT 104
DEFAULT ECLEVEL IS LIMITED
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GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 110

STEREO ATTRIBUTES: NONE

L13 521308 SEA FILE=REGISTRY ABB=ON PLU=ON (NC5-C6 OR NCNC3-C6)/ES
L15 263 SEA FILE=REGISTRY SUB=L13 SSS FUL L5

100.0% PROCESSED 173570 ITERATIONS
SEARCH TIME: 00.00.33

263 ANSWERS

=> file caplus; d que nos 116
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FILE COVERS 1947 - 1 Jun 2001 VOL 134 ISS 24
FILE LAST UPDATED: 31 May 2001 (20010531/ED)

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L5 STR
L13 521308 SEA FILE=REGISTRY ABB=ON PLU=ON (NC5-C6 OR NCNC3-C6)/ES
L15 263 SEA FILE=REGISTRY SUB=L13 SSS FUL L5
L16 69 SEA FILE=CAPLUS ABB=ON PLU=ON L15

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FILE 'USPATFULL' ENTERED AT 14:37:43 ON 01 JUN 2001
CA INDEXING COPYRIGHT (C) 2001 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 29 May 2001 (20010529/PD)
FILE LAST UPDATED: 29 May 2001 (20010529/ED)
HIGHEST PATENT NUMBER: US8411134
CA INDEXING IS CURRENT THROUGH 29 May 2001 (20010529/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 29 May 2001 (20010529/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Apr 2001
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Apr 2001

>>> Page images are available for patents from 1/1/1997. Current <<<
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 >>> fields. This thesaurus includes catchword terms from the <<<
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 >>> available for the WIPO International Patent Classification <<<
 >>> (IPC) Manuals, editions 1-6, in the /IC1, /IC2, /IC3, /IC4, <<<
 >>> /IC5, and /IC (/IC6) fields, respectively. The thesauri in <<<
 >>> the /IC5 and /IC fields include the corresponding catchword <<<
 >>> terms from the IPC subject headings and subheadings. <<<

This file contains CAS Registry Numbers for easy and accurate substance identification.

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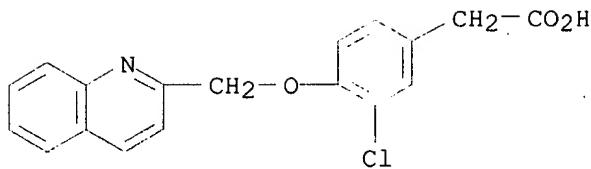
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PROCESSING COMPLETED FOR L17
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          ANSWERS '70-98' FROM FILE USPATFULL
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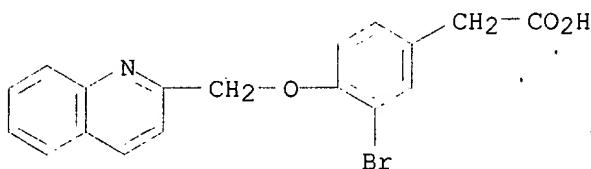
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L19 ANSWER 1 OF 98 CAPLUS COPYRIGHT 2001 ACS           DUPLICATE 1
ACCESSION NUMBER: 1994:508550 CAPLUS
DOCUMENT NUMBER: 121:108550
TITLE: Preparation of 2-substituted quinolines, and their use
       in medicaments
INVENTOR(S): Raddatz, Siegfried; Mohrs, Klaus Helmut; Matzke,
              Michael; Fruchtmann, Romanis; Hatzelmann, Armin;
              Kohlsdorfer, Christian; Mueller-Peddinghaus, Reiner;
              Theisen-Popp, Pia
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: U.S., 26 pp. Cont.-in-part of U.S. Ser. No. 834,734.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:
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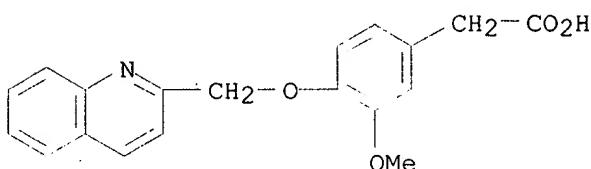
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5304563	A	19940419	US 1992-967881	19921028
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DE 4226649	A1	19940217	DE 1992-4226649	19920812



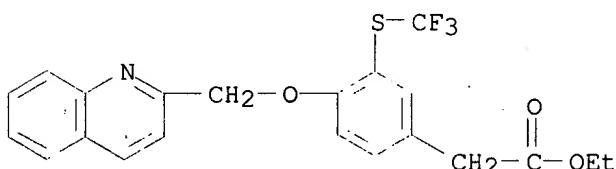
RN 145043-04-5 CAPLUS
 CN Benzeneacetic acid, 3-bromo-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



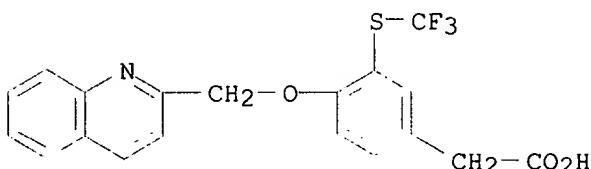
RN 145043-07-8 CAPLUS
 CN Benzeneacetic acid, 3-methoxy-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



RN 145043-08-9 CAPLUS
 CN Benzeneacetic acid, 4-(2-quinolinylmethoxy)-3-[(trifluoromethyl)thio]-, ethyl ester (9CI) (CA INDEX NAME)

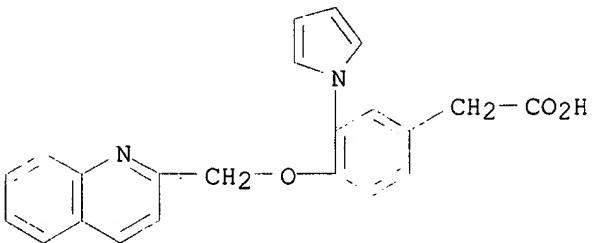


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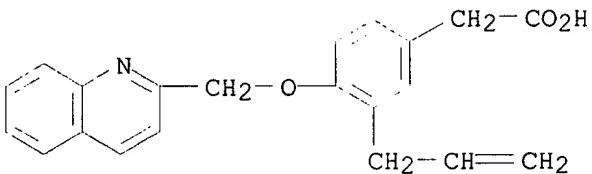
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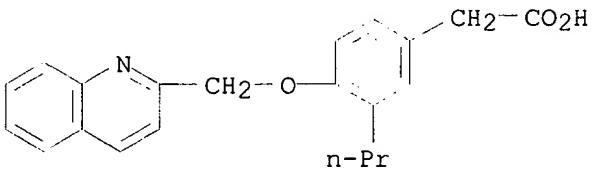
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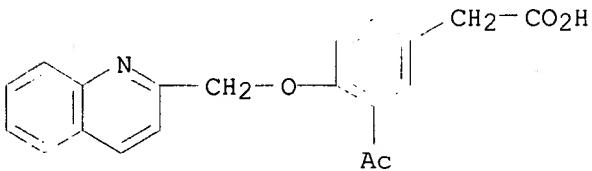
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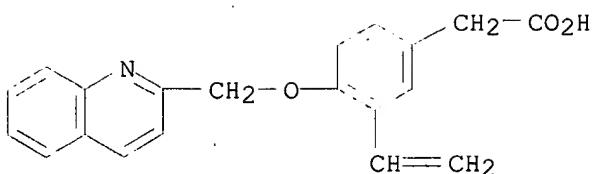
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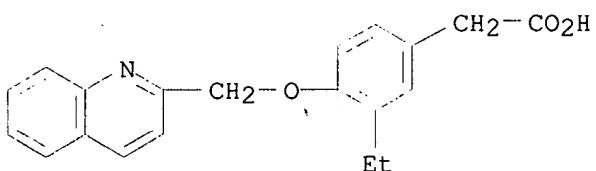
RN 145043-23-8 CAPLUS

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RN 145043-25-0 CAPLUS

CN Benzeneacetic acid, 3-ethyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 2 OF 98 CAPLUS COPYRIGHT 2001 ACS

DUPLICATE 2

ACCESSION NUMBER: 1993:233895 CAPLUS

DOCUMENT NUMBER: 118:233895

TITLE: 2-quinolinyl methoxy compounds, medical uses and intermediates therefor

INVENTOR(S): Nielsen, Ole Bent T.; Ahfelt-Ronne, Ian

PATENT ASSIGNEE(S): Leo Pharmaceutical Products Ltd., Den.

SOURCE: U.S., 23 pp. Cont.-in-part of U.S. 5,109,009.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

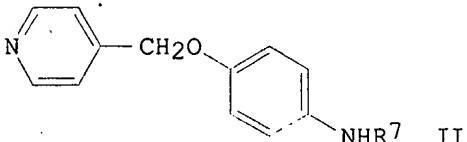
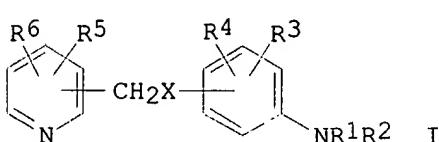
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5157039	A	19921020	US 1990-633390	19901231
US 4826987	A	19890502	US 1986-834542	19860228
US 5109009	A	19920428	US 1990-581121	19900910
PRIORITY APPLN. INFO.:			GB 1985-6094	19850308
			GB 1985-25153	19851011
			US 1986-834542	19860228
			US 1987-140277	19871231
			US 1990-581121	19900910

OTHER SOURCE(S): MARPAT 118:233895

GI

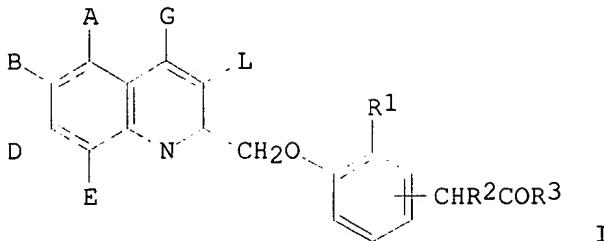


AB The title compds. [I; R1, R2 = H, (un)substituted alkyl, aryl, aralkyl; R3-R6 = H, halo, pseudohalo, cyano, NO2, amino, CO2H, OH, alkyl, alkoxy;

PRIORITY APPLN. INFO.:

DE 1991-4105551 A 19910222
 US 1992-834734 A2 19920212
 DE 1992-4226649 A 19920812

OTHER SOURCE(S) : MARPAT 121:108550
 GI



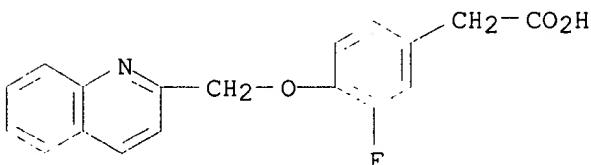
AB Title compds. I (A, B, D, E, G, L = H, HO, halo, NC, HO2C, O2N, F3C, F3CO, C1-8 alkyl, C1-8 alkoxy, (substituted) C6-8 aryl; R1 = halo, NC, O2N, N3, F3C, F3CO, F3CS, C1-8 alkoxy, C1-8 acyl, (substituted) C1-8 alkyl, (substituted) amino, heterocyclyl, etc.; R2 = C3-12 cycloalkyl or -alkenyl; R3 = (substituted) HO, PhO, R8SO2R7N wherein R7 = H, C1-6 alkyl, R8 = (substituted) C6-10 aryl, (substituted) C1-8 alkyl) and a salt thereof useful in particularly as lipoxygenase inhibitors. I are claimed for treatment of allergies/asthma, bronchitis, emphysema, shock lung, pulmonary hypertension, inflammations/rheumatism, edemas, thromboses, ischemias, cardiac and cerebral infarcts, angina pectoris, arteriosclerosis, in tissue transplantation, psoriasis, and cytoprotection in the gastrointestinal tract (no data). Me 3-fluoro-5-hydroxyphenylacetate (prepn. given) in DMF was added to NaOH in MeOH followed by 3-(chloromethyl)quinoline in DMF to give I (A, B, D, E, G, L = H, R1 = F, CHR2COR3 = p-MeOAc). A similar prep'd. compd. I (A, B, D, E, L = H = H, R1 = vinyl, CHR2COR3 = p-2-cyclopentylacetic acid) (II) inhibited 5-lipoxygenase with IC50 at 0.56 .mu.mol/L.

IT 145042-98-4P 145043-02-3P 145043-04-5P
 145043-07-8P 145043-08-9P 145043-09-0P
 145043-14-7P 145043-16-9P 145043-18-1P
 145043-21-6P 145043-23-8P 145043-25-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and reaction of, in prepn. of lipoxygenase inhibitors)

RN 145042-98-4 CAPLUS

CN Benzeneacetic acid, 3-fluoro-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



RN 145043-02-3 CAPLUS

CN Benzeneacetic acid, 3-chloro-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

R5R6 = atoms required to form condensed, (un)substituted arom. ring; X = O, S, SO, SO₂] were prep'd. as arachidonic acid and histamine inhibitors, and drugs. Thus, 4-AcNHC₆H₄OH was condensed with 4-(chloromethyl)pyridine-HCl to give acetanilide II (R7 = Ac). This was deacetylated and methylated to give II (R7 = Me). At 10 .mu.M selected I gave 51-100% inhibition of antigen-induced histamine release from rat peritoneal mast cells.

IT 105349-96-0P 105349-97-1P 146679-80-3P

146679-82-5P 146680-08-2P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as drug)

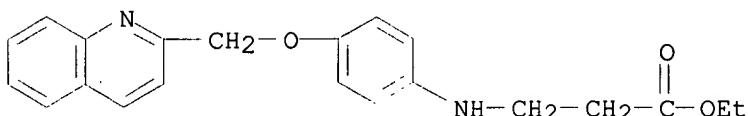
RN 105349-96-0 CAPLUS

CN .beta.-Alanine, N-[4-(2-quinolinylmethoxy)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 105349-97-1 CAPLUS

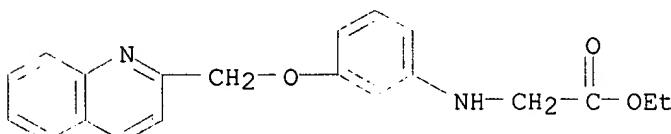
CN .beta.-Alanine, N-[4-(2-quinolinylmethoxy)phenyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 146679-80-3 CAPLUS

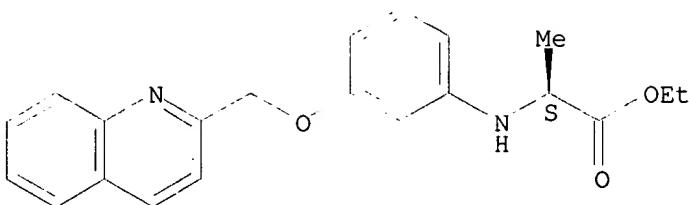
CN Glycine, N-[3-(2-quinolinylmethoxy)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



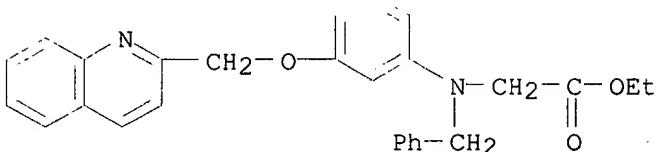
RN 146679-82-5 CAPLUS

CN L-Alanine, N-[3-(2-quinolinylmethoxy)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 146680-08-2 CAPLUS

CN Glycine, N-(phenylmethyl)-N-[3-(2-quinolinylmethoxy)phenyl]-, ethyl ester
(9CI) (CA INDEX NAME)

L19 ANSWER 3 OF 98 CAPLUS COPYRIGHT 2001 ACS

DUPLICATE 3

ACCESSION NUMBER: 1991:408594 CAPLUS

DOCUMENT NUMBER: 115:8594

TITLE: Preparation of quinolinylchromone derivatives for treatment of hypersensitive ailments

INVENTOR(S): Huang, Fu Chih; Campbell, Henry F.; Learn, Keith S.; Galemmo, Robert A., Jr.

PATENT ASSIGNEE(S): Rorer Pharmaceutical Corp., USA

SOURCE: U.S., 20 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4977162	A	19901211	US 1989-379528	19890713
CA 2036381	AA	19910114	CA 1990-2036381	19900709
WO 9101123	A2	19910207	WO 1990-US3847	19900709
WO 9101123	A3	19910307		
W: AU, CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
AU 9061605	A1	19910222	AU 1990-61605	19900709
AU 636087	B2	19930408		
EP 434827	A1	19910703	EP 1990-912046	19900709
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
JP 04501430	T2	19920312	JP 1990-511282	19900709
JP 07121940	B4	19951225		
US 5082849	A	19920121	US 1991-659403	19910308
PRIORITY APPLN. INFO.:			US 1989-379528	19890713
			WO 1990-US3847	19900709
OTHER SOURCE(S):	MARPAT	115:8594		
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

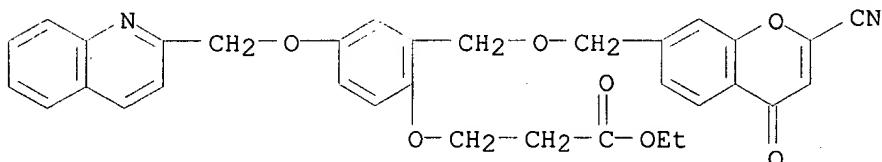
AB The title compds. [I; A = O, S, bond, (substituted) vinylene; B = bond, O, S, SO, SO₂, (substituted) imino, etc.; D = O, S, (substituted) imino, vinylene bond; E = bond, (substituted) vinylene; a, b = 0, 1; c, d, e, f = 0-3; n = 0-2; R = H (substituted) alkyl contg. optional hetero atom, etc., R₁ = H, C₁₋₆ alkyl, PhCH₂, phenethyl; R₂ = H, R₂R₂ = bond; R₃ = H, C₁₋₆ alkyl, alkoxy, OH, etc.; R₄ = H, OH, C₂₋₆ alkoxy, etc., Z = cyano, CO₂R₁, tetrazolyl, etc.], useful as lipoxygenase inhibitors and/or leukotriene antagonists having antiinflammatory and antiallergic properties, are prepd. To a suspension of phosphonium salt II (prepn. given) in DMF was added 80% NaH in oil dispersion with stirring at 0.degree. and a soln. of aldehyde III in DMF was added with stirring to give the vinylene compd. (E)-IV (R₅ = cyano), which (0.90 g) was heated with NH₄Cl and NaN₃ at 100.degree. to give 0.7 g (E)-IV (R₅ = 5-tetrazolyl) (V). V was hydrogenated over 10% Pd-C to give the ethylene deriv. Also prepd. were over 50 addnl. I and intermediates. Slow-reacting substance of anaphylaxis binding assay and LTD₄ binding assay were given.

IT 134138-91-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of antiallergic and antiinflammatory agent)

RN 134138-91-3 CAPLUS

CN Propanoic acid, 3-[2-[[[(2-cyano-4-oxo-4H-1-benzopyran-7-yl)methoxy]methyl]-4-(2-quinolinylmethoxy)phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 4 OF 98 CAPLUS COPYRIGHT 2001 ACS

DUPLICATE 4

ACCESSION NUMBER:

1992:106117 CAPLUS

DOCUMENT NUMBER:

116:106117

TITLE:

Preparation of quinoline derivatives as inflammation and allergy inhibitors

INVENTOR(S):

Huang, Fuchi; Galemmo, Robert A., Jr.; Campbell, Henry F.

PATENT ASSIGNEE(S):

Rorer Pharmaceutical Corp., USA

SOURCE:

U.S., 15 pp. Cont.-in-part of U.S. Ser. No. 116,420.

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 5

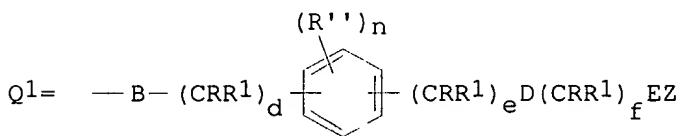
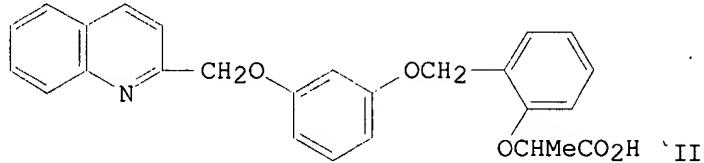
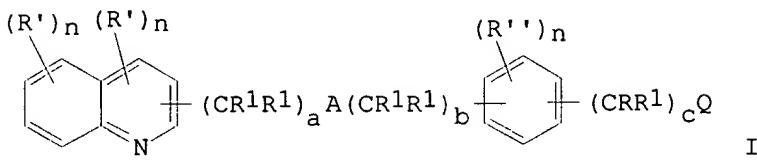
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4920131	A	19900424	US 1988-209428	19880621
US 4920132	A	19900424	US 1987-116420	19871103
US 4920133	A	19900424	US 1987-116428	19871103
US 4920130	A	19900424	US 1987-116597	19871103
AU 8927946	A1	19890601	AU 1989-27946	19881101
AU 633475	B2	19930204		

JP 03500889	T2	19910228	JP 1989-500520	19881101
JP 07107053	B4	19951115		
EP 348155	A1	19891227	EP 1989-306232	19890620
EP 348155	B1	19990512		
R: DE, ES, FR, GB, IT				
WO 8912628	A1	19891228	WO 1989-US2691	19890620
W: JP, US				
EP 784052	A1	19970716	EP 1997-200638	19890620
R: DE, ES, FR, GB, IT				
ES 2134755	T3	19991016	ES 1989-306232	19890620
US 5059610	A	19911022	US 1990-477896	19900420
US 5166210	A	19921124	US 1991-724745	19910702
PRIORITY APPLN. INFO.:				
		US 1987-116420	19871103	
		US 1987-116428	19871103	
		US 1987-116597	19871103	
		US 1988-209428	19880621	
		WO 1988-US3897	19881101	
		EP 1989-306232	19890620	
		US 1990-499513	19900420	

OTHER SOURCE(S): MARPAT 116:106117

GI

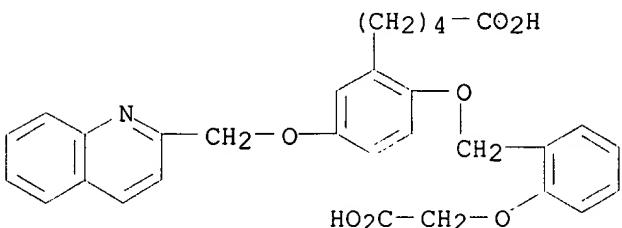


AB The title compds. I [A = O, S; Q = Q1; B = bond, O, S, SO, SO₂, etc.; D = O, S, etc.; E = bond, CR₁:CR₁; a = 0-2; b = 0,1,; c = 0-4; d = 0-5; e = 0-4; f = 0-5; n = 0-2; R' = H, C₁-6 alkyl, OH, C₁-6 alkoxy, CO₂H, etc.; R''' = H, OH, C₁-6 alkoxy, halo, CF₃, etc.; R₁ = H, C₁-6 alkyl, PhCH₂, phenethyl; R = (CH₂)_xX, S(CH₂)_xX, etc.; X = H, C₁-6 alkyl, C₂-6 alkenyl, C₃-6 cycloalkyl, etc.; x = 0-3; Z = CO₂R₁, cyano, CONHSO₂R₃; R₃ = H, C₁-6 alkyl, CF₃, Ph, PhCH₂] were prep'd. I are antagonists of leukotriene D₄ and are useful as inflammation and allergy inhibitors (no data). Reaction of 3-(quinolin-2-yl)methoxyphenol with Et 2-(2-bromomethylphenoxy)propionate in the presence of K₂CO₃, followed by sapon. and workup, gave quinoline II.

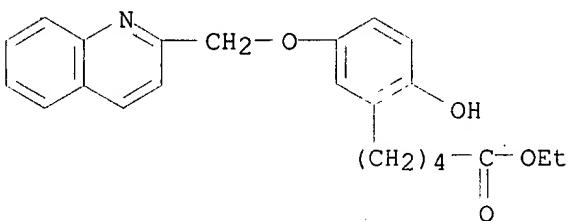
IT 128760-48-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn of, as inflammation and allergy inhibitor)

RN 128760-48-5 CAPLUS
 CN Benzenepentanoic acid, 2-[(2-(carboxymethoxy)phenyl)methoxy]-5-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



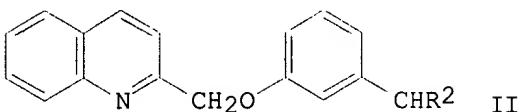
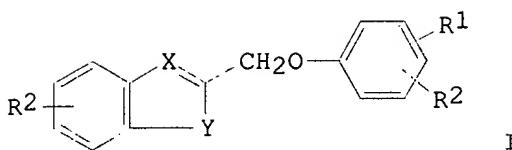
IT 128760-85-0
 RL: RCT (Reactant)
 (reaction of, in prepn. of inflammation and allergy inhibitor)
 RN 128760-85-0 CAPLUS
 CN Benzenepentanoic acid, 2-hydroxy-5-(2-quinolinylmethoxy)-, ethyl ester
 (9CI) (CA INDEX NAME)



L19 ANSWER 5 OF 98 CAPLUS COPYRIGHT 2001 ACS DUPLICATE 5
 ACCESSION NUMBER: 1990:478185 CAPLUS
 DOCUMENT NUMBER: 113:78185
 TITLE: Preparation of 2-(phenoxymethyl)quinolines and analogs as antiallergic and antiinflammatory agents
 INVENTOR(S): Musser, John H.; Kubrak, Dennis M.; Kreft, Anthony F., III; Bender, Reinhold H. W.
 PATENT ASSIGNEE(S): American Home Products Corp., USA
 SOURCE: U.S., 13 pp. Cont.-in-part of U.S. 4,772,703.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 5
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4904786	A	19900227	US 1988-231130	19880811
US 4581457	A	19860408	US 1984-653733	19840921
US 4675405	A	19870623	US 1986-823163	19860127
US 4772703	A	19880920	US 1987-50595	19870515
PRIORITY APPLN. INFO.:			US 1984-653733	19840921
			US 1985-787939	19851016
			US 1986-823163	19860127
			US 1987-50595	19870515

OTHER SOURCE(S): MARPAT 113:78185
 GI



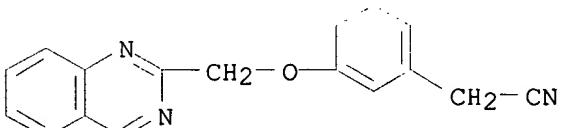
AB The title compds. [I; R1 = (CH₂)_nNR₃SO₂R₅, CH(OR₃)CH₂NR₃R₄, CH(SCH₂CH₂CO₂R₃)₂, etc.; R₂ = H, alkyl, alkoxy(carbonyl), CF₃, NO₂, cyano, halo; R₃ = H, alkyl; R₄ = H, alkyl, CO₂R₃, CONR₃; R₅ = (fluoro)alkyl, (un)substituted Ph; X = N, CR₃; Y = CR₃:N, N:CR₃, CR₃:CR₃, NR₃] were prepd. Thus, 3-HOC₆H₄CHO and HOCH₂CH₂OH were refluxed 2 days with H₂O sepn. in PhMe contg. 4-MeC₆H₄SO₃H and the product refluxed 20 h with 2-chloromethylquinoline in Me₂CO contg. CsCO₃ and KI to give title compd. II (R₂ = OCH₂CH₂O) which was stirred 1 h with HSCH₂CH₂CO₂Me in CH₂C₁₂ contg. BF₃.Et₂O to give II (R = SCH₂CH₂CO₂Me). The latter gave 46% inhibition of leukotriene-induced bronchospasm in guinea pigs at 50 mg/kg intragastrically.

IT 120028-55-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of antiallergic and antiinflammatory agents)

RN 120028-55-9 CAPLUS

CN Benzeneacetonitrile, 3-(2-quinazolinylmethoxy)- (9CI) (CA INDEX NAME)

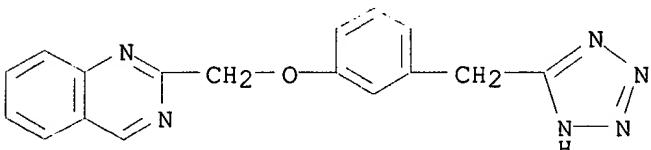


IT 120028-56-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antiallergic and antiinflammatory agent)

RN 120028-56-0 CAPLUS

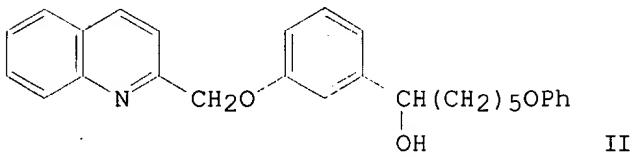
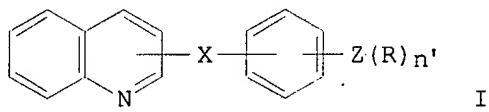
CN Quinazoline, 2-[[3-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



DOCUMENT NUMBER: 111:134011
 TITLE: Heterocyclic (especially quinoline-containing) ethers
 and related compounds having antiinflammatory and
 antiallergic activity
 INVENTOR(S): Musser, John H.; Chakraborty, Utpal R.
 PATENT ASSIGNEE(S): USV Pharmaceutical Corp., USA
 SOURCE: U.S., 15 pp. Division of U.S. Ser. No. 666,430,
 abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4794188	A	19881227	US 1985-810868	19851219
US 4567184	A	19860128	US 1983-530811	19830909
IL 76838	A1	19910131	IL 1985-76838	19851028
ZA 8508347	A	19860924	ZA 1985-8347	19851030
JP 61267532	A2	19861127	JP 1985-241759	19851030
JP 07029952	B4	19950405		
PRIORITY APPLN. INFO.:			US 1982-445876	19821201
			US 1983-530811	19830909
			US 1984-666430	19841030
			IL 1983-70356	19831201
			US 1985-736795	19850522

OTHER SOURCE(S): MARPAT 111:134011
 GI



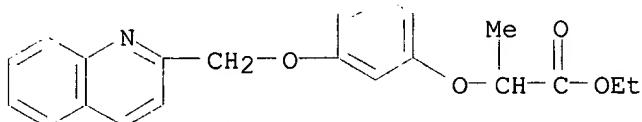
AB Title compds. Ar₁XArZ(R)_{n'} [Ar₁ = (un)substituted N-, S-, or O-contg. heterocyclic ring; Ar = (un)substituted Ph or as for Ar₁; X = O(CHR₁)_n, S(O)n''(CHR₁)_n, NR₂(CHR₁)_n-alkylene, CR₁:CR₁, C.tplbond.C, CH:N, CO₂, C(O)S, CONR₁, CO(CHR₁)_n, CH(OH)(CHR₁)_n; Z = alkylene up to C₁₀ chain and C₁₂ total with 0-2 double bonds and optional bonding to Ar via O, S, or N atom; R = halo, oxo, OR₃, SR₃, N(R₂)₂, R₁, COR₄; R₁ = H, Me; R₂ = H, alkyl, aryl, aralkyl; R₃ = as for R₂, alkanoyl, substituted aryl; R₄ = OR₂, N(R₂)₂; n = 0, 1; n' = 1-7; n'' = 0-2] and esp. quinolines I [arom. ring(s) substituted; further specifications] are prep'd. as antiinflammatory and antiallergic agents. Alkylation of phenol by Br(CH₂)₅Br using NaH in DMF gave 40% PhO(CH₂)₅Br, which underwent Grignard reaction with 3-NaOC₆H₄CHO in THF to give 3-HOC₆H₄CH(OH)(CH₂)₅OPh. Etherification of this alc. with 2-(chloromethyl)quinoline using K₂CO₃ in Me₂CO gave 60% [(phenoxyhydroxyhexyl)phenoxyethyl]quinoline II. The IC₅₀ of II for inhibition of 5-lipoxygenase was 0.6 .mu.M.

IT 104325-53-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and reaction of, in prepn. of antiinflammatory and antiallergic
 agents)

RN 104325-53-3 CAPLUS

CN Propanoic acid, 2-[3-(2-quinolinylmethoxy)phenoxy]-, ethyl ester (9CI)
 (CA INDEX NAME)

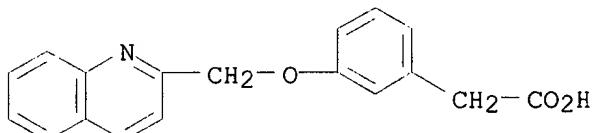


IT 104325-57-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as antiinflammatory and antiallergic agent)

RN 104325-57-7 CAPLUS

CN Benzeneacetic acid, 3-(2-quinolinylmethoxy)-, hydrochloride (9CI) (CA
 INDEX NAME)



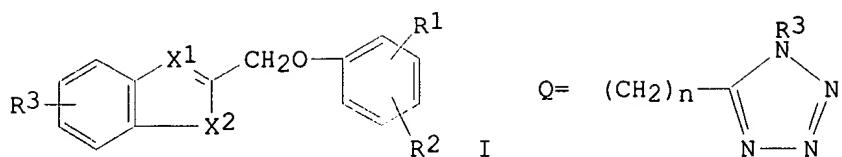
● HCl

L19 ANSWER 7 OF 98 CAPLUS COPYRIGHT 2001 ACS DUPLICATE 7
 ACCESSION NUMBER: 1989:173252 CAPLUS
 DOCUMENT NUMBER: 110:173252
 TITLE: Preparation of 2-(phenoxyethyl)quinazolines and
 analogs as antiallergic and antiinflammatory agents
 INVENTOR(S): Musser, John H.; Kubrak, Dennis M.; Kreft, Anthony F.,
 III; Bender, Reinhold H. W.
 PATENT ASSIGNEE(S): American Home Products Corp., USA
 SOURCE: U.S., 11 pp. Cont.-in-part of U.S. 4,675,405.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 5
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4772703	A	19880920	US 1987-50595	19870515
US 4581457	A	19860408	US 1984-653733	19840921
US 4675405	A	19870623	US 1986-823163	19860127
US 4904786	A	19900227	US 1988-231130	19880811
PRIORITY APPLN. INFO.:			US 1984-653733	19840921
			US 1985-787939	19851016
			US 1986-823163	19860127
			US 1987-50595	19870515

OTHER SOURCE(S) :
GI

MARPAT 110:173252

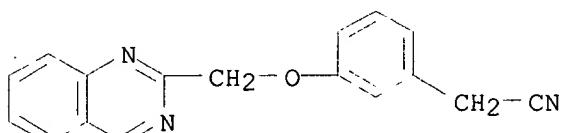


AB The title compds. [I; R1 = $(\text{CH}_2)_n\text{NR}_3\text{SO}_2\text{R}_5$, $\text{R}_3\text{OCHCH}_2\text{NR}_3\text{R}_4$, $(\text{CH}_2)_n\text{CONHSO}_2\text{R}_5$, $(\text{CH}_2)_n\text{CO}_2\text{R}_3$, $(\text{CH}_2)_n\text{CON(R}_3)\text{OR}_3$, tetrazol-5-ylalkyl moiety Q; R2 = H, C1-6 alkyl, C1-6 alkoxy(carbonyl), CF3, halo, NO2, cyano; R3 = H, C1-6 alkyl; R4 = H, C1-6 alkyl, CO2R3, etc.; R5 = C1-6 (fluoro)alkyl, R2C6H4; X1 = CR3, N; X2 = R3C:N, N:CR3, R3C:CR3, NR3; n = 0-5] and their pharmaceutically acceptable salts were prep'd. as antiinflammatory and antiallergic agents. 3-O2NC6H4OH and 2-chloromethylequinazoline were refluxed 20 h in Me2CO contg. Cs2CO3/KI to give 42% 2-[$(3-$ nitrophenoxy)methyl]quinazoline which was reduced with FeSO4 in aq. HCl to give 56% 3-(2-quinazolinylmethoxy)benzenamine. Acylation of the latter with $(\text{CF}_3\text{SO}_2)_2\text{O}$ in CH2Cl2 at -70.degree. in the presence of Et3N gave 22% 1,1,1-trifluoro-N-[3-(2-quinazolinylmethoxy)phenyl]methanesulfonamide (II). In guinea pigs, II inhibited leukotrienes-induced bronchospasm with an ED50 of 2.0 mg/kg intraduodenally (i.d.), and gave 78% inhibition of ovalbumin-induced bronchospasm at 25 mg/kg i.d.

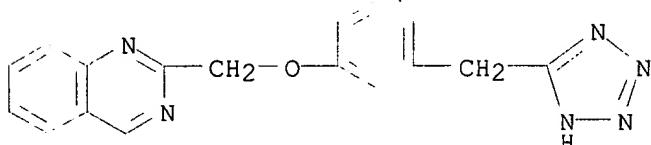
IT 120028-55-9PRL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and cyclocondensation of, with azide)

RN 120028-55-9 CAPLUS

CN Benzeneacetonitrile, 3-(2-quinazolinylmethoxy)- (9CI) (CA INDEX NAME)

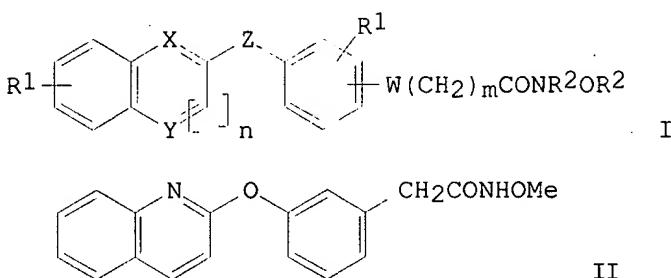
**IT** 120028-56-0PRL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as allergy and inflammation inhibitor)

RN 120028-56-0 CAPLUS

CN Quinazoline, 2-[$(3-$ 1H-tetrazol-5-ylmethyl)phenoxy]methyl- (9CI) (CA INDEX NAME)

DOCUMENT NUMBER: 110:57531
 TITLE: Preparation of quinolinyl benzene hydroxamic acids and
 analogs as anti-inflammatory/antiallergic agents
 INVENTOR(S): Musser, John H.; Kubrak, Dennis M.
 PATENT ASSIGNEE(S): American Home Products Corp., USA
 SOURCE: U.S., 8 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4769461	A	19880906	US 1986-907933	19860916
OTHER SOURCE(S):		MARPAT 110:57531		



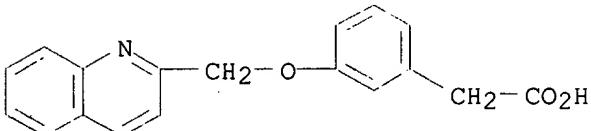
AB The title compds. (I; R1 = H, alkyl, CF₃, alkoxy, alkylthio, OH, SH, NO₂, halo; R2 = H, alkyl; W = bond, O, S, NR₂, CHO, CO, NR₂CO; X = N, R₂C; Y = O, S, NR₂ when n = 0 or N, R₂C when n = 1; Z = CH₂O, CH₂S, CH₂NR₂, O, S, NR₂, CO, CONR₂, CHR₂CHR₂, CR₂:CR₂, C.tplbond.C; m = 0-6 0-5 when W = bond) and their pharmaceutically acceptable salts were prep'd. as 5-lipoxygenase/cyclooxygenase inhibitors, useful as antiinflammatory and antiallergy agents. 3-HOC₆H₄CH₂CO₂Me and 2-(chloromethyl)quinoline were refluxed 40 h in Me₂CO contg. CsCO₃ to give 97% of the quinolinylmethyl ether which was saponified with aq. NaOH in THF to give 94% 3-(2-quinolylmethoxy)benzeneacetic acid. The latter was stirred with MeONH₂.HCl at room temp. in THF contg. Et₃N and [3-(dimethylamino)propyl]ethylcarbodiimide to give 29% benzeneacetohydroxamate II. In guinea pigs 25 mg II/kg gave 90.6% inhibition of leukotrienes-induced bronchospasm. II had an IC₅₀ of 1.8 mg/kg in this test.

IT 104325-55-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and amidation of, by hydroxylamines)

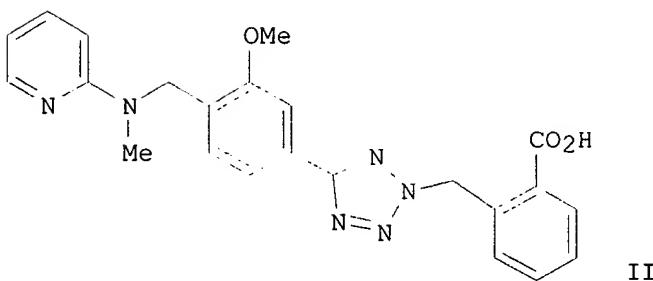
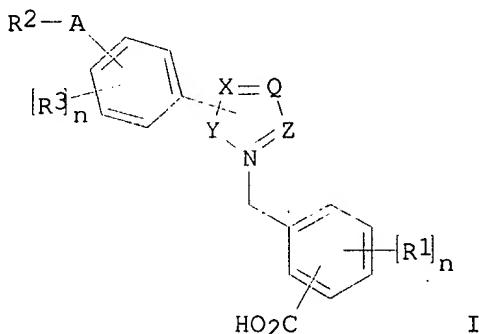
RN 104325-55-5 CAPLUS

CN Benzeneacetic acid, 3-(2-quinolylmethoxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 9 OF 98 CAPLUS COPYRIGHT 2001 ACS
 ACCESSION NUMBER: 2001:137199 CAPLUS
 DOCUMENT NUMBER: 134:178561
 TITLE: Preparation of heterocyclymethyl substituted benzoic acids for the treatment of diabetes mellitus
 INVENTOR(S): Hargreaves, Rodney Brian; Whittamore, Paul Robert Owen
 PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca Limited
 SOURCE: PCT Int. Appl., 59 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001012612	A1	20010222	WO 2000-GB3126	20000814
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			GB 1999-19413	A 19990818
OTHER SOURCE(S):		MARPAT 134:178561		
GI				



AB The title compds. [I; Q, X, Y, Z = CR_a, CR_b:CR_c, N (wherein Ra, Rb, R_c = H, halo, a bond, such that together with the nitrogen atom to which Y and Z are attached, they form a 5-6 membered arom. ring); R₁, R₃ = alkyl, halo, haloalkyl, etc.; n = 0-2; A = alkylene, alkenylene, alkynylene optionally interposed by a heteroatom; R₂ = (un)substituted aryl, heterocyclyl, cycloalkyl] which act as peroxisome proliferator activated receptor (PPAR) agonists, in particular states of insulin resistance including type 2 gamma receptors (PPAR) (data given), and so are useful therapeutically in the treatment of diabetes mellitus, were prepd. E.g., a multi-step synthesis of the benzoic acid II was given.

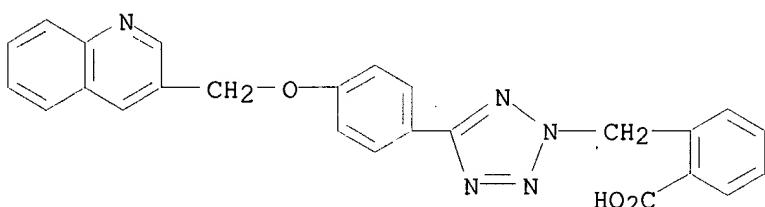
IT 326912-70-3P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of heterocyclymethyl substituted benzoic acids for the treatment of diabetes mellitus)

RN 326912-70-3 CAPLUS

CN Benzoic acid, 2-[(5-[4-(3-quinolinylmethoxy)phenyl]-2H-tetrazol-2-yl)methyl]- (9CI) (CA INDEX NAME)

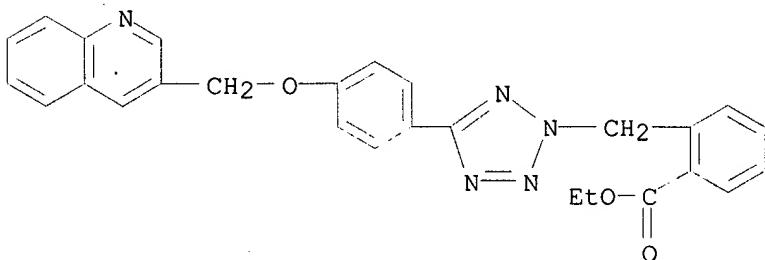


IT 326913-07-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of heterocyclymethyl substituted benzoic acids for the treatment of diabetes mellitus)

RN 326913-07-9 CAPLUS

CN Benzoic acid, 2-[(5-[4-(3-quinolinylmethoxy)phenyl]-2H-tetrazol-2-yl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT:

4

REFERENCE(S):

- (1) Ici America Inc; EP 0179619 A 1986 CAPLUS
- (2) Nishimura, H; WO 9727190 A 1997 CAPLUS
- (3) Sawyer, J; JOURNAL OF MEDICINAL CHEMISTRY 1992, V35(7), P1200 CAPLUS
- (4) Zeneca Ltd; WO 9606822 A 1996 CAPLUS

L19 ANSWER 10 OF 98 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 2000:772622 CAPLUS

DOCUMENT NUMBER: 133:335167
 TITLE: Preparation of diaryl carboxylic acids and derivatives as peroxisome proliferator-activated receptor ligands.
 INVENTOR(S): Jayyosi, Zaid; McGeehan, Gerard M.; Kelley, Michael F.; Labaudiniere, Richard F.; Zhang, Litao; Groneberg, Robert D.; McGarry, Daniel G.; Caulfield, Thomas J.; Minnich, Anne; Bobko, Mark
 PATENT ASSIGNEE(S): Aventis Pharmaceuticals Products Inc., USA
 SOURCE: PCT Int. Appl., 167 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000064888	A1	20001102	WO 2000-US11833	20000428
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 1999-131455 P 19990428

OTHER SOURCE(S): MARPAT 133:335167

AB Ar1(CR1R2)aA(CR3R4)bAr2(CR5R6)cB(CR7R8)dEZ[Ar1, Ar2 = aryl, fused arylcycloalkenyl, fused arylcycloalkyl, fused arylheterocycloalkenyl, fused arylheterocyclyl, heteroaryl, fused heteroarylcyloalkenyl, fused heteroarylcyloalkyl, fused heteroarylheterocyclyl, etc.; A = O, S, SO, SO₂, NR13, CO, NR14CO, CONR15, NR14CONR15, CR14:N, bond, etc.; B = O, S, NR19, bond, CO, NR20CO, CONR20; E = bond, CH₂CH₂; Z = R21O2C, R21OC, cycloimide, cyano, R21O2SHNCO, R21O2SHN, (R21)2NCO, R21O-substituted 2,4-thiazolidinedionyl, tetrazolyl; a, d = 0-6; b, c = 0-4; R1, R3, R5, R7 = H, halo, alkyl, CO₂H, alkoxy carbonyl, aralkyl; R2, R4, R6, R8 = (CH₂)_qX; q = 0-3; R14, R15, R20 = H, alkyl, aralkyl, CO, alkoxy carbonyl; R14R15 = atoms to form a 5-6 membered azaheterocyclyl; R19, R21 = H, aryl, alkyl, cycloalkyl, aralkyl], were prep'd. as agonists or antagonists of the PPAR receptor (no data). Thus, 3-(quinolin-2-ylmethoxy)propan-1-ol in DMPU/THF at 0.degree. was treated with NaH and then with Me 2-bromomethyl-6-methylbenzoate followed by stirring overnight at room temp. to give Me 2-methyl-6-[3-(quinolin-2-ylmethoxy)propoxymethyl]benzoate.

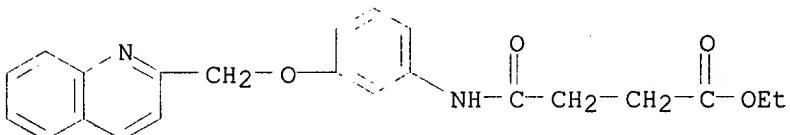
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 304024-39-3P 304024-40-6P 304024-41-7P
 304024-55-3P 304024-62-2P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of diaryl carboxylic acids and derivs. as PPAR ligands)

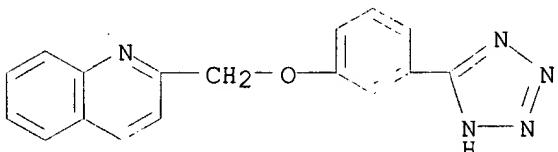
RN 102649-98-9 CAPLUS

CN Butanoic acid, 4-oxo-4-[3-(2-quinolinylmethoxy)phenyl]amino-, ethyl ester (9CI) (CA INDEX NAME)



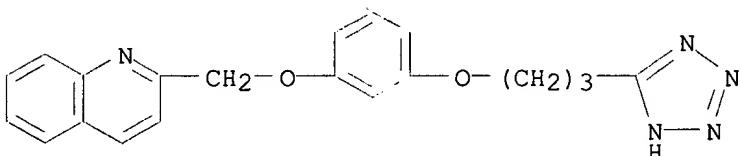
RN 107813-59-2 CAPLUS

CN Quinoline, 2-[[3-(1H-tetrazol-5-yl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



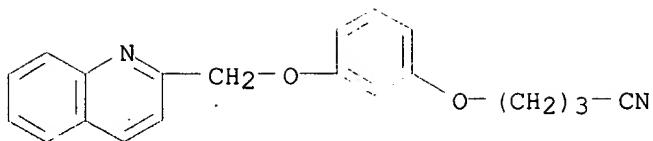
RN 107813-63-8 CAPLUS

CN Quinoline, 2-[[3-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)

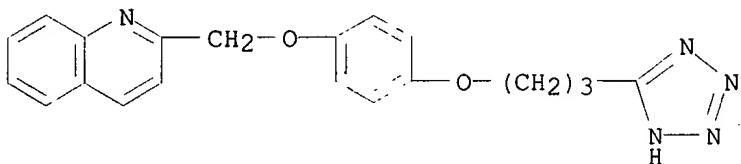


RN 107813-64-9 CAPLUS

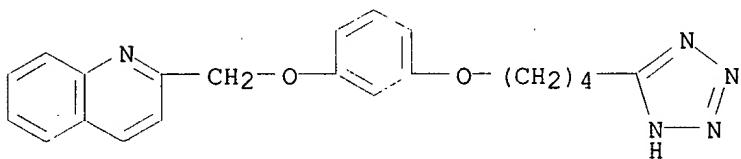
CN Butanenitrile, 4-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



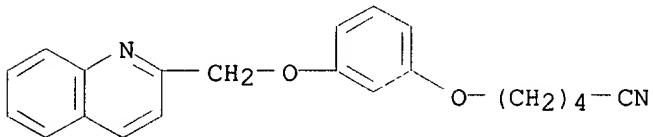
RN 107813-78-5 CAPLUS
 CN Quinoline, 2-[4-(3-(1H-tetrazol-5-yl)propoxy)phenoxy]methyl- (9CI) (CA INDEX NAME)



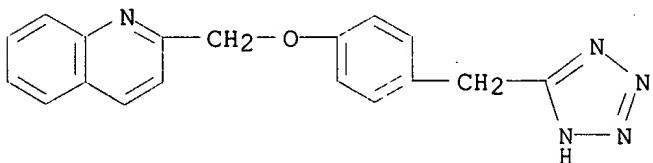
RN 107813-81-0 CAPLUS
 CN Quinoline, 2-[3-[4-(1H-tetrazol-5-yl)butoxy]phenoxy]methyl- (9CI) (CA INDEX NAME)



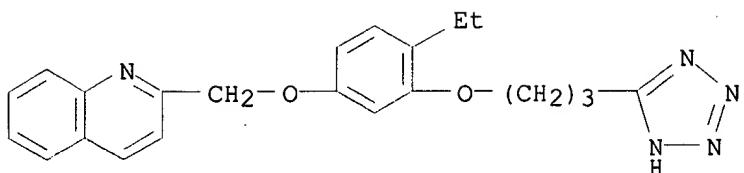
RN 107813-82-1 CAPLUS
 CN Pentanenitrile, 5-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



RN 107813-83-2 CAPLUS
 CN Quinoline, 2-[4-(1H-tetrazol-5-ylmethyl)phenoxy]methyl- (9CI) (CA INDEX NAME)

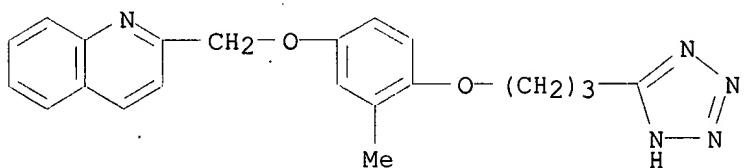


RN 114497-39-1 CAPLUS
 CN Quinoline, 2-[4-ethyl-3-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl- (9CI) (CA INDEX NAME)



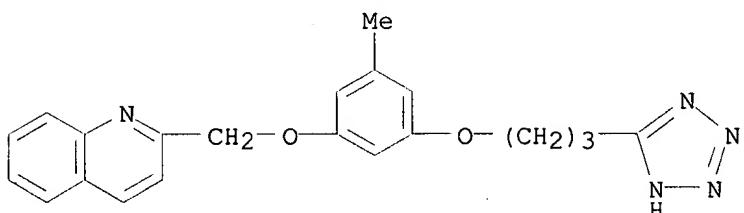
RN 114497-40-4 CAPLUS

CN Quinoline, 2-[(3-methyl-4-[3-(1H-tetrazol-5-yl)propoxy]phenoxy)methyl]- (9CI) (CA INDEX NAME)



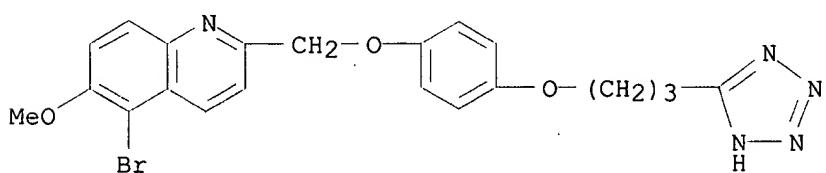
RN 114497-41-5 CAPLUS

CN Quinoline, 2-[(3-methyl-5-[3-(1H-tetrazol-5-yl)propoxy]phenoxy)methyl]- (9CI) (CA INDEX NAME)



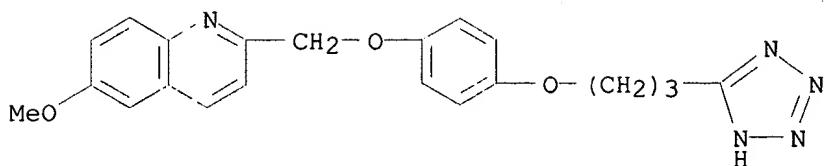
RN 114497-42-6 CAPLUS

CN Quinoline, 5-bromo-6-methoxy-2-[(4-[3-(1H-tetrazol-5-yl)propoxy]phenoxy)methyl]- (9CI) (CA INDEX NAME)

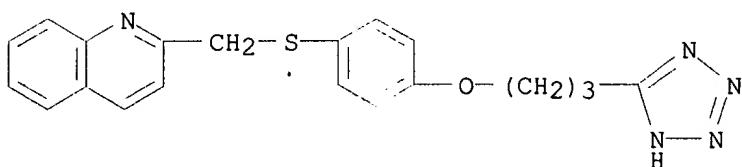


RN 114497-43-7 CAPLUS

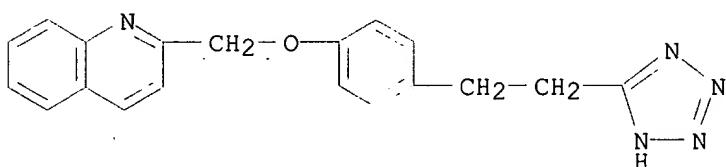
CN Quinoline, 6-methoxy-2-[(4-[3-(1H-tetrazol-5-yl)propoxy]phenoxy)methyl]- (9CI) (CA INDEX NAME)



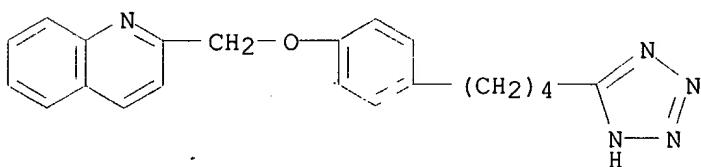
RN 114497-44-8 CAPLUS
 CN Quinoline, 2-[[[4-[3-(1H-tetrazol-5-yl)propoxy]phenyl]thio]methyl]- (9CI)
 (CA INDEX NAME)



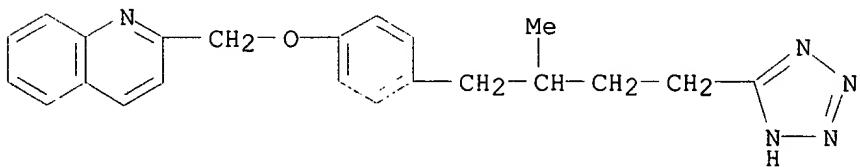
RN 114497-45-9 CAPLUS
 CN Quinoline, 2-[[[4-[2-(1H-tetrazol-5-yl)ethyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



RN 114497-46-0 CAPLUS
 CN Quinoline, 2-[[[4-[4-(1H-tetrazol-5-yl)butyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)

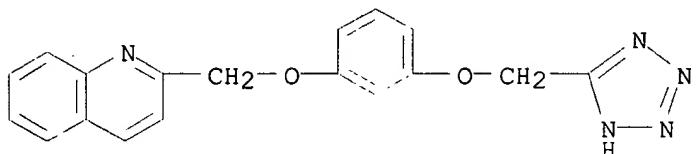


RN 114497-47-1 CAPLUS
 CN Quinoline, 2-[[[4-[2-methyl-4-(1H-tetrazol-5-yl)butyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



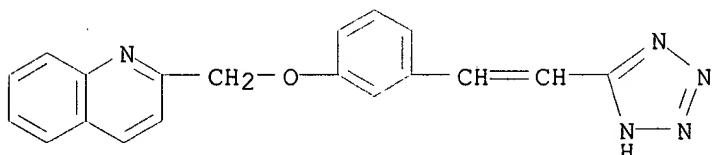
RN 114497-48-2 CAPLUS

CN Quinoline, 2-[[3-(1H-tetrazol-5-ylmethoxy)phenoxy]methyl]- (9CI). (CA INDEX NAME)



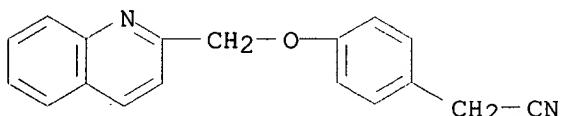
RN 114497-54-0 CAPLUS

CN Quinoline, 2-[[3-[2-(1H-tetrazol-5-yl)ethenyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



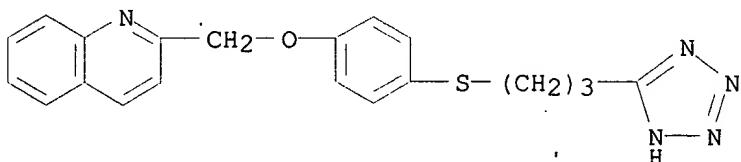
RN 114497-66-4 CAPLUS

CN Benzeneacetonitrile, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



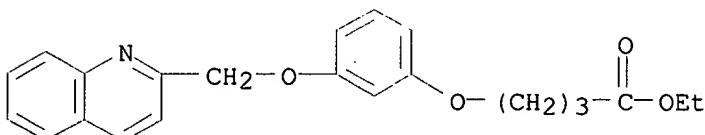
RN 114516-61-9 CAPLUS

CN Quinoline, 2-[[4-[[3-(1H-tetrazol-5-yl)propyl]thio]phenoxy]methyl]- (9CI) (CA INDEX NAME)

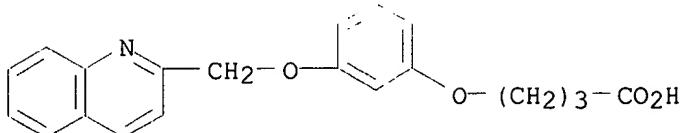


RN 125439-16-9 CAPLUS

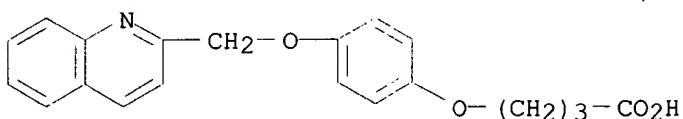
CN Butanoic acid, 4-[3-(2-quinolinylmethoxy)phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



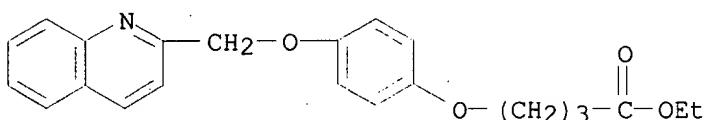
RN 125439-17-0 CAPLUS
 CN Butanoic acid, 4-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



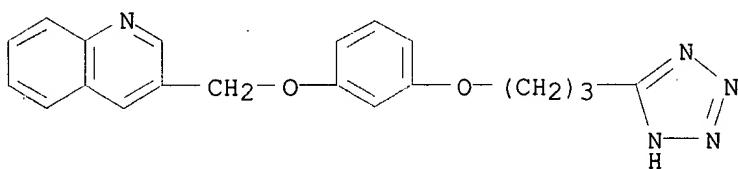
RN 125439-19-2 CAPLUS
 CN Butanoic acid, 4-[4-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



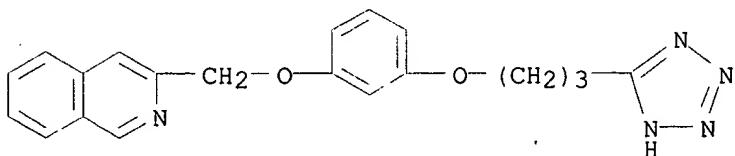
RN 125439-20-5 CAPLUS
 CN Butanoic acid, 4-[4-(2-quinolinylmethoxy)phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



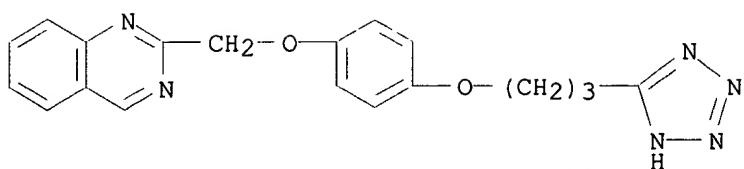
RN 125439-21-6 CAPLUS
 CN Quinoline, 3-[[3-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



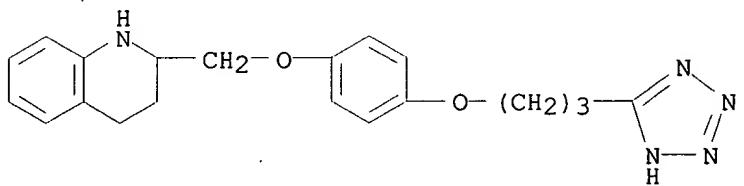
RN 125439-23-8 CAPLUS
 CN Isoquinoline, 3-[[3-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



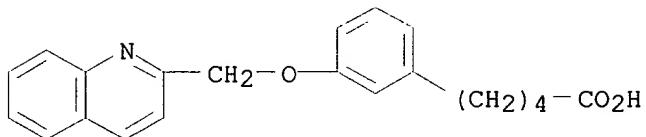
RN 125439-24-9 CAPLUS
 CN Quinazoline, 2-[[4-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



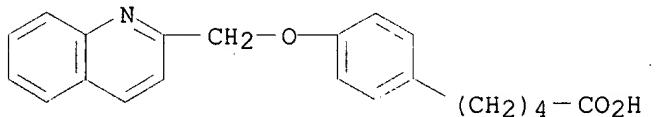
RN 125439-25-0 CAPLUS
 CN Quinoline, 1,2,3,4-tetrahydro-2-[4-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl- (9CI) (CA INDEX NAME)



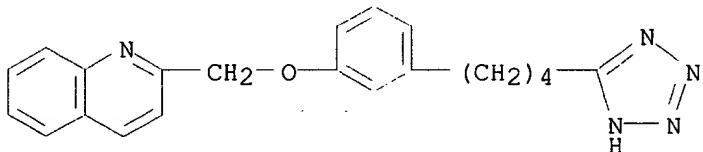
RN 129649-21-4 CAPLUS
 CN Benzenepentanoic acid, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



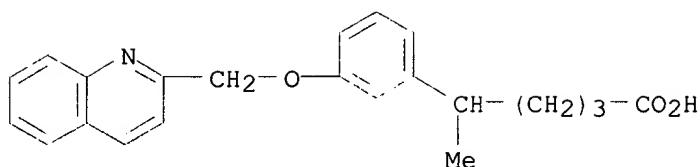
RN 129649-22-5 CAPLUS
 CN Benzenepentanoic acid, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



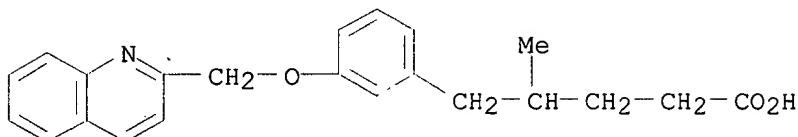
RN 129649-23-6 CAPLUS
 CN Quinoline, 2-[3-[4-(1H-tetrazol-5-yl)butyl]phenoxy]methyl- (9CI) (CA INDEX NAME)



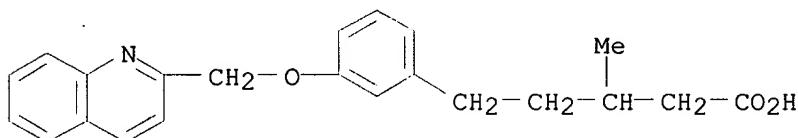
RN 129649-25-8 CAPLUS
 CN Benzenepentanoic acid, .delta.-methyl-3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



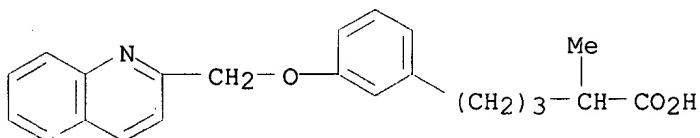
RN 129649-26-9 CAPLUS
 CN Benzenepentanoic acid, .gamma.-methyl-3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



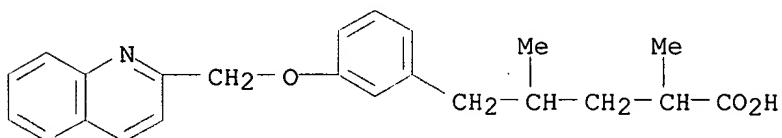
RN 129649-27-0 CAPLUS
 CN Benzenepentanoic acid, .beta.-methyl-3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



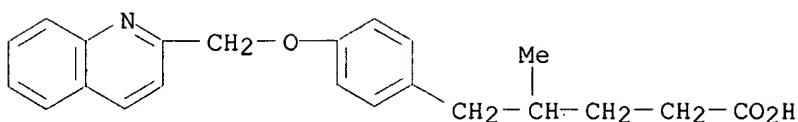
RN 129649-28-1 CAPLUS
 CN Benzenepentanoic acid, .alpha.-methyl-3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



RN 129649-29-2 CAPLUS
 CN Benzenepentanoic acid, .alpha.,.gamma.-dimethyl-3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

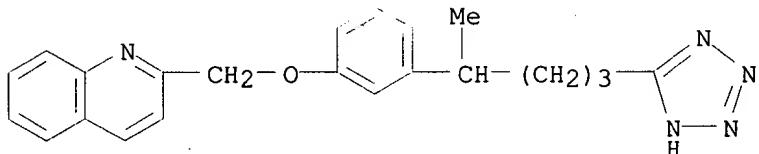


RN 129649-30-5 CAPLUS
 CN Benzenepentanoic acid, .gamma.-methyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



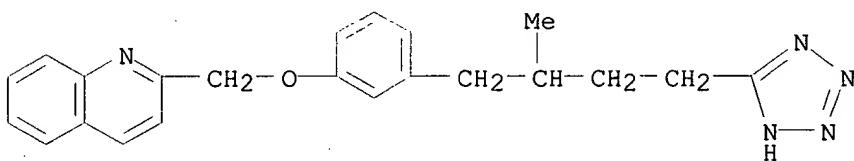
RN 129649-31-6 CAPLUS

CN Quinoline, 2-[3-[1-methyl-4-(1H-tetrazol-5-yl)butyl]phenoxy]methyl- (9CI) (CA INDEX NAME)



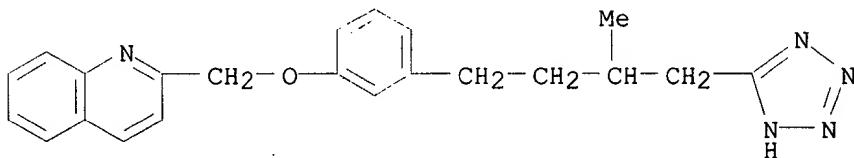
RN 129649-32-7 CAPLUS

CN Quinoline, 2-[3-[2-methyl-4-(1H-tetrazol-5-yl)butyl]phenoxy]methyl- (9CI) (CA INDEX NAME)



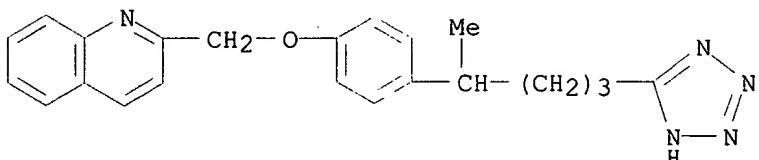
RN 129649-33-8 CAPLUS

CN Quinoline, 2-[3-[3-methyl-4-(1H-tetrazol-5-yl)butyl]phenoxy]methyl- (9CI) (CA INDEX NAME)



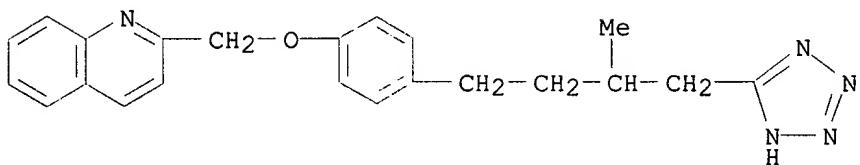
RN 129649-34-9 CAPLUS

CN Quinoline, 2-[4-[1-methyl-4-(1H-tetrazol-5-yl)butyl]phenoxy]methyl- (9CI) (CA INDEX NAME)

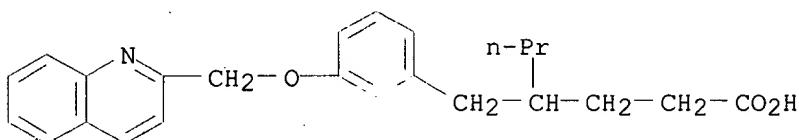


RN 129649-35-0 CAPLUS

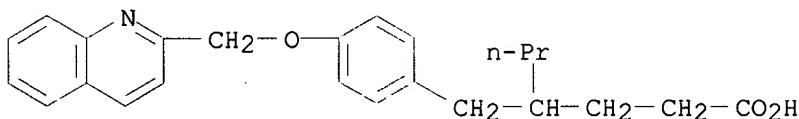
CN Quinoline, 2-[(4-[3-methyl-4-(1H-tetrazol-5-yl)butyl]phenoxy)methyl]-
(9CI) (CA INDEX NAME)



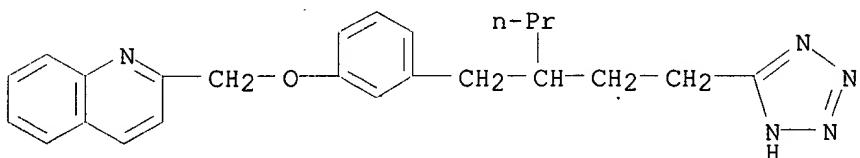
RN 129649-36-1 CAPLUS
CN Benzenepentanoic acid, .gamma.-propyl-3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



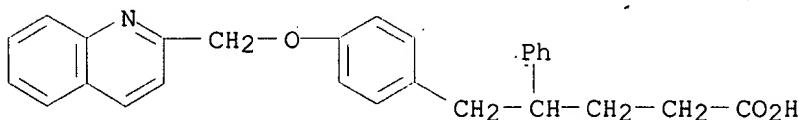
RN 129649-37-2 CAPLUS
CN Benzenepentanoic acid, .gamma.-propyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



RN 129649-38-3 CAPLUS
CN Quinoline, 2-[(3-[2-[2-(1H-tetrazol-5-yl)ethyl]pentyl]phenoxy)methyl]-
(9CI) (CA INDEX NAME)

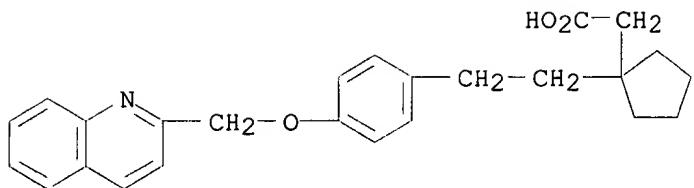


RN 129649-41-8 CAPLUS
CN Benzenepentanoic acid, .gamma.-phenyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

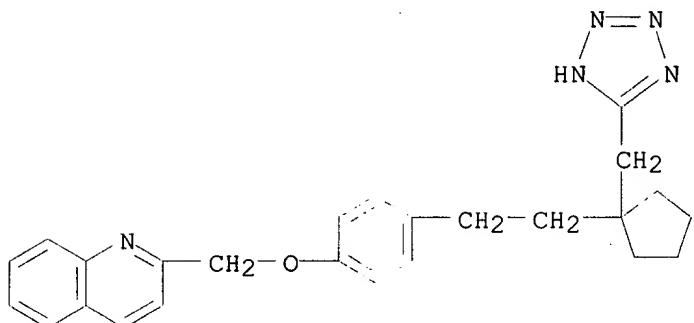


RN 129649-44-1 CAPLUS
CN Cyclopentaneacetic acid, 1-[2-(4-(2-quinolinylmethoxy)phenyl]ethyl]- (9CI)

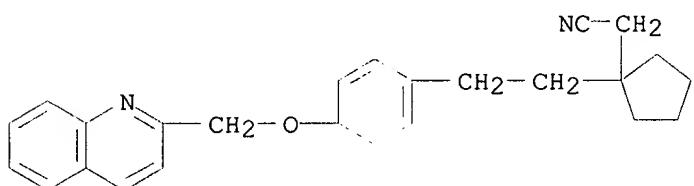
(CA INDEX NAME)



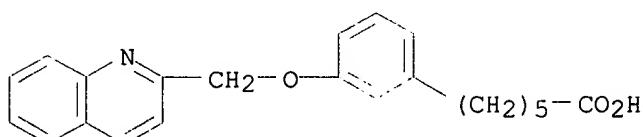
RN 129649-45-2 CAPLUS
 CN Quinoline, 2-[{4-[2-[1-(1H-tetrazol-5-ylmethyl)cyclopentyl]ethyl}phenoxy]methyl- (9CI) (CA INDEX NAME)



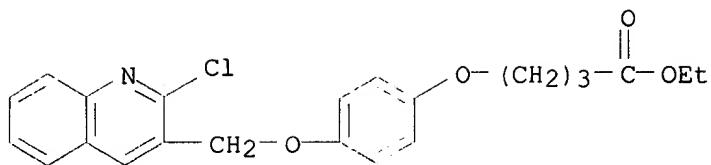
RN 129650-11-9 CAPLUS
 CN Cyclopentaneacetonitrile, 1-[2-[4-(2-quinolinylmethoxy)phenyl]ethyl]- (9CI) (CA INDEX NAME)



RN 221267-52-3 CAPLUS
 CN Benzenehexanoic acid, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

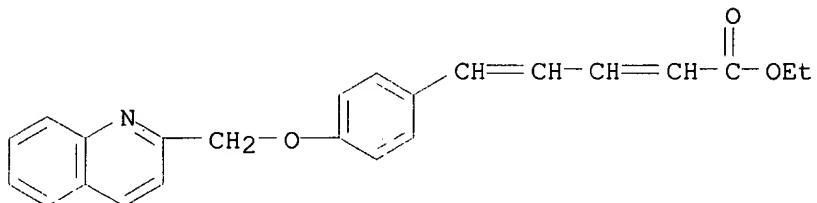


RN 304023-91-4 CAPLUS
 CN Butanoic acid, 4-[4-[(2-chloro-3-quinolinyl)methoxy]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



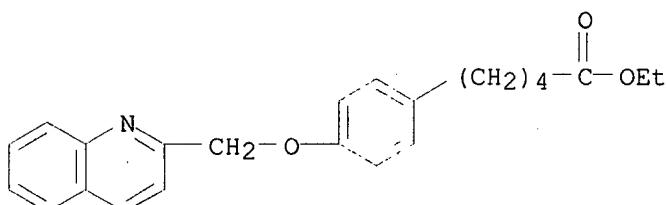
RN 304023-93-6 CAPLUS

CN 2,4-Pentadienoic acid, 5-[4-(2-quinolinylmethoxy)phenyl]-, ethyl ester
(9CI) (CA INDEX NAME)



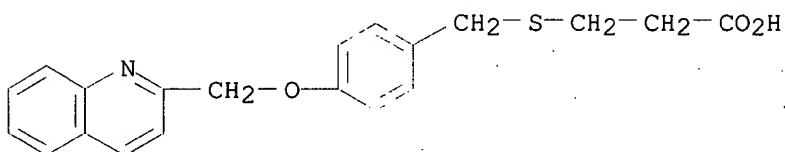
RN 304023-94-7 CAPLUS

CN Benzenepentanoic acid, 4-(2-quinolinylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



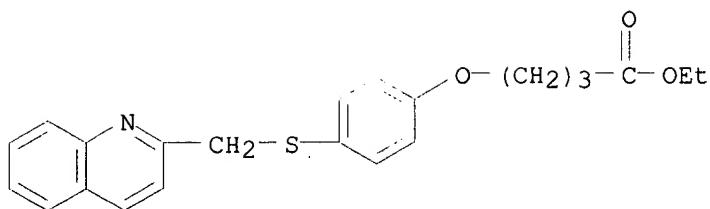
RN 304023-95-8 CAPLUS

CN Propanoic acid, 3-[[[4-(2-quinolinylmethoxy)phenyl]methyl]thio]- (9CI)
(CA INDEX NAME)



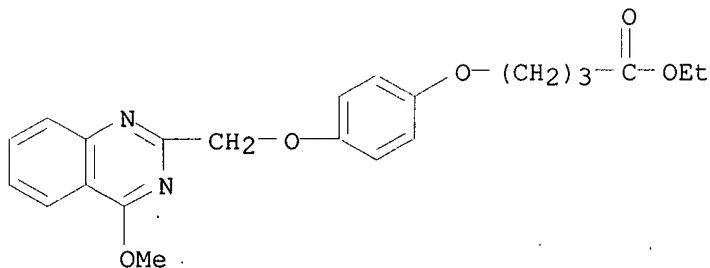
RN 304023-96-9 CAPLUS

CN Butanoic acid, 4-[4-[(2-quinolinylmethyl)thio]phenoxy]-, ethyl ester (9CI)
(CA INDEX NAME)



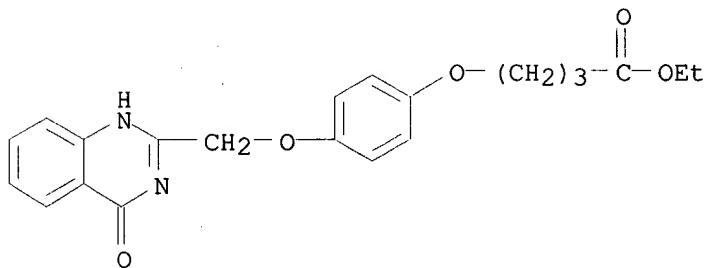
RN 304023-99-2 CAPLUS

CN Butanoic acid, 4-[4-[(4-methoxy-2-quinazolinyl)methoxy]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



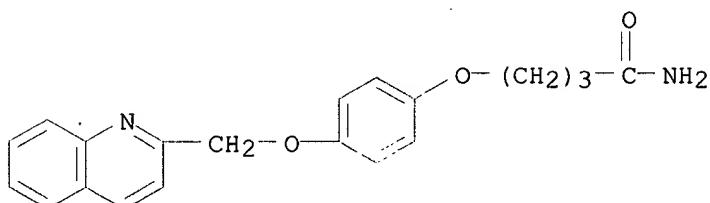
RN 304024-01-9 CAPLUS

CN Butanoic acid, 4-[4-[(1,4-dihydro-4-oxo-2-quinazolinyl)methoxy]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 304024-03-1 CAPLUS

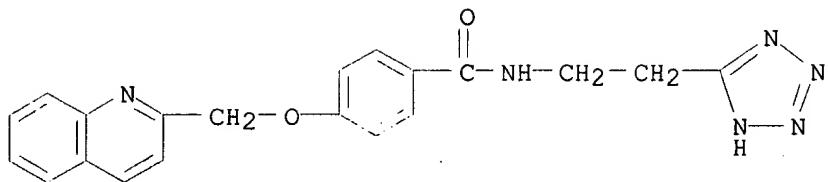
CN Butanamide, 4-[4-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



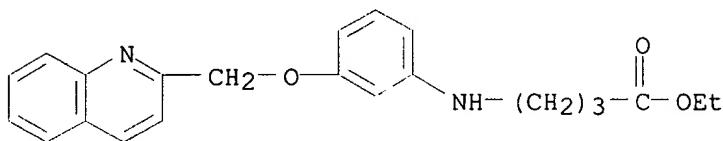
RN 304024-05-3 CAPLUS

CN Benzamide, 4-(2-quinolinylmethoxy)-N-[2-(1H-tetrazol-5-yl)ethyl]- (9CI)

(CA INDEX NAME)

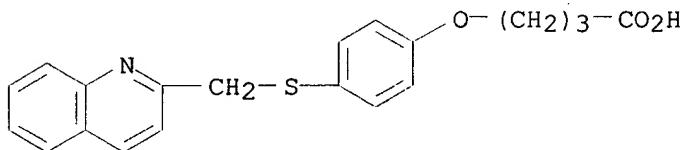


RN 304024-06-4 CAPLUS

CN Butanoic acid, 4-[[(3-(2-quinolinylmethoxy)phenyl)amino]methyl]-, ethyl ester
(9CI) (CA INDEX NAME)

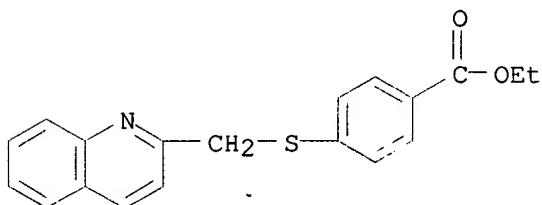
RN 304024-07-5 CAPLUS

CN Butanoic acid, 4-[[(3-(2-quinolinylmethoxy)phenyl)thio]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



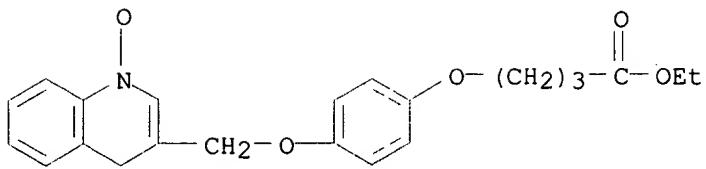
RN 304024-08-6 CAPLUS

CN Benzoic acid, 4-[(2-quinolinylmethyl)thio]-, ethyl ester (9CI) (CA INDEX NAME)

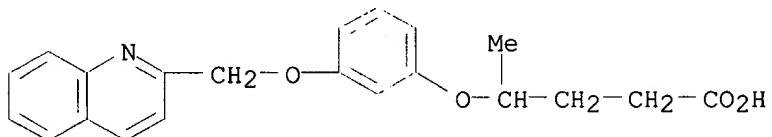


RN 304024-11-1 CAPLUS

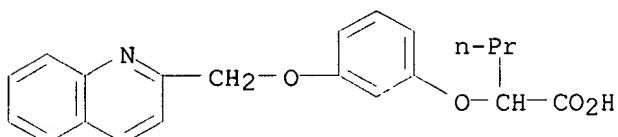
CN 1(4H)-Quinolinylloxy, 3-[[4-(4-ethoxy-4-oxobutoxy)phenoxy]methyl]- (9CI)
(CA INDEX NAME)



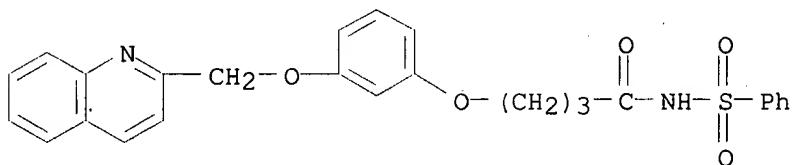
RN 304024-14-4 CAPLUS
 CN Pentanoic acid, 4-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



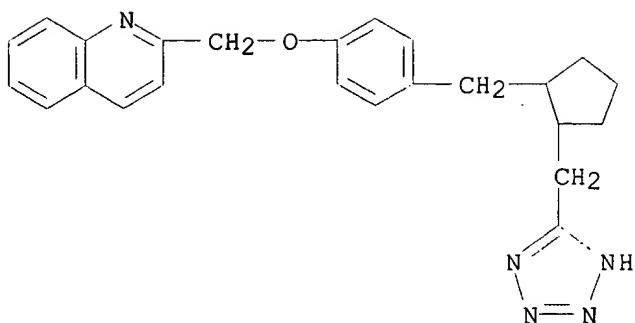
RN 304024-16-6 CAPLUS
 CN Pentanoic acid, 2-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



RN 304024-17-7 CAPLUS
 CN Butanamide, N-(phenylsulfonyl)-4-[3-(2-quinolinylmethoxy)phenoxy]- (9CI)
 (CA INDEX NAME)

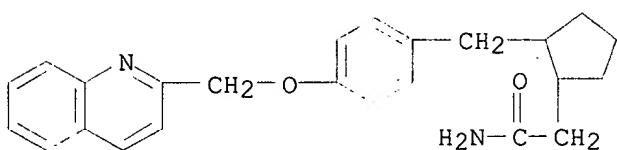


RN 304024-18-8 CAPLUS
 CN Quinoline, 2-[[4-[[2-(1H-tetrazol-5-ylmethyl)cyclopentyl]methyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



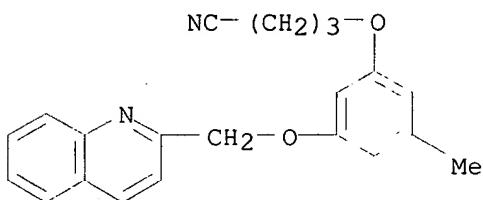
RN 304024-19-9 CAPLUS

CN Cyclopentaneacetamide, 2-[4-(2-quinolinylmethoxy)phenyl]methyl- (9CI)
(CA INDEX NAME)



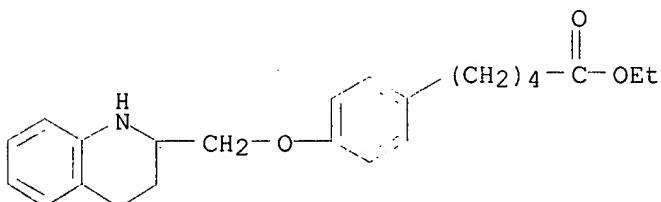
RN 304024-21-3 CAPLUS

CN Butanenitrile, 4-[3-methyl-5-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



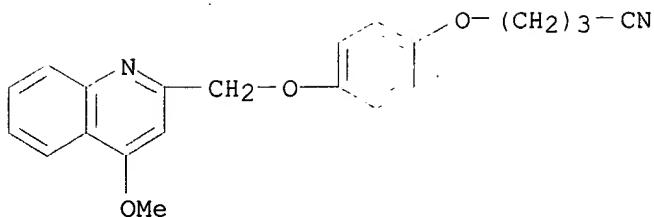
RN 304024-22-4 CAPLUS

CN Benzenepentanoic acid, 4-[(1,2,3,4-tetrahydro-2-quinolinyl)methoxy]-, ethyl ester (9CI) (CA INDEX NAME)

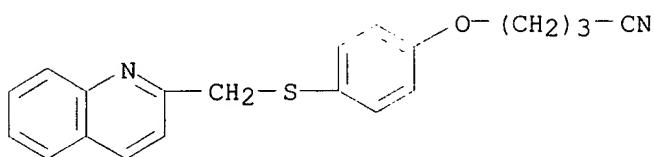


RN 304024-23-5 CAPLUS

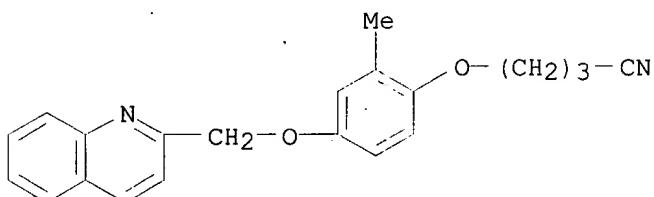
CN Butanenitrile, 4-[4-[(4-methoxy-2-quinolinyl)methoxy]phenoxy]- (9CI) (CA INDEX NAME)



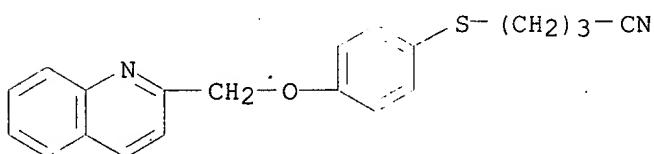
RN 304024-24-6 CAPLUS
 CN Butanenitrile, 4-[4-[(2-quinolinylmethyl)thio]phenoxy]- (9CI) (CA INDEX NAME)



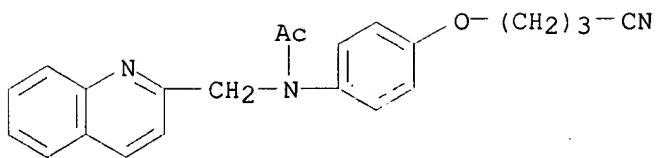
RN 304024-25-7 CAPLUS
 CN Butanenitrile, 4-[2-methyl-4-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



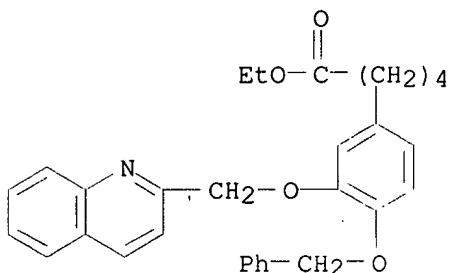
RN 304024-28-0 CAPLUS
 CN Butanenitrile, 4-[4-(2-quinolinylmethoxy)phenyl]thio- (9CI) (CA INDEX NAME)



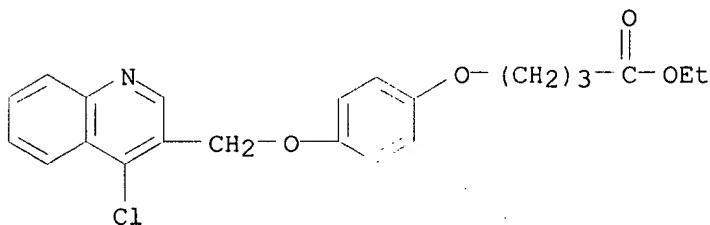
RN 304024-29-1 CAPLUS
 CN Acetamide, N-[4-(3-cyanopropoxy)phenyl]-N-(2-quinolinylmethyl)- (9CI) (CA INDEX NAME)



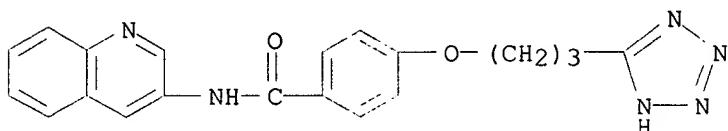
RN 304024-30-4 CAPLUS
 CN Benzenepentanoic acid, 4-(phenylmethoxy)-3-(2-quinolinylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



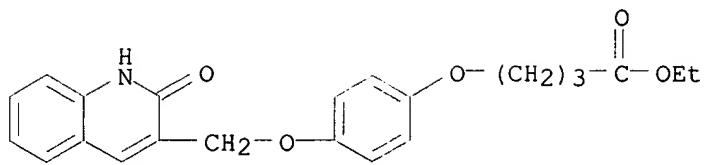
RN 304024-31-5 CAPLUS
 CN Butanoic acid, 4-[4-[(4-chloro-3-quinolinyl)methoxy]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



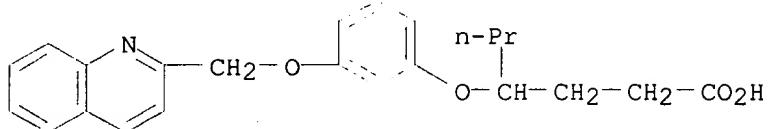
RN 304024-34-8 CAPLUS
 CN Benzamide, N-3-quinolinyl-4-[3-(1H-tetrazol-5-yl)propoxy]- (9CI) (CA INDEX NAME)



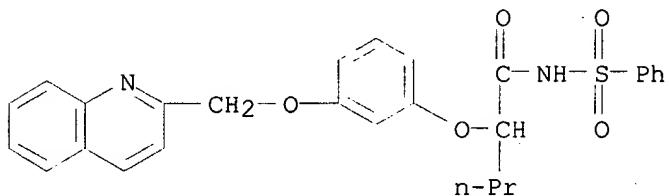
RN 304024-35-9 CAPLUS
 CN Butanoic acid, 4-[4-[(1,2-dihydro-2-oxo-3-quinolinyl)methoxy]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



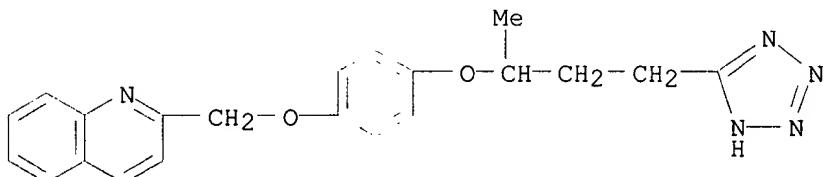
RN 304024-38-2 CAPLUS
 CN Heptanoic acid, 4-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



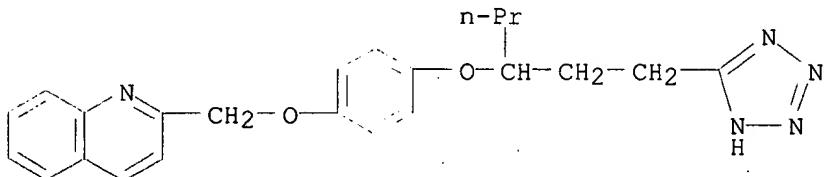
RN 304024-39-3 CAPLUS
 CN Pentanamide, N-(phenylsulfonyl)-2-[3-(2-quinolinylmethoxy)phenoxy]- (9CI)
 (CA INDEX NAME)



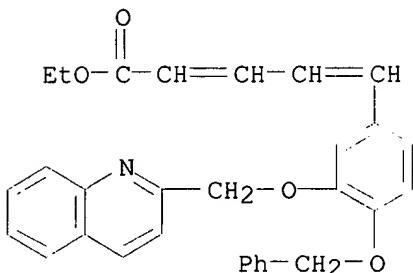
RN 304024-40-6 CAPLUS
 CN Quinoline, 2-[[4-[1-methyl-3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]-
 (9CI) (CA INDEX NAME)



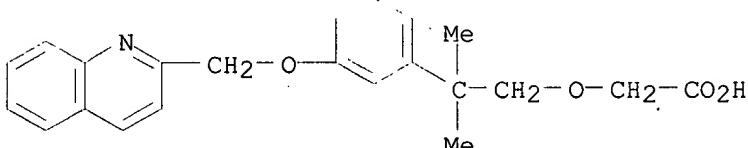
RN 304024-41-7 CAPLUS
 CN Quinoline, 2-[[4-[1-[2-(1H-tetrazol-5-yl)ethyl]butoxy]phenoxy]methyl]-
 (9CI) (CA INDEX NAME)



RN 304024-55-3 CAPLUS
 CN 2,4-Pentadienoic acid, 5-[4-(phenylmethoxy)-3-(2-quinolinylmethoxy)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 304024-62-2 CAPLUS
 CN Acetic acid, [2-methyl-2-[3-(2-quinolinylmethoxy)phenyl]propoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12

REFERENCE(S):

- (1) Dr Reddy'S Research Foundation; WO 9908501 A 1999 CAPLUS
- (2) Dr Reddy'S Research Foundation; WO 9916758 A 1999 CAPLUS
- (3) Imperial Chemical Industries Plc; EP 0520723 A 1992 CAPLUS
- (4) Merck & Co Inc; WO 9728149 A 1997 CAPLUS
- (5) Merck & Co Inc; WO 9727847 A 1997 CAPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 11 OF 98 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 2000:83221 CAPLUS

DOCUMENT NUMBER: 132:137386

TITLE: Preparation of heterocyclalkylbenzamidines and analogs as thrombin inhibitors

INVENTOR(S): Hauel, Norbert; Ries, Uwe; Priepke, Henning; Mihm, Gerhard; Wienen, Wolfgang; Stassen, Jean Marie; Binder, Klaus; Zimmermann, Rainer

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany

SOURCE: Ger. Offen., 58 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

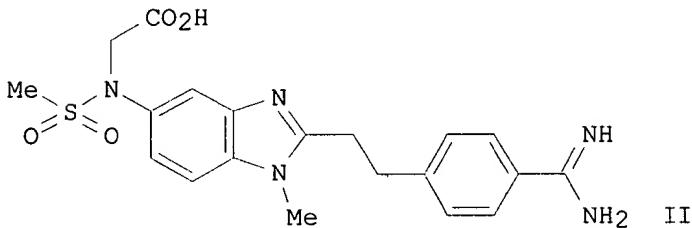
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19834751	A1	20000203	DE 1998-19834751	19980801

US 6121308 A 20000919 US 1999-359487 19990722
 WO 2000008014 A1 20000217 WO 1999-EP5371 19990727
 W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
 DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
 JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
 MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
 TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD,
 RU, TJ, TM
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
 ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
 CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
 AU 9952885 A1 20000228 AU 1999-52885 19990727
 EP 1100795 A1 20010523 EP 1999-938353 19990727
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO
 PRIORITY APPLN. INFO.: DE 1998-19834751 A 19980801
 US 1998-98838 P 19980902
 WO 1999-EP5371 W 19990727

OTHER SOURCE(S): MARPAT 132:137386
GI



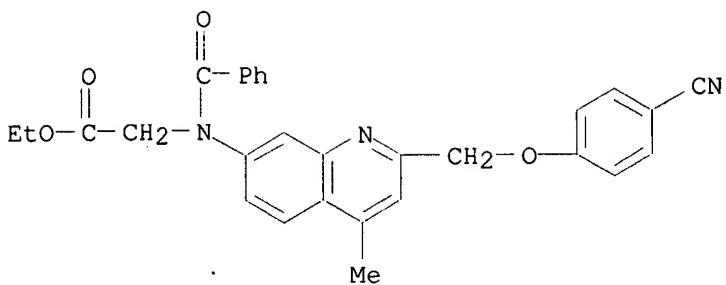
AB RaZZ1ZR [I; R = cyano or C(:NH)NRb; Ra = (alkyl)amino, phenylalkoxy, NR4COR3, etc.; Rb = H, OH, alkyl, metabolically labile group; Z = (un)substituted (hetero)arylene; Z1 = (alkyl-substituted) CH₂CH₂, -OCH₂, -CH₂O, -NHCH₂, etc.; Z2 = indole-, benzimidazole-, benzoxazole-n,2-diyl, quinolinediyl, etc.; n = 4-7] were prepd. Thus, 2-methylamino-5-nitroaniline was cyclocondensed with HO₂CCH₂CH₂C₆H₄(CN)-4 and the reduced product N-substituted by, successively, MeSO₂Cl and BrCH₂CO₂Et to give, after aminolysis and sapon., title compd. II. Data for biol. activity of I were given.

IT 256493-72-8 256493-73-9

RL: RCT (Reactant)
(prepn. of heterocyclalkylbenzamidines and analogs as thrombin inhibitors)

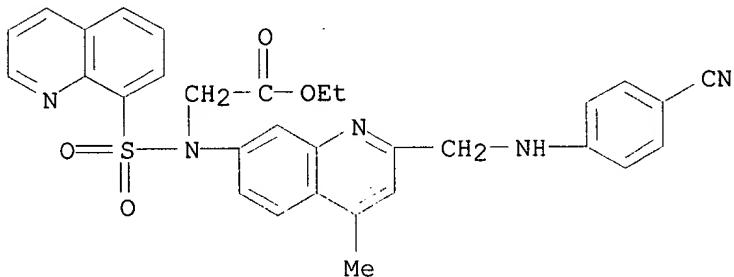
RN 256493-72-8 CAPLUS

CN Glycine, N-benzoyl-N-[2-[(4-cyanophenoxy)methyl]-4-methyl-7-quinolinyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 256493-73-9 CAPLUS

CN Glycine, N-[2-[(4-cyanophenyl)amino]methyl]-4-methyl-7-quinolinyl-N-(8-quinolinylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



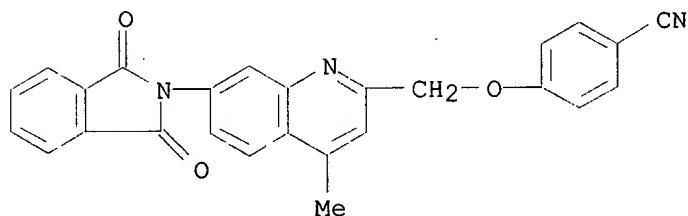
IT 256493-00-2P 256493-01-3P 256493-02-4P

256493-03-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of heterocyclalkylbenzamidines and analogs as thrombin
inhibitors)

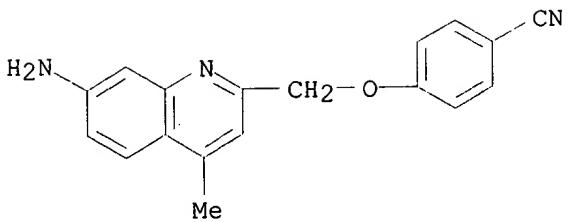
RN 256493-00-2 CAPLUS

CN Benzonitrile, 4-[(7-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-4-methyl-2-quinolinyl)methoxy]- (9CI) (CA INDEX NAME)

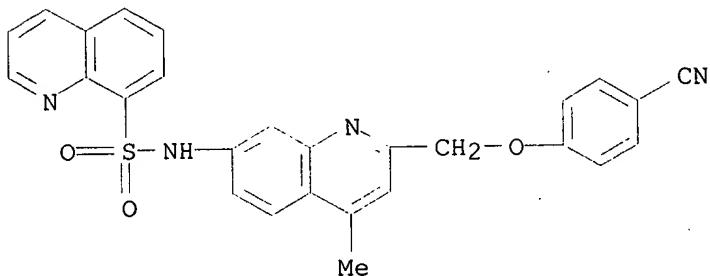


RN 256493-01-3 CAPLUS

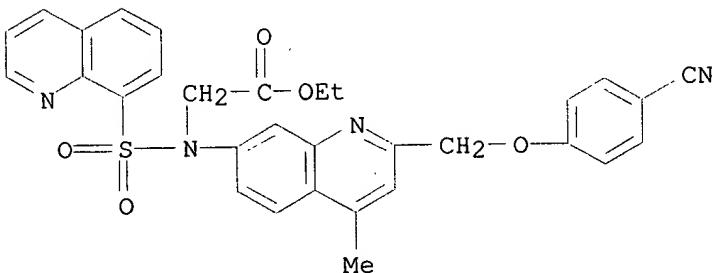
CN Benzonitrile, 4-[(7-amino-4-methyl-2-quinolinyl)methoxy]- (9CI) (CA INDEX
NAME)



RN 256493-02-4 CAPLUS
 CN 8-Quinolinesulfonamide, N-[2-[(4-cyanophenoxy)methyl]-4-methyl-7-quinoliny]- (9CI) (CA INDEX NAME)



RN 256493-03-5 CAPLUS
 CN Glycine, N-[2-[(4-cyanophenoxy)methyl]-4-methyl-7-quinoliny]-N-(8-quinolinylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



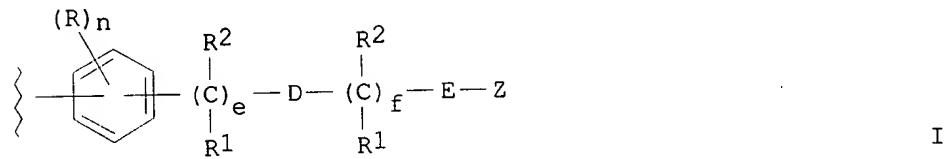
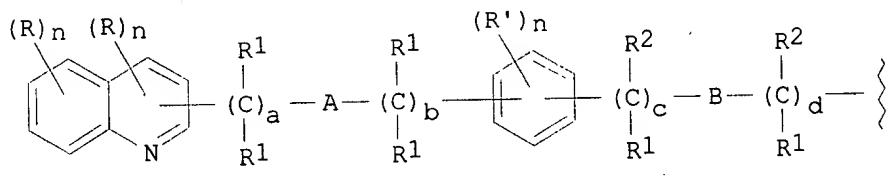
L19 ANSWER 12 OF 98 CAPLUS COPYRIGHT 2001 ACS
 ACCESSION NUMBER: 1999:282096 CAPLUS
 DOCUMENT NUMBER: 130:320864
 TITLE: PPAR-.gamma.-binding quinoline derivatives, their preparation, and their therapeutic use
 INVENTOR(S): Jayyosi, Zaid; McGeehan, Gerard M.; Kelley, Michael F.
 PATENT ASSIGNEE(S): Rhone-Poulenc Rorer Pharmaceuticals Inc., USA
 SOURCE: PCT Int. Appl., 125 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 7
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 9920275	A1	19990429	WO 1998-US21947	19981016
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
AU 9896961	A1	19990510	AU 1998-96961	19981016
EP 1030665	A1	20000830	EP 1998-951075	19981016
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO			
BR 9814087	A	20001003	BR 1998-14087	19981016
NO 2000001962	A	20000616	NO 2000-1962	20000414
PRIORITY APPLN. INFO.:			US 1997-62318	P 19971017
			US 1997-65902	P 19971117
			WO 1998-US21947	W 19981016

OTHER SOURCE(S): MARPAT 130:320864

GI



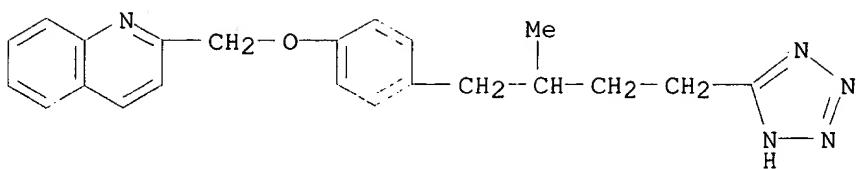
I

AB A method for mediating the activity of PPAR-.gamma. receptor comprises contacting the PPAR-.gamma. receptor with I [A = O, S, (R1)C=C(R1), bond; B = O, S, SO, SO₂, NR1, (R1)C=C(R1), bond; E = bond; a = 0-2; b = 0, 1; c = 0-4; d = 0-5; e = 0-4; f = 0-5; n = 0-2; R = H; R' = H; R1 = H; R2 = (CH₂)_qX, or two vicinal R2 taken together with the carbon atoms through which the two vicinal R2 are linked form cycloalkylene, etc.; q = 0-3; X = H]. Prepn. of I is described. The compds. may be used to treat cardiovascular conditions, diabetes, hyperlipidemia, hypertension, eating disorders, etc.

IT 114497-47-1P 129649-40-7P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

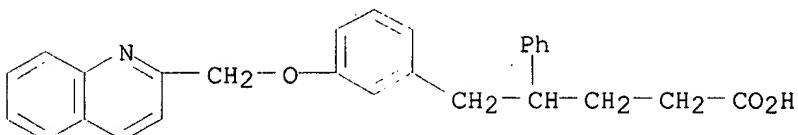
(PPAR-.gamma.-binding quinoline deriv. prepn. and therapeutic use)

RN 114497-47-1 CAPLUS
CN Quinoline, 2-[[4-[2-methyl-4-(1H-tetrazol-5-yl)butyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



RN 129649-40-7 CAPLUS

CN Benzenepentanoic acid, .gamma.-phenyl-3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3

REFERENCE(S):

- (1) Asahi Glass Company Ltd; EP 0709377 A1 1996 CAPLUS
- (2) Merrell Dow Pharmaceutical Inc; WO 9514669 A1 1995 CAPLUS
- (3) Sterne; US 3174901 A 1965 CAPLUS

L19 ANSWER 13 OF 98 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1999:184126 CAPLUS

DOCUMENT NUMBER: 130:237567

TITLE: Preparation of phenylalkanoic acid derivatives as peroxisome proliferator-activated receptor controllers

INVENTOR(S): Tajima, Hisao; Nakayama, Yoshisuke; Fukushima, Daikichi

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 252 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

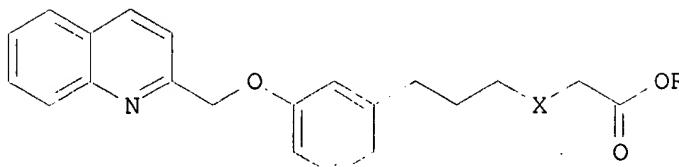
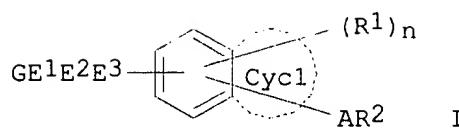
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9911255	A1	19990311	WO 1998-JP3760	19980825
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9887502	A1	19990322	AU 1998-87502	19980825
PRIORITY APPLN. INFO.:			JP 1997-233158	19970828
			JP 1997-348825	19971218
			WO 1998-JP3760	19980825

OTHER SOURCE(S): MARPAT 130:237567

GI



AB Claimed are peroxisome proliferator-activated receptor controllers contg. as the active ingredient compds. represented by general formula [I; R1 = C1-8 alkyl or alkoxy, halo, NO₂, CF₃; R2 = CO₂H, C1-4 alkoxy carbonyl, 1H-tetrazol-5-yl; A = single bond, :CH, C1-8 alkylene or C2-8 alkenylene, :CH-C1-8 alkylene, or :CH-C2-8 alkenylene (wherein one of C1-8 alkylene or C2-8 alkenylene carbon atoms is optionally replaced with S, SO, SO₂, O, NH, or alkyl-N); G = (un)substituted carbocyclic or heterocyclic; E1 = single bond, C1-8 alkylene, C2-8 alkenylene, C2-8 alkynylene; E2 = O, S, NH, C1-8 alkyl-N; E3 = single bond, C1-8 alkylene; n = 0,1; ring Cycl = absent, satd., partially satd., or unsatd. 5- to 7-membered carbocyclic ring; some provisos are given], nontoxic salts thereof, acid addn. salts thereof or hydrates of the same. Because of the activity of controlling a peroxisome proliferator-activated receptor, the compds. of general formula I are useful as hypoglycemic agents, lipid-lowering agents, HDL cholesterol-increasing agents, LDL cholesterol- and/or VLDL cholesterol-lowering agents, risk factor decreasing agents for diabetes and syndrome X, and preventives and/or remedies for diseases caused by metabolic errors, such as diabetes, obesity, syndrome X, hypercholesterolemia and hyperlipoproteinemia, hyperlipemia, arteriosclerosis, hypertension, circulatory diseases, hyperphagia, and ischemic heart diseases. Thus, 5.98 g Me 6-(3-hydroxyphenyl)hexanoate (prepn. given) was stirred with K₂CO₃ in DMF at room temp. for 5 min and then with 2-chloromethylquinoline hydrochloride 7.49, NaI 4.44, and Cs₂CO₃ 8.77 g at room temp. for 3 h to give Me 6-[3-(quinolin-2-ylmethoxy)phenyl]hexanoate (II; X = CH₂, R = Me). Prepn. of 329 compds. I by the solid phase method on Wang resin was also described. II (X = S, R = H) mixed in a feed was fed to mice at 159 mg/kg/day for 8 consecutive days. The blood sugar level was 431.+- .76.4, 309.4.+- .99.5, and 324.5.+- .26.6 mg/dL on day 0, 6, and 9, resp., vs. 440.7.+- .102.7, 442.6.+- .108.3, and 518.8.+- .48.6 mg/dL, resp., for the control. The blood triglyceride level was 429.2.+- .80.6, 248.8.+- .64.7, and 260.6.+- .71.2 mg/dL on day 0, 6, and 9, resp., vs. 436.1.+- .97.5, 367.6.+- .64.1, and 272.3.+- .48.2 mg/dL, resp., for the control. A tablet and an ampule formulation contg. II (X = CH₂, R = H) were described.

IT

104325-55-5P 119514-99-7P 123723-94-4P
 129649-21-4P 186641-59-8P 221259-00-3P
 221259-19-4P 221259-82-1P 221259-98-9P
 221260-83-9P 221261-48-9P 221261-62-7P
 221262-25-5P 221262-39-1P 221262-43-7P
 221267-02-3P 221267-52-3P 221267-56-7P
 221267-60-3P 221267-62-5P 221267-65-8P
 221267-70-5P 221267-81-8P 221267-82-9P
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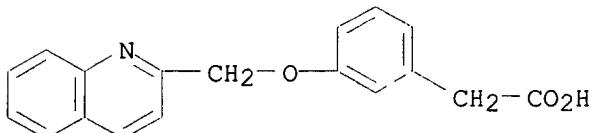
221268-73-1P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of phenylalkanoic acid derivs. as peroxisome proliferator-activated receptor controllers for treatment of diseases)

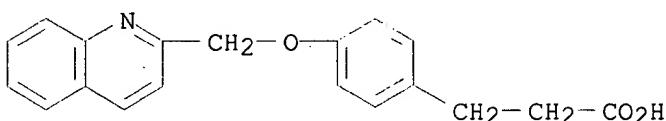
RN 104325-55-5 CAPLUS

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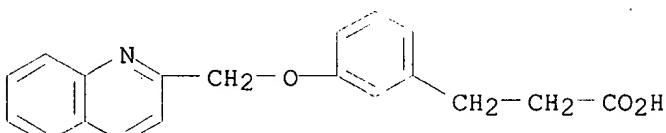
RN 119514-99-7 CAPLUS

CN Benzenepropanoic acid, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



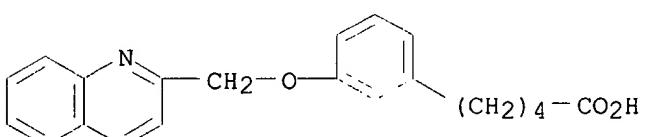
RN 123723-94-4 CAPLUS

CN Benzenepropanoic acid, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



RN 129649-21-4 CAPLUS

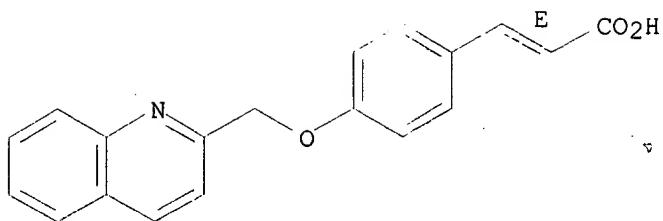
CN Benzenepentanoic acid, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



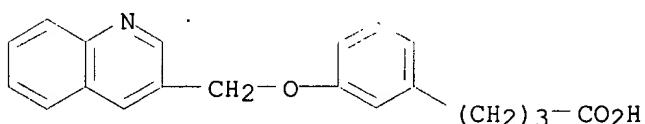
RN 186641-59-8 CAPLUS

CN 2-Propenoic acid, 3-[4-(2-quinolinylmethoxy)phenyl]-, (2E)- (9CI) (CA INDEX NAME)

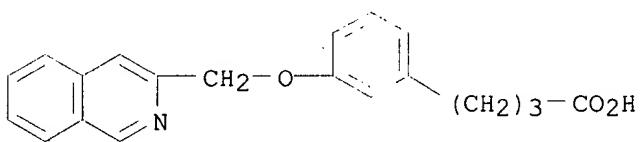
Double bond geometry as shown.



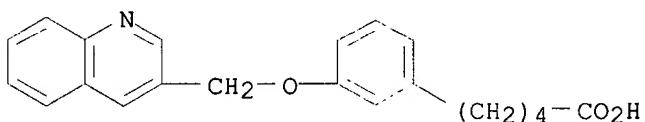
RN 221259-00-3 CAPLUS
 CN Benzenebutanoic acid, 3-(3-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



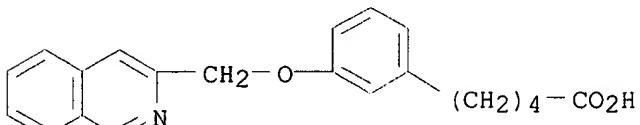
RN 221259-19-4 CAPLUS
 CN Benzenebutanoic acid, 3-(3-isoquinolinylmethoxy)- (9CI) (CA INDEX NAME)



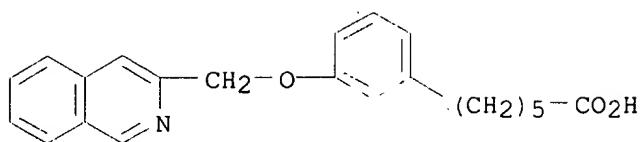
RN 221259-82-1 CAPLUS
 CN Benzenepentanoic acid, 3-(3-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



RN 221259-98-9 CAPLUS
 CN Benzenepentanoic acid, 3-(3-isoquinolinylmethoxy)- (9CI) (CA INDEX NAME)

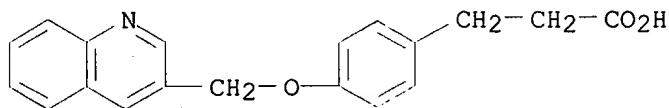


RN 221260-83-9 CAPLUS
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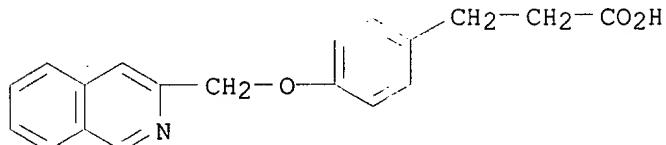
RN 221261-48-9 CAPLUS

CN Benzenepropanoic acid, 4-(3-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



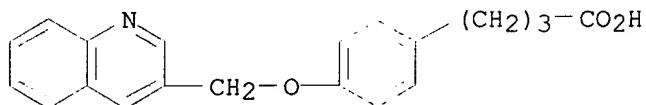
RN 221261-62-7 CAPLUS

CN Benzenepropanoic acid, 4-(3-isoquinolinylmethoxy)- (9CI) (CA INDEX NAME)



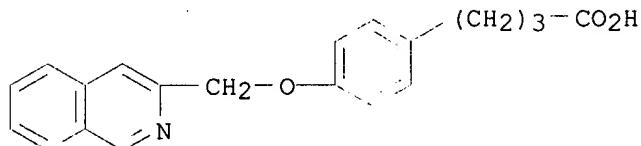
RN 221262-25-5 CAPLUS

CN Benzenebutanoic acid, 4-(3-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



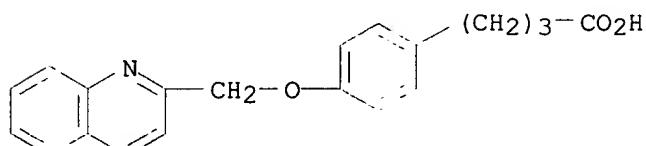
RN 221262-39-1 CAPLUS

CN Benzenebutanoic acid, 4-(3-isoquinolinylmethoxy)- (9CI) (CA INDEX NAME)



RN 221262-43-7 CAPLUS

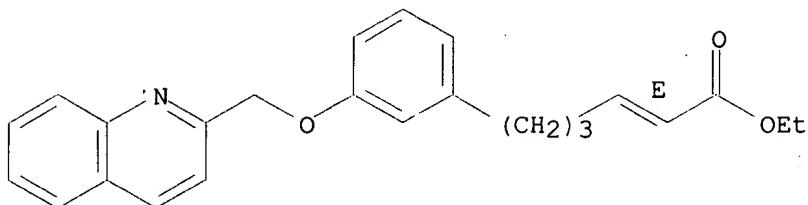
CN Benzenebutanoic acid, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



RN 221267-02-3 CAPLUS

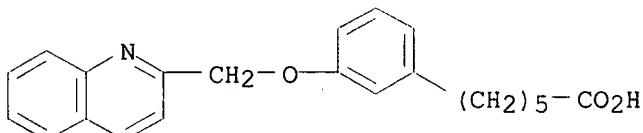
CN 2-Hexenoic acid, 6-[3-(2-quinolinylmethoxy)phenyl]-, ethyl ester, (2E)-
(9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 221267-52-3 CAPLUS

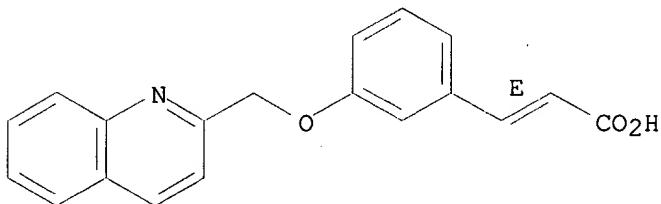
CN Benzenehexanoic acid, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



RN 221267-56-7 CAPLUS

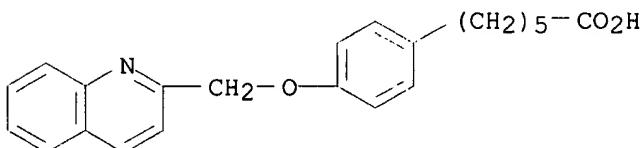
CN 2-Propenoic acid, 3-[3-(2-quinolinylmethoxy)phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



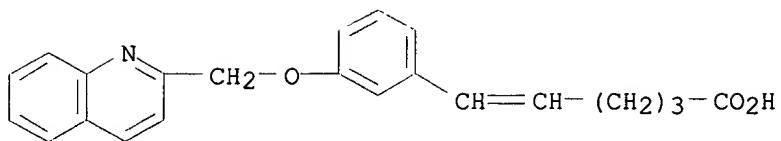
RN 221267-60-3 CAPLUS

CN Benzenehexanoic acid, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

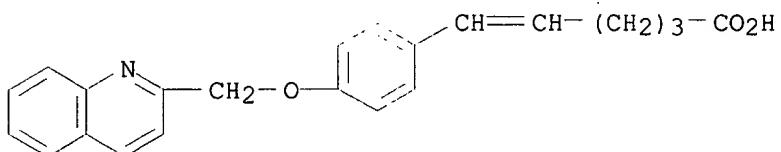


RN 221267-62-5 CAPLUS

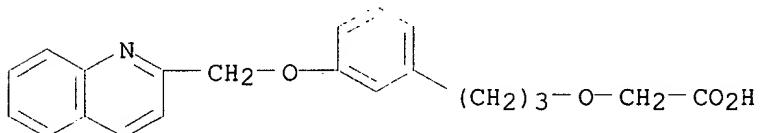
CN 5-Hexenoic acid, 6-[3-(2-quinolinylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



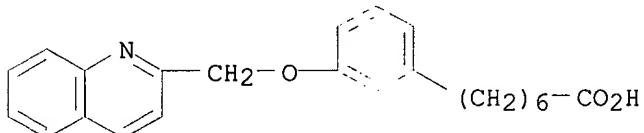
RN 221267-65-8 CAPLUS
 CN 5-Hexenoic acid, 6-[4-(2-quinolinylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



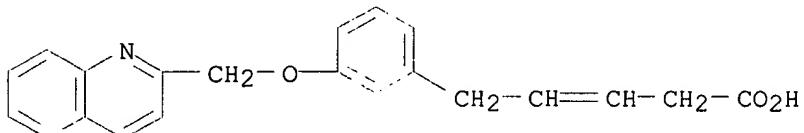
RN 221267-70-5 CAPLUS
 CN Acetic acid, [3-[3-(2-quinolinylmethoxy)phenyl]propoxy]- (9CI) (CA INDEX NAME)



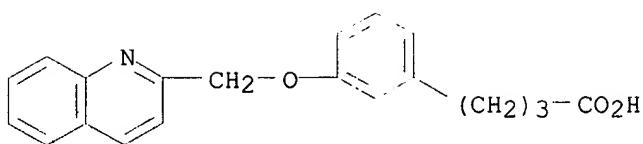
RN 221267-81-8 CAPLUS
 CN Benzeneheptanoic acid, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



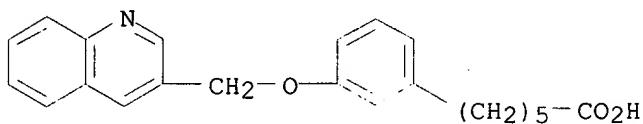
RN 221267-82-9 CAPLUS
 CN 3-Pentenoic acid, 5-[3-(2-quinolinylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



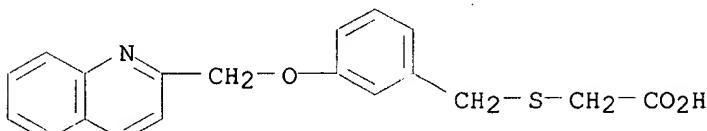
RN 221267-86-3 CAPLUS
 CN Benzenebutanoic acid, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



RN 221267-93-2 CAPLUS
 CN Benzenehexanoic acid, 3-(3-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

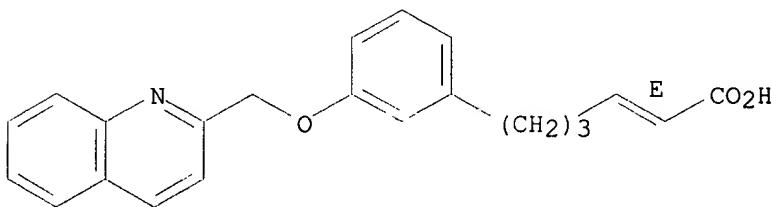


RN 221267-95-4 CAPLUS
 CN Acetic acid, [[[3-(2-quinolinylmethoxy)phenyl]methyl]thio]- (9CI) (CA INDEX NAME)

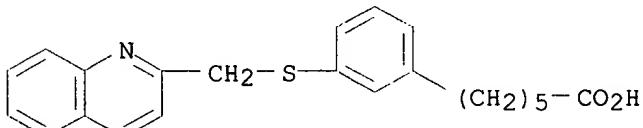


RN 221267-96-5 CAPLUS
 CN 2-Hexenoic acid, 6-[3-(2-quinolinylmethoxy)phenyl]-, (2E)- (9CI) (CA INDEX NAME)

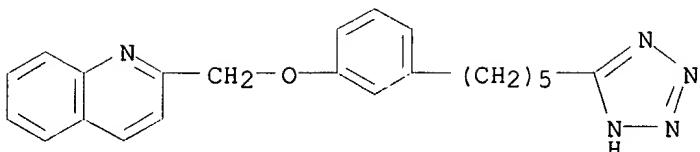
Double bond geometry as shown.



RN 221267-99-8 CAPLUS
 CN Benzenehexanoic acid, 3-[(2-quinolinylmethyl)thio]- (9CI) (CA INDEX NAME)

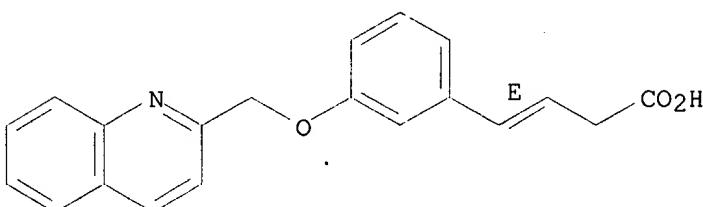


RN 221268-22-0 CAPLUS
 CN Quinoline, 2-[[3-[5-(1H-tetrazol-5-yl)pentyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



RN 221268-73-1 CAPLUS
 CN 3-Butenoic acid, 4-[3-(2-quinolinylmethoxy)phenyl]-, (3E)- (9CI) (CA
 INDEX NAME)

Double bond geometry as shown.



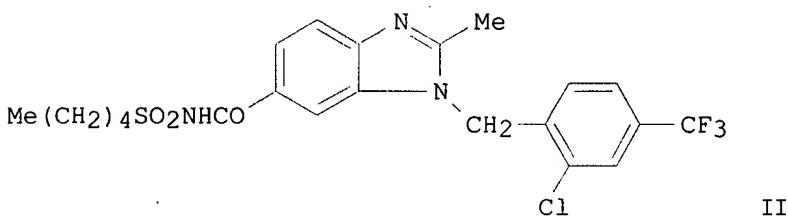
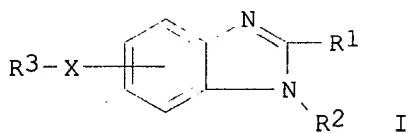
REFERENCE COUNT: 15
 REFERENCE(S):
 (1) Merck & Co Inc; WO 9827974 A1 1998 CAPLUS
 (2) Merck & Co Inc; WO 9727847 A1 1997 CAPLUS
 (3) Merck & Co Inc; WO 9727857 A1 1997 CAPLUS
 (4) Merck & Co Inc; WO 9728137 A1 1997 CAPLUS
 (5) Ono Pharmaceutical Co Ltd; JP 07215929 A CAPLUS
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 14 OF 98 CAPLUS COPYRIGHT 2001 ACS
 ACCESSION NUMBER: 1999:34901 CAPLUS
 DOCUMENT NUMBER: 130:95550
 TITLE: Preparation of benzimidazole derivatives having blood sugar-lowering (hypoglycemic) and phosphodiesterase 5 (PDE5)-inhibitory activities
 INVENTOR(S): Yamasaki, Noritsugu; Imoto, Takafumi; Oku, Teruo;
 Katayama, Akira; Kayakiri, Hiroshi; Onomura, Osamu;
 Hiramura, Takahiro; Nishikawa, Masahiro; Sawada,
 Hitoshi
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 167 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9900373	A1	19990107	WO 1998-JP2885	19980626
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,			

FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
 CM, GA, GN, ML, MR, NE, SN, TD, TG
 AU 9879346 A1 19990119 AU 1998-79346 19980626
 ZA 9805598 A 19990125 ZA 1998-5598 19980626
 BR 9811273 A 20000718 BR 1998-11273 19980626
 EP 1020452 A1 20000719 EP 1998-929723 19980626
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, FI
 PRIORITY APPLN. INFO.: JP 1997-187696 A 19970627
 JP 1998-76357 A 19980325
 WO 1998-JP2885 W 19980626

OTHER SOURCE(S): MARPAT 130:95550
 GI



AB New benzimidazole derivs. of general formula (I; R1 = H, lower alkyl, alkoxy, or alkylthio; R2 = arom. ring-contg. lower alkyl which may be substituted; R3 = alkyl, hydroxy-lower alkyl, alkenyl, heterocycl, haloaryl, lower alkylaryl, lower alkenylaryl, aryl-lower alkyl, aryl-lower alkenyl; X = NHSO2NHCO, SO2 NHNHCO, SO2NHCONH, SO2 NHCO, NHCONH) or salts thereof are prep'd. These compds. are useful for the treatment or prevention of impaired glucose tolerance, diabetes, complication of diabetes, insulin resistant syndrome, polycystic ovarian syndrome, hyperlipidemia, atherosclerosis, cardiovascular diseases, hyperglycemia, hypertension, angina pectoris, pulmonary hypertension, congestive heart failure, glomerular diseases, renal tubular interstitial diseases, renal insufficiency, angiostenosis, peripheral vascular diseases, cerebral stroke, chronic reversible obstructive diseases, autoimmune diseases, allergic rhinitis, urticaria (hives), glaucoma, intestinal motility disorders, sexual impotence, nephritis, cachexia, or post-percutaneous transluminal coronary angioplasty (PTCA) constriction. Thus, 6-carboxy-1-[2-chloro-4-(trifluoromethyl)benzyl]-2-methylbenzimidazole was stirred with N,N'-carbonyl diimidazole in DMF at room temp. 1.5 h and then condensed with 1-pentanesulfonamide at 100.degree. for 6.5 h to give the title compd. (II). When a feed contg. 0.01% II was fed to mice twice per wk for 14 days, the serum glucose and triglyceride levels lowered by 44 and 77%, resp.

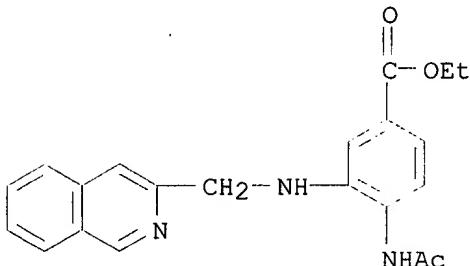
IT 219572-32-4P 219572-35-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of benzimidazole derivs. having blood sugar-lowering
 (hypoglycemic) and phosphodiesterase 5 (PDE5)-inhibitory activities as

drugs)

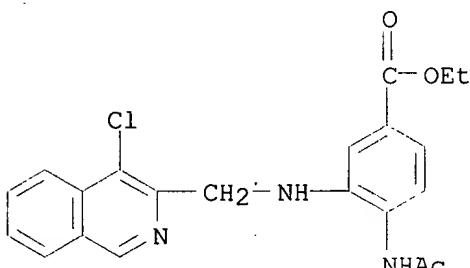
RN 219572-32-4 CAPLUS

CN Benzoic acid, 4-(acetylamino)-3-[(3-isoquinolinylmethyl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 219572-35-7 CAPLUS

CN Benzoic acid, 4-(acetylamino)-3-[(4-chloro-3-isoquinolinyl)methyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT:

19

REFERENCE(S):

- (1) Dr Karl Thomae GmbH; CA 2060624 A CAPLUS
- (3) Dr Karl Thomae GmbH; DE 4103492 A CAPLUS
- (4) Dr Karl Thomae GmbH; DE 4117121 A CAPLUS
- (5) Dr Karl Thomae GmbH; DE 4224133 A CAPLUS
- (6) Dr Karl Thomae GmbH; EP 502314 A CAPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 15 OF 98 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1999:781887 CAPLUS

DOCUMENT NUMBER: 132:3324

TITLE: Quinolinylmethoxyaryl compounds as dual inhibitors of cyclooxygenase and 5-lipoxygenase

INVENTOR(S): Laufer, Stefan; Neher, Karda

PATENT ASSIGNEE(S): Merckle G.m.b.H., Germany

SOURCE: Ger. Offen., 32 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

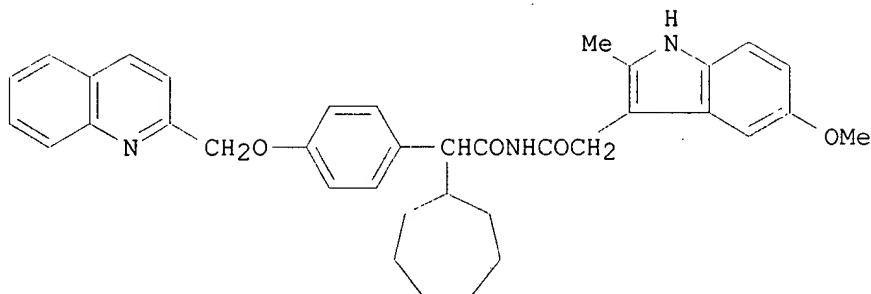
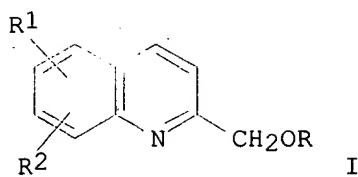
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19823722	A1	19991202	DE 1998-19823722	19980527
OTHER SOURCE(S):		MARPAT 132:3324		

GI



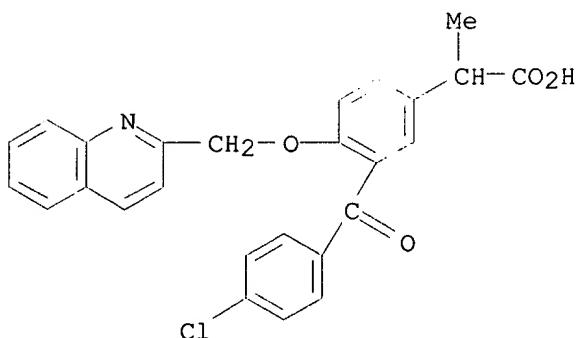
AB Title compds. I [R = substituted Ph; R¹, R² = H, alkyl, alkoxy, halogen] were prep'd. Thus, 2-[4-(2-quinolylmethoxy)phenyl]-2-cycloheptylacetetic acid was amidated and treated with 5-methoxy-2-methyl-1H-indol-3-ylacetic acid to give the diamide II. II had IC₅₀ for cyclooxygenase-1 and lipoxygenase inhibition of 8.0 and 0.034 .μ.M resp.

IT 251297-78-6P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of quinolinylmethoxyphenylacetamides as cyclooxygenase and lipoxygenase inhibitors)

RN 251297-78-6 CAPLUS

CN Benzeneacetic acid, 3-(4-chlorobenzoyl)-.alpha.-methyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

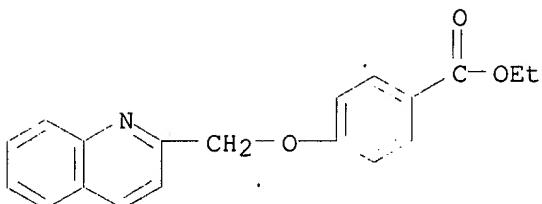


IT 251297-51-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of quinolinylmethoxyphenylacetamides as cyclooxygenase and lipoxygenase inhibitors)

RN 251297-51-5 CAPLUS

CN Benzoic acid, 4-(2-quinolinylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)

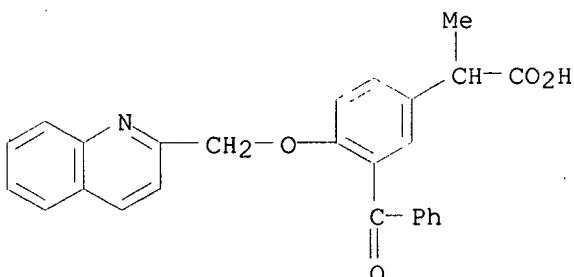


IT 251297-76-4P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of quinolinylmethoxyphenylacetamides as cyclooxygenase and lipoxygenase inhibitors)

RN 251297-76-4 CAPLUS

CN Benzeneacetic acid, 3-benzoyl-.alpha.-methyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 16 OF 98 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1999:27808 CAPLUS

DOCUMENT NUMBER: 130:81527

TITLE: Preparation of novel amidrazone derivatives having antifungal activity

INVENTOR(S): Kageyama, Shunji; Kontani, Toru; Fujii, Masahiro; Igarashi, Kiyoshi; Yamamoto, Osamu

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

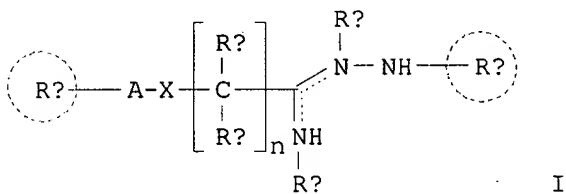
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9858905	A1	19981230	WO 1998-JP2817	19980624
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, GW, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,				

FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
 CM, GA, GN, ML, MR, NE, SN, TD, TG
 AU 9879330 A1 19990104 AU 1998-79330 19980624
 PRIORITY APPLN. INFO.: JP 1997-168354 19970625
 WO 1998-JP2817 19980624
 OTHER SOURCE(S): MARPAT 130:81527
 GI



AB Amidrazone derivs. of formula [I; wherein the ring Ra represents: (1) an optionally substituted monocyclic to tricyclic arom. hydrocarbon, (2) an optionally substituted monocyclic to tricyclic satd. or unsatd. hetero ring contg. one or more hetero atoms selected from N, O and S, (3) an optionally substituted and optionally cross-linked cycloalkyl, or (4) an optionally substituted and optionally cross-linked cycloalkenyl; the ring Rb represents (1) an optionally substituted monocyclic to tricyclic arom. hydrocarbon or (2) an optionally substituted monocyclic to tricyclic satd. or unsatd. hetero ring contg. one or more hetero atoms selected from N, O and S; one of Rc and Rd represents H and the other is not present; Re represents H or OH; Rf represents H or lower alkyl, or YRa1; the dotted line "...." represents a single bond or a double bond; n is 1 to 8; A represents a bond or a lower alkylene optionally substituted by a lower alkyl; and X represents a bond, CO, CO₂, CONRg, COCONRg1, CH:CHCONRg2, NRg3, NRg4CO, NRg5CO₂, NRg6CONRg7, O, O₂C, O₂CNRg8, OCH₂CONRg9, S, SO, SO₂, SO₂NRg10, or SO₂NRg11CO; wherein Rg and Rg1 - Rg11 represent H, lower alkyl, or YRa2; Ra1 and Ra2 represents the same group as Ra; Y represents a single bond, CH₂, or CO; a proviso given] or pharmaceutically acceptable salts thereof are prep'd. Also claimed are pharmaceutical compns. thereof and a method for prevention or treatment of fungal or deep fungal infection by administration of I. These compds. I are useful for the treatment or prevention of fungal infection, in particular, deep fungal infection attributable to fungi, such as Candida, Aspergillus, and Cryptococcus. Thus, 2-(2-chloro-5-fluoro-6-oxo-1,6-dihydropyrimidin-1-yl)acetonitrile was treated with EtOH and HCl(g) in CHCl₃ at 5.degree. for 2 days to give a crude imidate which was condensed with 4-chlorophenylhydrazine hydrochloride in EtOH in the presence of EtONa at room temp. overnight to give the title compd., 2-pyrimidinyl-N-phenylacetamidrazone (II). II showed 80% min. inhibitory concn. of 0.31, 0.31, and 0.63 .mu.g/mL against Candida albicans TIMM1768, Cryptococcus neoformans TIMM0362, and Aspergillus fumigatus TIMM1776, resp.

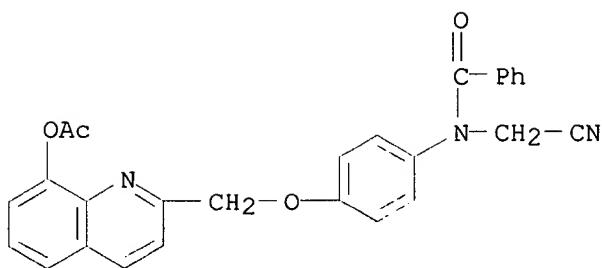
IT 218922-28-2

RL: RCT (Reactant)

(prepn. of novel amidrazone derivs. having antifungal activity)

RN 218922-28-2 CAPLUS

CN Benzamide, N-[4-[(8-(acetyloxy)-2-quinolinyl)methoxy]phenyl]-N-(cyanomethyl)- (9CI) (CA INDEX NAME)

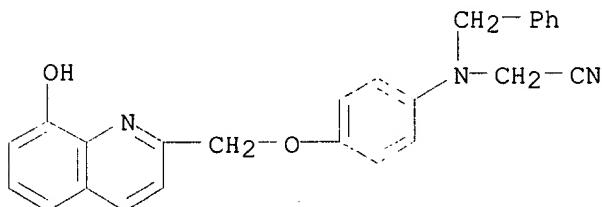


IT 218920-87-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of novel amidrazone derivs. having antifungal activity)

RN 218920-87-7 CAPLUS

CN Acetonitrile, [(4-[(8-hydroxy-2-quinolinyl)methoxy]phenyl](phenylmethyl)amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

6

REFERENCE(S):

- (1) Fraser, J; J Chem Soc Perkin Trans 1 1975, 22, P2280 CAPLUS
- (2) Fraser, J; J Chem Soc Perkin Trans 1 1977, 6, P646 CAPLUS
- (4) Montedison Spa; FR 2245620 A1 CAPLUS
- (5) Montedison Spa; IL 45376 A CAPLUS
- (6) Montedison Spa; DE 2436544 A1 1975 CAPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 17 OF 98 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1998:163568 CAPLUS

DOCUMENT NUMBER: 128:204814

TITLE: Preparation of quinoline moiety-containing benzenesulfone derivatives as leukotriene and thromboxane A₂ antagonists

INVENTOR(S): Yokota, Masaki; Kawazoe, Souichirou; Okamoto, Yoshinori; Kubota, Hirokazu; Naito, Ryo; Arakida, Yasuhito

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan; Yokota, Masaki; Kawazoe, Souichirou; Okamoto, Yoshinori; Kubota, Hirokazu; Naito, Ryo; Arakida, Yasuhito

SOURCE: PCT Int. Appl., 116 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

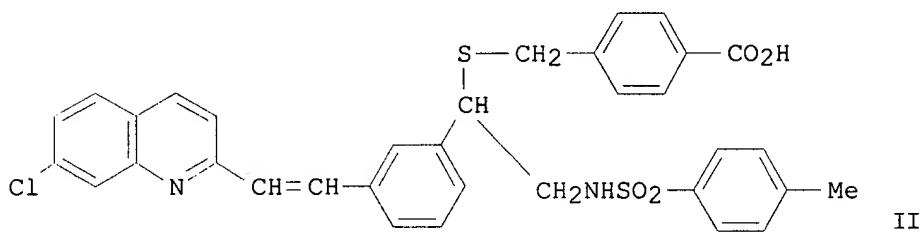
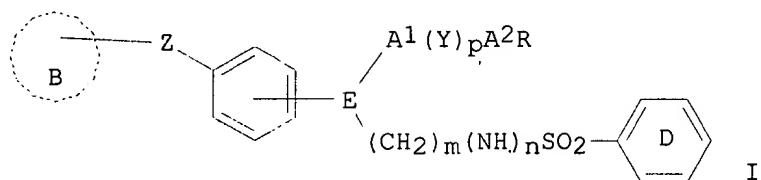
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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 WO 9808820 A1 19980305 WO 1997-JP2934 19970825
 W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH,
 HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG,
 MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM,
 TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,
 GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,
 GN, ML, MR, NE, SN, TD, TG
 AU 9738684 A1 19980319 AU 1997-38684 19970825
 PRIORITY APPLN. INFO.: JP 1996-224236 19960826
 WO 1997-JP2934 19970825
 OTHER SOURCE(S): MARPAT 128:204814
 GI



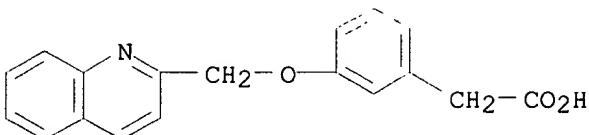
AB The title compds. I [ring B represents an optionally substituted quinolyl group; ring D represents an optionally substituted Ph group; E represents CHX, etc.; one of A1 and A2 represents an optionally substituted methylene group or an optionally substituted ethylene group with the other representing a single bond, an optionally substituted methylene group, or an optionally substituted ethylene group; a proviso is given; X represents an oxygen atom or a sulfur atom; Y represents an optionally substituted phenylene group, an optionally substituted phenyleneoxy group, etc.; Z represents CH:CH, CH2CH2, CH2O, or OCH2; R represents a carboxyl group or tetrazolyl group which may be optionally substituted with an ester residue; p, n are each 0 or 1; and m represents 1, 2, or 3] are prep'd. I are useful in the treatment of asthma. In an in vitro test for inhibiting activity against the contraction of guinea pig ileum induced by leukotriene D4 (LTD4) (10-9 M), the title compd. II showed IC50 of 0.00036 .mu.M. In an in vitro test for inhibition of platelet aggregation induced by U-46619 (thromboxane A2 analog) (10-6 M), II showed IC50 of 0.45 .mu.M.

IT 104325-55-5

RL: RCT (Reactant)
 (prepn. of quinoline moiety-contg. benzenesulfone derivs. as leukotriene and thromboxane A2 antagonists)

RN 104325-55-5 CAPLUS

CN Benzeneacetic acid, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 18 OF 98 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1999:3410 CAPLUS
 DOCUMENT NUMBER: 130:66507
 TITLE: Quinazolin-4-one AMPA antagonists
 INVENTOR(S): Chenard, Bertrand Leo; Reinhold, Anthony Ronald;
 Welch, Willard McKowan
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA
 SOURCE: Eur. Pat. Appl., 30 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 884310	A1	19981216	EP 1998-304319	19980601
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2240138	AA	19981209	CA 1998-2240138	19980605
JP 11012255	A2	19990119	JP 1998-160821	19980609
BR 9801808	A	20000321	BR 1998-1808	19980609
PRIORITY APPLN. INFO.:			US 1997-49082	P 19970609
			US 1997-53274	P 19970721

OTHER SOURCE(S): MARPAT 130:66507

GI For diagram(s), see printed CA Issue.

AB Prepn. of quinazolin-4-one derivs. I [A = benzo- or thieno-fused arom. ring; B = Ph, pyridyl, pyrimidyl; X = N, CH; YZ = CH2NH, NHCH2; R1 = H, (C1-C6)alkyl optionally substituted with from one to three fluorine atoms, cyano, halo, amino, nitro and (C1-C6)alkoxy optionally substituted with from one to three fluorine atoms; R2 = halo, cyano, (C1-C6)alkyl optionally substituted with from one to three fluorine atoms, nitro, amino, (C1-C6)alkylthio, (C1-C6)alkoxy optionally substituted with from one to three fluorine atoms, hydroxy, etc.; R3, R4 = H, (C1-C6)alkyl optionally substituted with from one to three fluorine atoms, halo, cyano, hydroxy (C1-C6)alkoxy optionally substituted with from one to three fluorine atoms, etc.] and the use of such compds. to treat neurodegenerative, psychotropic, and drug and alc. induced central and peripheral nervous system disorders (no data), are described. E.g., reaction of 3-(2-chloropyridin-3-yl)-6-fluoro-3,4-dihydroquinazolin-4-one-2-carboxaldehyde and anthranilonitrile gave an intermediate imine, which was reduced to give 2-[3-(2-chloropyridin-3-yl)-6-fluoro-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]amino]benzonitrile.

IT 217942-52-4P

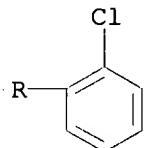
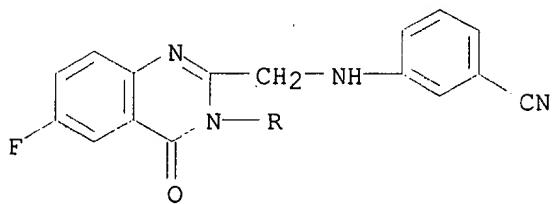
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of quinazolinone as AMPA antagonists)

RN 217942-52-4 CAPLUS

CN Benzonitrile, 3-[[[3-(2-chlorophenyl)-6-fluoro-3,4-dihydro-4-oxo-2-

quinazolinyl]methyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

4

REFERENCE(S):

- (1) Merck, S; EP 0459561 A 1991 CAPLUS
- (2) Merck, S; EP 0481676 A 1992 CAPLUS
- (3) Merck, S; WO 9311115 A 1993 CAPLUS
- (4) Sandoz Ltd; WO 9519346 A 1995 CAPLUS

L19 ANSWER 19 OF 98 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1998:31302 CAPLUS

DOCUMENT NUMBER: 128:75390

TITLE: Preparation of quinoline and benzothiazole derivatives having affinity to nuclear hormone receptors

INVENTOR(S): Kerwin, Sean; Hurley, Laurence H.; DeLuca, Mark R.; Moore, Bob M., III

PATENT ASSIGNEE(S): Board of Regents, the University of Texas System, USA; Kerwin, Sean; Hurley, Laurence H.; DeLuca, Mark R.; Moore, Bob M., III

SOURCE: PCT Int. Appl., 119 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9748694	A1	19971224	WO 1997-US10643	19970620
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2258822	AA	19971224	CA 1997-2258822	19970620
AU 9737917	A1	19980107	AU 1997-37917	19970620
AU 727708	B2	20001221		
EP 912549	A1	19990506	EP 1997-934849	19970620
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1226245	A	19990818	CN 1997-196755	19970620

JP 2000514048 T2 20001024 JP 1998-503338 19970620
 NO 9805975 A 19990218 NO 1998-5975 19981218
 PRIORITY APPLN. INFO.: US 1996-16088 P 19960620
 WO 1997-US10643 W 19970620

OTHER SOURCE(S): MARPAT 128:75390
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

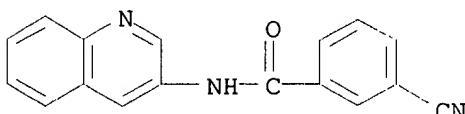
AB The present invention relates to pharmacol. active compds. represented by, e.g. quinoline derivs. (I) and benzothiazole derivs. (II) [wherein L = O, N:N, SCH₂, O₂C, NR₆CO, CH₂CH(OR₇), single bond ; Z = Q₁, Q₂, Q₃; R₁ = H, OH, C₁-4 alkyl, alkoxy, or alkylthio, halo, C₁-12 alkyl-carbonyloxy; R₂, R₃ = H, OH, halo, C₁-6 alkyl, alkenyl, or alkoxy, C₁-12 alkyl-carbonyloxy; R₄ = H, OH, halo, C₁-6 alkyl or alkoxy, C₁-12 alkyl-carbonyloxy; R₅ = H, halo, C₁-6 alkyl or alkoxy, OAc, phthalimide, C₁-12 alkyl-carbonyloxy; R₆ = H, OH, NH₂, C₁-4 alkyl or alkoxy; R₇ = H, C₁-4 alkyl, C₁-4 alkyl-carbonyl, C₇-10 arylalkyl; R₈ = H, OH, halo, CF₃, C₁-4 haloalkyl, C₁-4 alkyl or alkoxy, NHAc, di(C₁-4 alkyl)amino; R₉ = H, OH, halo, cyano, NO₂, C₁-4 haloalkyl, C₁-8 alkyl, C₁-8 alkoxy, NHAc, OAc; R₁₀ = H, OH, halo, cyano, NO₂, C₁-4 haloalkyl, CO₂H, C₁-12 alkyl or alkoxy, Ph, C₁-12 alkyl, etc.; R₁₁ = H, OH, C₁-4 haloalkyl, CF₃, C₁-4 alkyl, NH₂, C₁-4 alkoxy, NHAc, C₁-4 alkenyl, etc.; R₁₂, R₁₃ = H, OH, halo, NH₂, C₁-4 alkyl or alkoxy, di(C₁-4 alkyl)amino] which are capable of binding to nuclear hormone receptors and are useful for the stimulation of osteoblast proliferation and ultimately bone growth (no data). This invention also relates to the use of such compds. for the treatment or prevention of diseases and/or disorders assocd. with nuclear hormone receptor families. Thus, a soln. of 2-aminobenzothiazole and pyridine in CH₂C₁2 was treated with 2,4-dimethoxybenzoyl chloride and stirred at 25.degree. for 30 min to give 80% 2-(2,4-dimethoxybenzamido)benzothiazole.

IT 200726-30-3P, 3-[3-Cyanobenzoyl]aminoquinoline
 200726-31-4P, 3-[4-Cyanobenzoyl]aminoquinoline

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of quinoline and benzothiazole derivs. having affinity to nuclear hormone receptors for stimulation of osteoblast proliferation and bone growth)

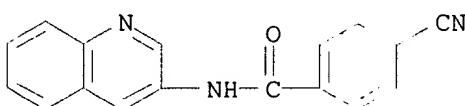
RN 200726-30-3 CAPLUS

CN Benzamide, 3-cyano-N-3-quinolinyl- (9CI) (CA INDEX NAME)



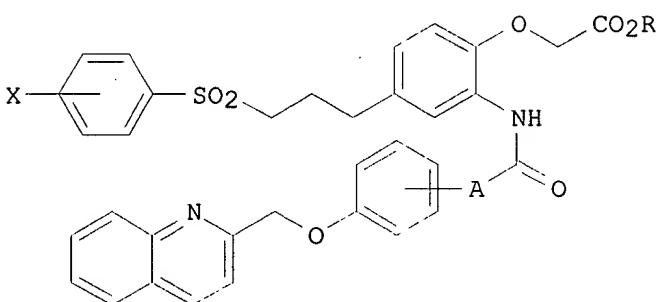
RN 200726-31-4 CAPLUS

CN Benzamide, 4-cyano-N-3-quinolinyl- (9CI) (CA INDEX NAME)

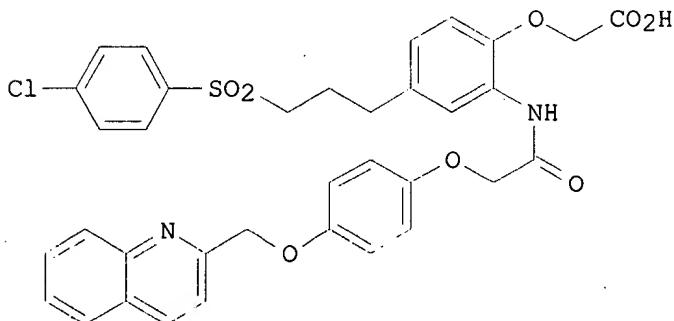


L19 ANSWER 20 OF 98 CAPLUS COPYRIGHT 2001 ACS
 ACCESSION NUMBER: 1997:140201 CAPLUS
 DOCUMENT NUMBER: 126:171492
 TITLE: Preparation of phenoxyacetic acid derivatives as
 allergy inhibitors
 INVENTOR(S): Tatsugami, Shinichi; Tajima, Atsumi; Koyama, Shingo
 PATENT ASSIGNEE(S): Terumo Corp, Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09012554	A2	19970114	JP 1995-166121	19950630
OTHER SOURCE(S):		MARPAT 126:171492		



I



II

AB The title compds. [I; X = H, OH, halo, NO₂, CF₃, lower alkyl or alkoxy; R = H, lower alkyl; A = O(CH₂)_m, (CH₂)_m, (CH₂:CH)_m, (CH₂)_mCONH(CH₂)_n, (CH₂)_mNHCO(CH₂)_n; m, n = 0-2] are prep'd. I, possessing thromboxane A₂ (TXA₂) and leukotriene D₄ (LTD₄) antagonism, are useful as allergy inhibitors for prevention and treatment of allergic inflammation diseases such as myocardial infarction, bronchial asthma, and so on. Thus, N-[5-[3-(4-chlorobenzensulfonyl)propyl]-2-(hydroxy)phenyl]-2-[4-(2-quinolylmethoxy)phenoxy]acetamide was reacted with BrCH₂CO₂Et in the presence of K₂CO₃ and then treated with aq. LiOH to give the title compd.

(II). II showed IC₅₀ of 1.1 X 10⁻⁹ and 3.0 X 10⁻⁹ M against TXA₂ and LTD₄ resp. when tested on mouse in vitro.

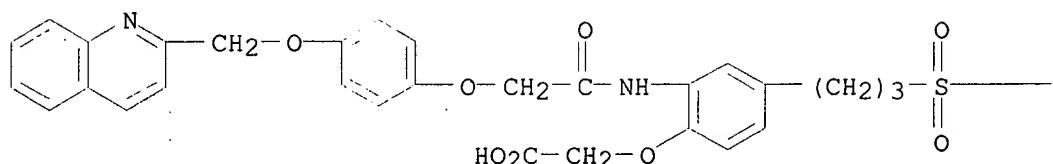
IT 186641-30-5P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of phenoxyacetic acid derivs. as allergy inhibitors)

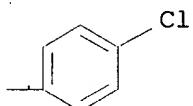
RN 186641-30-5 CAPLUS

CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[[4-(2-quinolinylmethoxy)phenoxy]acetyl]amino]phenoxy]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



IT 119514-99-7 123723-94-4 186641-58-7

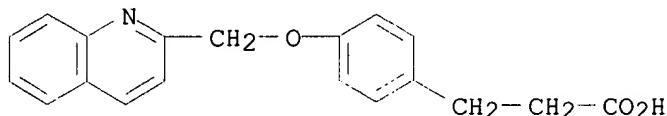
186641-59-8

RL: RCT (Reactant)

(prepn. of phenoxyacetic acid derivs. as allergy inhibitors)

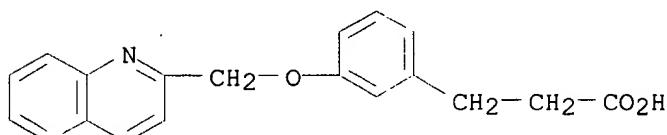
RN 119514-99-7 CAPLUS

CN Benzenepropanoic acid, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



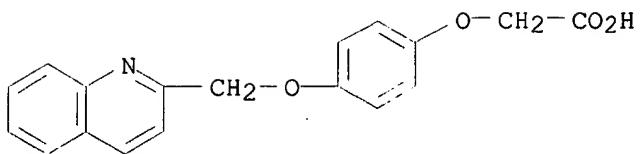
RN 123723-94-4 CAPLUS

CN Benzenepropanoic acid, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



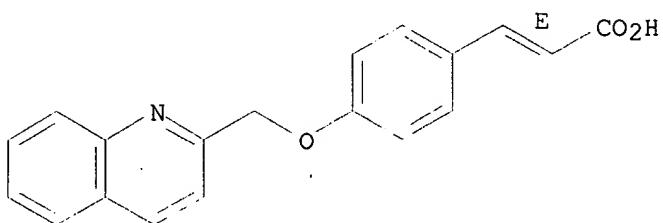
RN 186641-58-7 CAPLUS

CN Acetic acid, [4-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



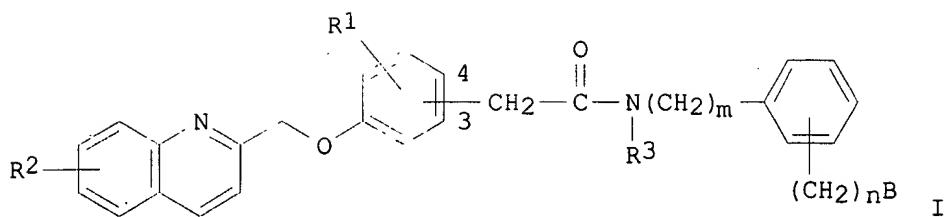
RN 186641-59-8 CAPLUS
 CN 2-Propenoic acid, 3-[4-(2-quinolinylmethoxy)phenyl]-, (2E)- (9CI) (CA
 INDEX NAME)

Double bond geometry as shown.



L19 ANSWER 21 OF 98 CAPLUS COPYRIGHT 2001 ACS
 ACCESSION NUMBER: 1996:356970 CAPLUS
 DOCUMENT NUMBER: 125:33485
 TITLE: Preparation of (quinolinylmethoxy)phenylacetamides having leukotriene-antagonistic action
 INVENTOR(S): Mauleon Casellas, David; Carganico, Germano; Garcia Perez, Maria Luisa; Fos Torro, Ma. De Los Desamparados
 PATENT ASSIGNEE(S): Laboratorios Menarini S.A., Spain
 SOURCE: PCT Int. Appl., 38 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9604246	A1	19960215	WO 1995-EP2958	19950726
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM, TT, UA, US, UZ				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ES 2103180	A1	19970816	ES 1994-1695	19940801
ES 2103180	B1	19980401		
AU 9532219	A1	19960304	AU 1995-32219	19950726
PRIORITY APPLN. INFO.:			ES 1994-1695	19940801
			WO 1995-EP2958	19950726
OTHER SOURCE(S):	MARPAT 125:33485			
GI				



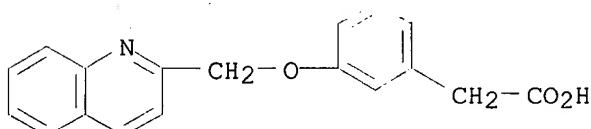
AB The title compds. [I; CONR3 is bound to the 3- or 4-position of the phenol system; R1 = hydrogen, fluorine, chlorine, OCH₃; R2 = hydrogen, fluorine, chlorine, bromine; R3 = hydrogen, methyl; B = 5-tetrazolyl, COOR₄; R₄ = hydrogen, a C₁-4 alkyl, phenylalkyl group of less than 10 carbon atoms; m, n = 0-6, such that m + n = .1 to < 6], which have leukotriene-antagonist activity useful for the treatment of inflammation (no data), allergies (no data), or cardiovascular diseases (no data), are prep'd. Thus, 4-[4-(2-quinolinylmethoxy)phenylacetamido]phenylbutanoic acid, which was prep'd. from its Me ester, demonstrated a Ki of 7.3 .+-. 3.6 nM for the inhibition of [³H]-LTD₄ receptor binding.

IT 104325-55-5P 121289-78-9P 177595-32-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of (quinolinylmethoxy)phenylacetamides having leukotriene-antagonistic action)

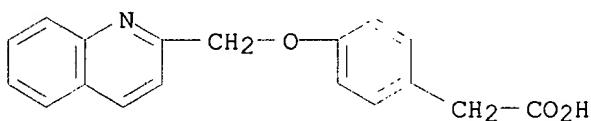
RN 104325-55-5 CAPLUS

CN Benzeneacetic acid, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



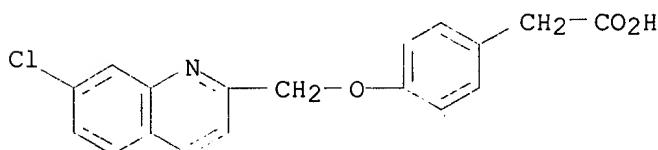
RN 121289-78-9 CAPLUS

CN Benzeneacetic acid, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



RN 177595-32-3 CAPLUS

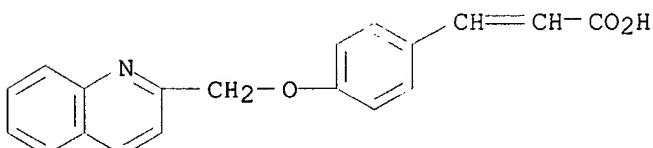
CN Benzeneacetic acid, 4-[(7-chloro-2-quinolinyl)methoxy]- (9CI) (CA INDEX NAME)



L19 ANSWER 22 OF 98 CAPLUS COPYRIGHT 2001 ACS
 ACCESSION NUMBER: 1996:409679 CAPLUS
 DOCUMENT NUMBER: 125:58119
 TITLE: Preparation of hydroxamic acids and pharmaceutical preparations containing them
 INVENTOR(S): Isozaki, Masashi; Kasukawa, Hiroaki
 PATENT ASSIGNEE(S): Terumo Corp, Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

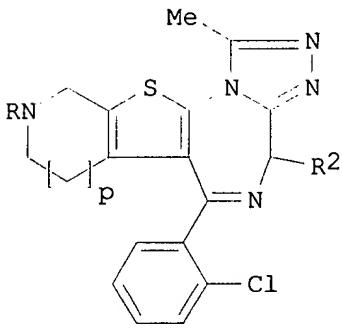
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08092191	A2	19960409	JP 1994-229874	19940926

OTHER SOURCE(S): MARPAT 125:58119
 AB P-R1C6H4CH:CHC(O)N(OM)CHR2Ph (I; R1 = Ph, aryl(C1-4 alkoxy); R2 = C1-4 alkyl; M = H, aroyl, C1-4 alkyl, aryl(C1-4 alkyl), C1-4 alkoxy carbonyl, cation) are prep'd. as smooth muscle cell proliferation inhibitors. 4-Phenylcinnamic acid was chlorinated by SOCl₂ and treated with O-benzyl-(1-phenylethyl)hydroxylamine and NEt₃ in CH₂C₁₂ at room temp. to give 58.7% I (R1 = Ph, R2 = Me, M = CH₂Ph), which was treated with BC₁₃ in CH₂C₁₂ at room temp. to give 40.9% I (R1 = Ph, R2 = Me, M = H) (II). II inhibited rat aorta smooth muscle cell proliferation with IC₅₀ 4.8 times. 10⁻⁷ mol.
 IT 178406-63-8
 RL: RCT (Reactant)
 (prepn. of hydroxamic acids as smooth muscle cell proliferation inhibitors and pharmaceuticals contg. them)
 RN 178406-63-8 CAPLUS
 CN 2-Propenoic acid, 3-[4-(2-quinolinylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



L19 ANSWER 23 OF 98 CAPLUS COPYRIGHT 2001 ACS
 ACCESSION NUMBER: 1995:299925 CAPLUS
 DOCUMENT NUMBER: 122:81418
 TITLE: Preparation of N-[(quinolylmethoxy)benzoyl]pyridothieno triazolodiazepines and analogs as PAF antagonists and/or 5-lipoxygenase inhibitors
 INVENTOR(S): Carceller, Elena; Recasens, Nuria; Almansa, Carmen; Bartroli, Javier
 PATENT ASSIGNEE(S): J. Uriach y Cia. S.A., Spain
 SOURCE: Eur. Pat. Appl., 15 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 624588 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE	A1	19941117	EP 1994-107134	19940506
ES 2061406	A1	19941201	ES 1993-982	19930507
ES 2061406	B1	19950601		
CA 2123057	AA	19941108	CA 1994-2123057	19940506
JP 07002868	A2	19950106	JP 1994-119520	19940509
PRIORITY APPLN. INFO.:			ES 1993-982	19930507
OTHER SOURCE(S):	MARPAT 122:81418			
GI				



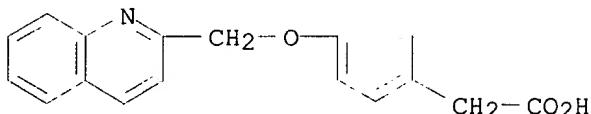
AB Title compds. [I; R = R₁CH₂O_nC₆H₄(CH₂)_nCO; R₁ = (chloro- or fluoro-) 2-quinolyl; R₂ = H, alkyl; n,p = 0 or 1] were prep'd. Thus, 3-(R₁CH₂O)_nC₆H₄CO₂H (R₁ = 2-quinolyl) (prepn. given) was condensed with I (R = H, R₁ unchanged) to give I [R = 3-(R₁CH₂O)_nC₆H₄CO] which gave 72% inhibition of Ca ionophore A23187-induced LTB₄ prodn. by HL-60 cell in vitro.

IT 121289-78-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of N-[(quinolylmethoxy)benzoyl]pyridothienotriazolodiazepines and analogs as PAF antagonists and/or 5-lipoxygenase inhibitors)

RN 121289-78-9 CAPLUS

CN Benzeneacetic acid, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 24 OF 98 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1995:380148 CAPLUS

DOCUMENT NUMBER: 122:160682

TITLE: Cyanomethylpyridine derivatives as PAF antagonists and 5-lipoxygenase inhibitors

INVENTOR(S): Carceller, Elena; Jimenez, Pere J.; Almansa, Carmen; Bartoli, Javier

PATENT ASSIGNEE(S): J. Uriach y Cia. S.A., Spain

SOURCE: Eur. Pat. Appl., 25 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 617032	A1	19940928	EP 1994-104612	19940323
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
ES 2062943	A1	19941216	ES 1993-591	19930323
ES 2062943	B1	19951116		
CA 2118831	AA	19940924	CA 1994-2118831	19940311
JP 07002841	A2	19950106	JP 1994-76436	19940323
US 5420131	A	19950530	US 1994-216583	19940323
PRIORITY APPLN. INFO.:			ES 1993-591	19930323
OTHER SOURCE(S):		MARPAT 122:160682		
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to cyanomethylpyridine derivs. I [Y = N or CH; R1 = F, Cl; R2 = H or C1-4 alkyl; m = 0, 1 or 2; n = 0 or 1; p = 0 or 1; A = covalent bond, CONHCH(Ar), NHCH(Ar), SO2NHCH(Ar), NHCONHCH(Ar), or OCONHCH(Ar); and when p = 1, A can also = CH(Ar)NH; Ar = Ph or Ph substituted .gt;oreq. 1 of halo, C1-4 alkyl, C1-4 alkoxy, or CF3]. The compds. are platelet activating factor (PAF) antagonists and/or 5-lipoxygenase inhibitors, and are useful for treating a variety of diseases. For example, coupling of p-(2-quinolylmethoxy)phenylacetic acid with 1-(3-amino-3-phenylpropionyl)-4-[(2-methyl-3-pyridyl)cyanomethyl]piperazine using DCC and 1-hydroxybenzotriazole in DMF gave 43% title compd. II, a preferred compd. The IC50 of II for inhibition of PAF-induced hypotension in normotensive rats was 0.036 mg/kg i.v. Twelve addnl. syntheses, addnl. biol. tests (inhibition of PAF-induced platelet aggregation, and inhibition of LTB4 prodn.), and 6 example formulations are given.

IT 104325-55-5P, 3-(2-Quinolylmethoxy)phenylacetic acid

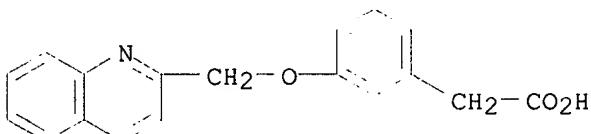
121289-78-9P, p-(2-Quinolylmethoxy)phenylacetic acid

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

(intermediate; prepn. of cyanomethylpyridine derivs. as PAF antagonists and 5-lipoxygenase inhibitors)

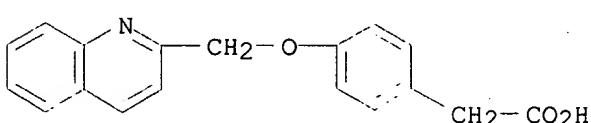
RN 104325-55-5 CAPLUS

CN Benzeneacetic acid, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



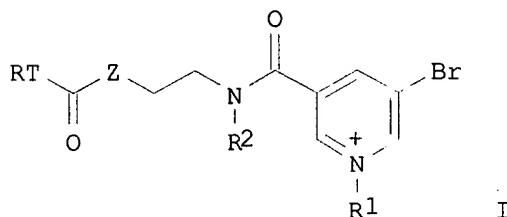
RN 121289-78-9 CAPLUS

CN Benzeneacetic acid, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

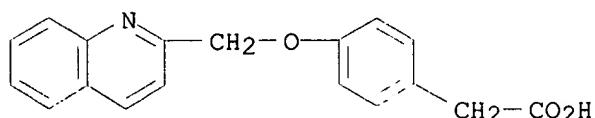


L19 ANSWER 25 OF 98 CAPLUS COPYRIGHT 2001 ACS
 ACCESSION NUMBER: 1994:630669 CAPLUS
 DOCUMENT NUMBER: 121:230669
 TITLE: 5-Bromopyridinium-derivative PAF antagonists.
 INVENTOR(S): Bartroli, Javier; Turmo, Enric; Anguita, Manuel;
 Carceller, Elena; Almansa, Carmen
 PATENT ASSIGNEE(S): J. Uriach y Cia. S.A., Spain
 SOURCE: Eur. Pat. Appl., 31 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 610943	A1	19940817	EP 1994-102138	19940211
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
ES 2068742	A1	19950416	ES 1993-269	19930211
ES 2068742	B1	19951116		
CA 2114183	AA	19940812	CA 1994-2114183	19940125
JP 06271543	A2	19940927	JP 1994-39180	19940214
PRIORITY APPLN. INFO.:			ES 1993-269	19930211
OTHER SOURCE(S):		MARPAT 121:230669		
GI				

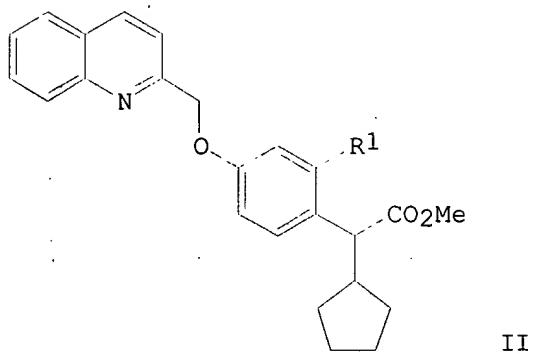
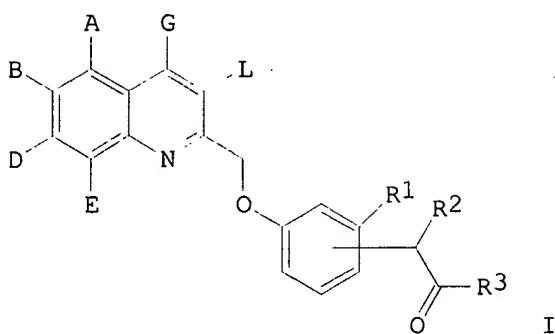


AB The title compds. [I; R = (un)substituted alkyl, aryl, heteroaryl, etc.; R1 = alkyl, arylalkyl; R2 = aryl; T, Z = (un)substituted NH, O], useful as PAF antagonists, are prep'd. and I-contg. formulations presented. Thus, 5-bromo-3-[N-(2-ethoxycarbonylamino)ethyl-N-phenyl]carbamoyl-1-propylpyridinium iodide was prep'd. and demonstrated IC50 against hypotension induced by PAF in normotensive rats at 0.046 mg/kg (i.v.).
 IT 121289-78-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (intermediate; 5-bromopyridinium-deriv. PAF antagonists)
 RN 121289-78-9 CAPLUS
 CN Benzeneacetic acid, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



ACCESSION NUMBER: 1994:244710 CAPLUS
 DOCUMENT NUMBER: 120:244710
 TITLE: 2-Substituted (quinolylmethoxy)phenylacetic acid derivatives, process for their preparation, and their pharmaceutical use
 INVENTOR(S): Matzke, Michael; Mohrs, Klaus Helmut; Raddatz, Siegfried; Fruchmann, Romanis; Mueller-Peddinghaus, Rainer; Hatzelmann, Armin
 PATENT ASSIGNEE(S): Bayer A.-G., Germany
 SOURCE: Eur. Pat. Appl., 35 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 582908	A1	19940216	EP 1993-112154	19930729
EP 582908	B1	19980527		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
DE 4226519	A1	19940217	DE 1992-4226519	19920811
NO 9302709	A	19940214	NO 1993-2709	19930727
NO 179513	B	19960715		
NO 179513	C	19961023		
AU 9344253	A1	19940217	AU 1993-44253	19930728
AU 668574	B2	19960509		
AT 166645	E	19980615	AT 1993-112154	19930729
ES 2117070	T3	19980801	ES 1993-112154	19930729
US 5597833	A	19970128	US 1993-102453	19930804
CA 2103521	AA	19940212	CA 1993-2103521	19930806
JP 06157463	A2	19940603	JP 1993-213596	19930806
IL 106622	A1	19970218	IL 1993-106622	19930809
ZA 9305795	A	19940307	ZA 1993-5795	19930810
HU 70041	A2	19950928	HU 1993-2313	19930810
CN 1087337	A	19940601	CN 1993-108822	19930811
PRIORITY APPLN. INFO.:		DE 1992-4226519		19920811
OTHER SOURCE(S):		MARPAT 120:244710		
GI				



AB Title compds. I [A, B, D, E, G, L = H, OH, halo, cyano, CO₂H, NO₂, CF₃, CF₃O, alkyl, alkoxy, (un)substituted aryl; R¹ = halo, cyano, NO₂, N₃, OH, CO₂H, CF₃, CF₃O, CF₃S, (cycloalkyl)alkyl, -alkenyl, -alkynyl, alkoxy, alkoxycarbonyl; R² = H, (cyclo)alkyl; R³ = OH, alkoxy, Ph, NR₄SO₂R⁵, NR₆R⁷; R⁴, R⁶, R⁷ = H, alkyl, Ph, PhCH₂; R⁵ = CF₃, (un)substituted Ph or alkyl] and salts are claimed. I are inhibitors of enzymes in the metab. of arachidonic acid, esp. 5-lipoxygenase (no data), and are useful for treating a wide variety of conditions. For example, etherification of 2-(chloromethyl)quinoline-HCl with 2-bromo-4-hydroxyphenylacetic acid Me ester (K₂CO₃, DMF, 100.degree., 63.9%) and .alpha.-alkylation of the resultant ester with cyclopentyl bromide (KOBu-tert, DMF, 80.6%) gave title compd. II (R¹ = Br), which was converted to II (R¹ = allyl, cyclopropyl, Pr, vinyl, Et, C.tplbond.CPh) as well as corresponding acids and sulfonylated amide derivs. Synthetic examples are given for 38 I and 10 precursors.

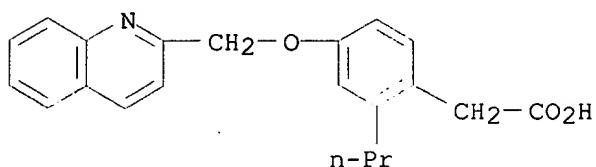
IT 154353-13-6P 154353-15-8P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

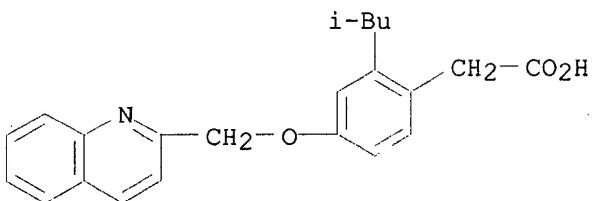
(prepn. of, as lipoxygenase inhibitor)

RN 154353-13-6 CAPLUS

CN Benzeneacetic acid, 2-propyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



RN 154353-15-8 CAPLUS
 CN Benzeneacetic acid, 2-(2-methylpropyl)-4-(2-quinolinylmethoxy)- (9CI) (CA
 INDEX NAME)



L19 ANSWER 27 OF 98 CAPLUS COPYRIGHT 2001 ACS
 ACCESSION NUMBER: 1994:499084 CAPLUS
 DOCUMENT NUMBER: 121:99084
 TITLE: Inversely-correlated inhibition of human
 5-lipoxygenase activity by BAY X1005 and other
 quinoline derivatives in intact cells and a cell-free
 system-implications for the function of 5-lipoxygenase
 activating protein
 AUTHOR(S): Hatzelmann, A.; Goossens, J.; Fruchtmann, R.; Mohrs,
 K.-H.; Raddatz, S.; Mueller-Peddinghaus R.
 CORPORATE SOURCE: Pharma Research Center, Bayer AG, Wuppertal, Germany
 SOURCE: Biochem. Pharmacol. (1994), 47(12), 2259-68
 CODEN: BCPCA6; ISSN: 0006-2952
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB A series of quinoline derivs. were analyzed for the influence of
 leukotriene synthesis as a parameter for 5-LOX (EC 1.13.11.34) activity in
 a cell-free system of the 10,000 g supernatant of human PMNL
 (polymorphonuclear leukocytes). The ratios of the IC₅₀ values for
 leukotriene synthesis inhibition in this cell-free system and in
 A23187-stimulated intact PMNL ranged from 1-1100. Consequently, plotting
 of the two values resulted in a random distribution ($r = -0.281$, $N = 18$)
 suggesting that no relationship between the inhibition of leukotriene
 synthesis in the cell-free system and in intact cell exists. At first
 sight this finding was not surprising since the authors have shown earlier
 that in intact cells this class of quinoline derivs. shares the same mode
 of action as MK-886, i.e. an indirect inhibition of 5-LOX activity by
 binding to FLAP. However, the authors found that the potency of these
 compds. in intact cells is strongly influenced by the K value (partition
 coeff.) which is a parameter for the ability of a substance to accumulate
 in a lipid (membrane) phase compared to the water phase. Therefore, the
 IC₅₀ values for leukotriene synthesis inhibition in intact PMNL were cor.
 for the corresponding K value of the compds. and the resulting values
 again plotted against the IC₅₀ values for inhibition of leukotriene
 synthesis in the cell-free system. As a result, a significant correlation
 ($r = -0.878$, $N = 18$) was obtained. In order to simplify this relationship
 the influence of the partition coeff. was eliminated by comparing compds.
 with about the same K value ($K = 743 \pm 1646$, $N = 7$). As a result, the
 IC₅₀ values for inhibition of leukotriene synthesis in the 10,000 g
 supernatant fraction (indicative for the affinity of the compds. to 5-LOX)
 and in intact cells (indicative for the affinity the compds. to FLAP) were
 highly, but inversely correlated ($r = 0.992$). That means that a compd.
 with a high affinity to 5-LOX will have a low affinity to FLAP and vice
 versa. The authors hypothesized that this pharmacol. obtained
 relationship could be indicative of physiol. occurring equiv. The authors

therefore propose a model in which FLAP binds arachidonic acid as its physiol. substrate with low affinity and allows 5-LOX to get access to its substrate (assuming a higher affinity of 5-LOX to arachidonic acid) after 5-LOX translocation from the cytosol to the membrane. In support of this model the authors provide evidence that arachidonic acid and other cis-unsatd. fatty acids, but neither a trans-unsatd. nor a satd. fatty acid, inhibit BAY X1005 binding to FLAP in intact human PMNL.

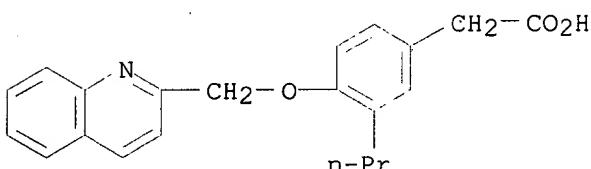
IT 145043-18-1

RL: BIOL (Biological study)

(leukotriene prodn. inhibition by and lipoxygenase and FLAP binding of, in cell-free system vs. intact human polymorphonuclear leukocytes, structure in relation to)

RN 145043-18-1 CAPLUS

CN Benzeneacetic acid, 3-propyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 28 OF 98 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1994:595533 CAPLUS

DOCUMENT NUMBER: 121:195533

TITLE: Induction of peroxisomal enzymes by a tetrazole-substituted 2-quinolinylmethoxy leukotriene D4 antagonist

AUTHOR(S): Kelley, Michael; Groth-Watson, Andrea; Knoble, Deborah; Kornbrust, Douglas

CORPORATE SOURCE: Drug Safety Div., Rhone-Poulenc Rorer Central Res., Collegeville, PA, 19426, USA

SOURCE: Fundam. Appl. Toxicol. (1994), 23(2), 298-303

CODEN: FAATDF; ISSN: 0272-0590

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The induction of hepatic peroxisomal .beta.-oxidn. and the peroxisomal bifunctional enzyme (PBE) by the tetrazole-substituted leukotriene D4 receptor antagonist RG 7152 was evaluated in vivo following subchronic treatment in the mouse, rat, guinea pig, dog, and rhesus monkey. The ability of RG 7152 was evaluated in vivo following subchronic treatment in the mouse, rat, guinea pig, dog, and rhesus monkey. The ability of RG 7152 to induce this enzyme system in rat extrahepatic tissues reported to respond to peroxisome proliferators and in vitro in primary rat hepatocytes was also investigated. Western blot anal. for PBE and .beta.-oxidn. assays revealed significant induction by RG7152 in liver homogenates from rats and mice with a lesser effect in guinea pigs and monkeys and no effect in dogs. The degree of induction in rat liver was less than that obsd. in a pos. control group treated with clofibrate (CF). There was slight induction of PBE in rat kidney and small intestine by CF, whereas RG7152 elicited a minimal response in the kidney and no effect in the small intestine. In vitro, RG7152 produced a response that was greater than that produced by diethylhexyl phthalate, approx. equiv. to that produced by clofibric acid, but less than that produced by bezafibrate. Dose-response comparison of RG 7152 with the tetrazole-substituted leukotriene D4 antagonist LY171883 to be slightly more potent than RG 7152. Thus, RG7152 represents a second chem. class of

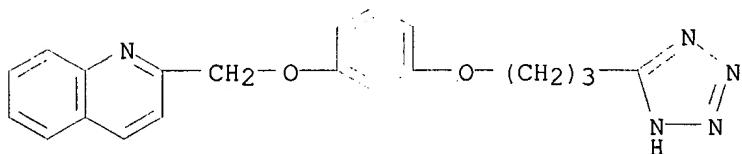
tetrazole-substituted leukotriene D4 antagonist that causes peroxisomal enzyme induction in rodents.

IT 107813-63-8, RG 7152

RL: ADV (Adverse effect, including toxicity); BIOL (Biological study) (peroxisomal enzyme induction by a tetrazole-substituted 2-quinolinylmethoxy leukotriene D4 antagonist)

RN 107813-63-8 CAPLUS

CN Quinoline, 2-[[3-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



L19 ANSWER 29 OF 98 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1994:134483 CAPLUS

DOCUMENT NUMBER: 120:134483

TITLE: (Quinolyl)benzofuran as leukotriene D4 receptor antagonists

INVENTOR(S): Matsuo, Masaaki; Okumura, Kazuo; Shigenaga, Shinji

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 116 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

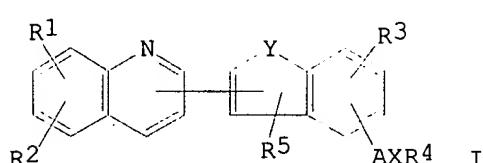
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9317013	A1	19930902	WO 1993-JP198	19930218
W: CA, JP, KR, US RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 626956	A1	19941207	EP 1993-904318	19930218
EP 626956	B1	19970507		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 07504411	T2	19950518	JP 1993-514690	19930218
AT 152719	E	19970515	AT 1993-904318	19930218
US 5661159	A	19970826	US 1994-256735	19940802
PRIORITY APPLN. INFO.:			GB 1992-3798	19920221
			WO 1993-JP198	19930218

OTHER SOURCE(S): MARPAT 120:134483

GI



AB The title compds. I [A = direct bond, lower alkylene, lower alkenylene; R1

= H, halogen, (un)substituted lower alkyl, lower alkylamino, lower alkyl(acyl)amino, lower cycloalkyl, tricycloalkyl, (un)substituted aryl, heterocyclyl; R2 = H, halogen; R3 = H, halogen, HO, lower alkyl, lower alkoxy; R4 = H, acyl, CN, NO₂, (un)substituted aryl, etc.; R5 = H, HO, lower alkyl, lower alkoxy, X = direct bond, O, NH, S, SO, SO₂; Y = O, S; when R3 = R4 = R5 = H then A = X = direct bond and R1 = halogen, etc.], useful for the treatment and/or prevention of allergy or inflammation (no data), which are leukotriene D4 receptor antagonists, are prepd. Thus, 2-[2-[2-(7-chloroquinolyl)]benzofuran-5-yloxy]methylbenzyl cyanide was cyclized with NaN₃ at 110.degree. for 2 days in DMF, producing 5-[2-[2-(7-chloroquinolyl)]benzofuran-5-yloxy]methylbenzyl-1H-tetrazole (II). II demonstrated 97.5% inhibition of tritiated leukotriene D4 binding to leukotriene D4 receptors (isolated from crude lung membrane preps. prepd. from guinea pigs) of 1 .mu.g/mL.

IT

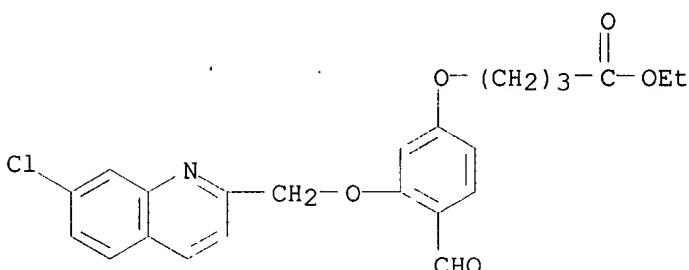
152942-07-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and reaction of, in prepn. of leukotriene D4 receptor antagonists)

RN

152942-07-9 CAPLUS

CN Butanoic acid, 4-[3-[(7-chloro-2-quinolinyl)methoxy]-4-formylphenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 30 OF 98 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1994:163998 CAPLUS

DOCUMENT NUMBER: 120:163998

TITLE: Preparation of quinolinyl group-containing phenoxyacetic acid derivatives as TXA₂ and leukotriene antagonists

INVENTOR(S): Igarashi, Azuma; Maeda, Sachiko

PATENT ASSIGNEE(S): Terumo Corp, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.

CODEN: JKXXAF

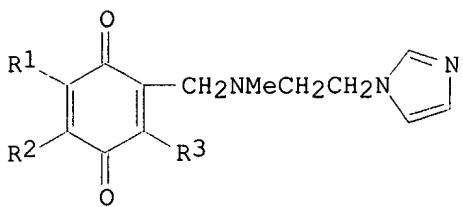
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05279336	A2	19931026	JP 1992-64772	19920323
OTHER SOURCE(S):	MARPAT 120:163998			
GI				



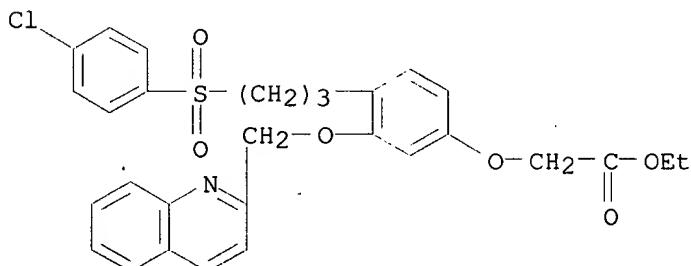
AB The title derivs. I [X = H, halo, lower alkyl, CF₃, alkoxy, OH, cyano; R1 = CO₂R₂, tetrazolyl; R2 = H, lower alkyl; R3 = quinolin-2-ylmethyl, (2-quinolinylmethoxy)benzyl; n = 0-2] or their physiol. acceptable salts, also useful as antiallergy agents, are prep'd. Tosylation of 3-(4-methoxymethoxy-2-benzyloxy)phenyl-1-propanol and subsequent reaction with p-chlorothiophenol gave 74% 4-[3-(4-chlorophenylthio)propyl]-3-benzyloxy-1-methoxymethoxybenzene, which was oxidized by m-chloroperbenzoic acid to give 93% sulfonyl deriv. Then, deprotection of the sulfonyl deriv. by HCl gave 93% 4-[3-(4-chlorobenzenesulfonyl)propyl]-3-benzyloxyphenol, which was treated with BrCH₂CO₂Et in Me₂CO to give 97% benzyloxyphenoxyacetate deriv., debenzylatoin of which gave 73% Et 4-[3-(4-chlorobenzenesulfonyl)propyl]-3-hydroxyphenoxyacetate (II). II was stirred with NaH in DMF and treated with 2-chloromethylquinoline-HCl at room temp. to give 41% I (X = 4-Cl, R1 = CO₂Et, R3 = 2-quinolinemethyl, n = 1), hydrolysis of which by aq. NaOH in THF gave 67% I (R1 = CO₂H). The latter compd. inhibited LTD₄-induced contraction of guinea pig ileum at IC₅₀ of 8.3 times. 10-6 M.

IT 153475-32-2P 153475-35-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as leukotriene and thromboxane antagonist)

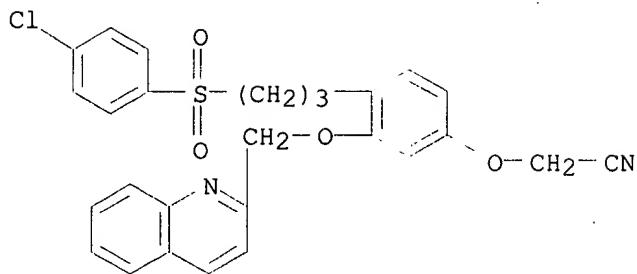
RN 153475-32-2 CAPLUS

CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-3-(2-quinolinylmethoxy)phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 153475-35-5 CAPLUS

CN Acetonitrile, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)

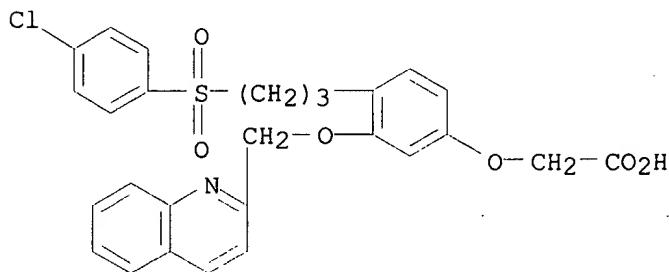


IT 153475-23-1P 153475-24-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as leukotriene and thromboxane antagonists)

RN 153475-23-1 CAPLUS

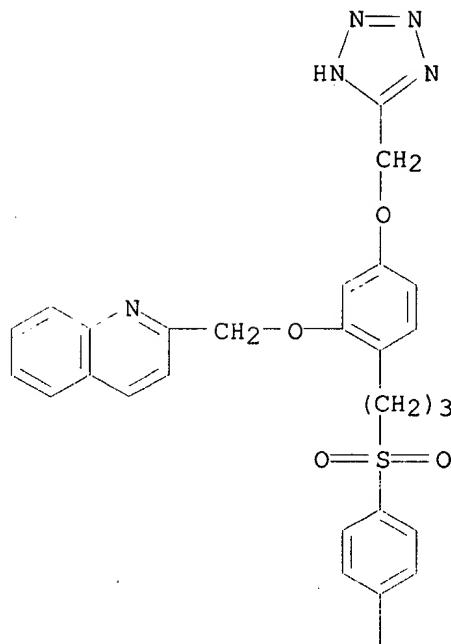
CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



RN 153475-24-2 CAPLUS

CN Quinoline, 2-[[2-[3-[(4-chlorophenyl)sulfonyl]propyl]-5-(1H-tetrazol-5-ylmethoxy)phenoxy]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

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Cl

L19 ANSWER 31 OF 98 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1994:106546 CAPLUS

DOCUMENT NUMBER: 120:106546

TITLE: Phenoxyacetic acid compounds and medical preparations containing them as combination thromboxane and leukotriene antagonists

INVENTOR(S): Igarashi, Azuma; Maeda, Sachiko; Sugizaki, Katuyoshi; Shizawa, Takashi; Tajima, Atsumi; Abe, Kenichi; Ozawa, Shinji

PATENT ASSIGNEE(S): Terumo K.K., Japan

SOURCE: Eur. Pat. Appl., 17 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

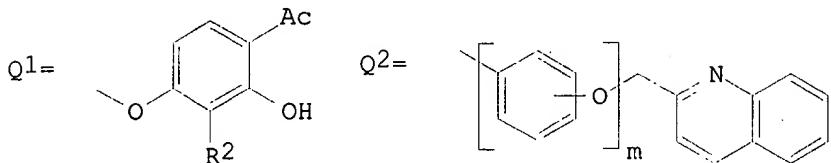
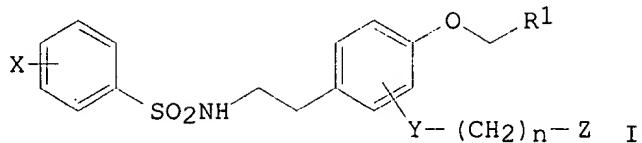
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 562796	A1	19930929	EP 1993-302146	19930322
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 05262736	A2	19931012	JP 1992-64773	19920323
JP 06041051	A2	19940215	JP 1992-198541	19920724
CA 2092152	AA	19930924	CA 1993-2092152	19930322

US 5360909 A 19941101 US 1993-35178 19930322
 PRIORITY APPLN. INFO.: JP 1992-64773 19920323
 OTHER SOURCE(S): MARPAT 120:106546 JP 1992-198541 19920724
 GI



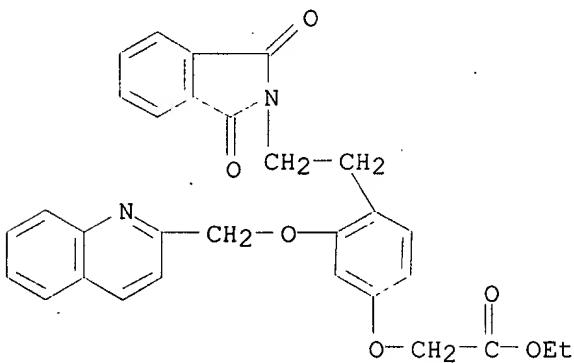
AB Title compds. I [X = H, alkyl, halo; R1 = CO2H, alkoxy carbonyl; Y = O, NHC(:S)NH, NHCO; n = 0-5; Z = acetylhydroxypheophenol group Q1, quinoline-contg. group Q2; R2 = H, alkyl; m = 0 or 1] (8 examples) were prep'd. as combination TXA2/leukotriene antagonists, for treatment of ischemic or allergic conditions. Thus, tert-Bu 4-(2-aminoethyl)-2-nitrophenoxyacetate (prep'd. in 3 steps) was subjected to a sequence of N-sulfonylation with p-ClC6H4SO2Cl (87%), hydrogenation of the nitro group (66%), amidation of the resultant amine with 3-(2-quinolinemethoxy)benzoyl chloride (83%), and deprotection of the ester with CF3CO2H (61%) to give I [X = 4-Cl, R1 = CO2H, Y = 2-NHCO, n = 0, Z = Q2, m = 1 (O in 3-position)] (II). In a test for inhibition of TXA2- or LTD4-induced contraction of isolated guinea pig tracheal strips, II had IC50 values of 4.2 .times. 10-9 M and 6.5 .times. 10-8 M, resp.

IT 152499-02-0

RL: RCT (Reactant)
 (hydrazinolysis of, in prepn. of TXA2-leukotriene antagonists)

RN 152499-02-0 CAPLUS

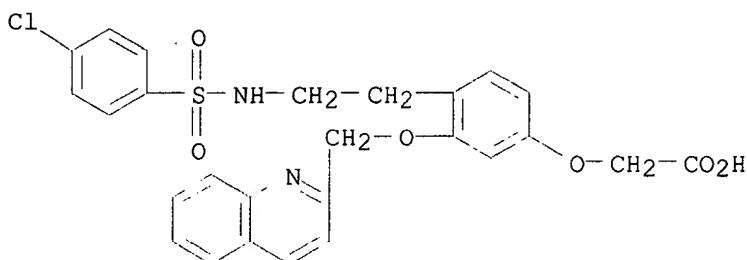
CN Acetic acid, [4-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethyl]-3-(2-quinolinylmethoxy)phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



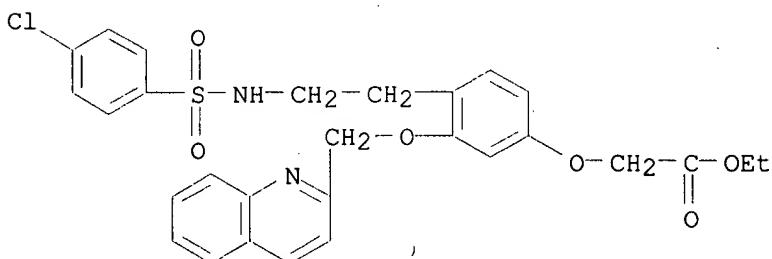
IT 152498-85-6

RL: RCT (Reactant)
 (prepn. as combination TXA2-leukotriene antagonist)

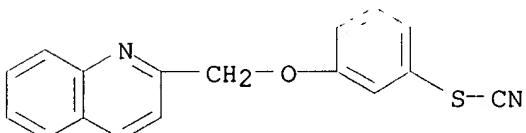
RN 152498-85-6 CAPLUS
 CN Acetic acid, [4-[2-[[4-chlorophenyl]sulfonyl]amino]ethyl]-3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



IT 152498-97-0 152498-99-2
 RL: RCT (Reactant)
 (prepn. as intermediate in prepn. of TXA2-leukotriene antagonists)
 RN 152498-97-0 CAPLUS
 CN Acetic acid, [4-[2-[[4-chlorophenyl]sulfonyl]amino]ethyl]-3-(2-quinolinylmethoxy)phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 152498-99-2 CAPLUS
 CN Thiocyanic acid, 3-(2-quinolinylmethoxy)phenyl ester (9CI) (CA INDEX NAME)

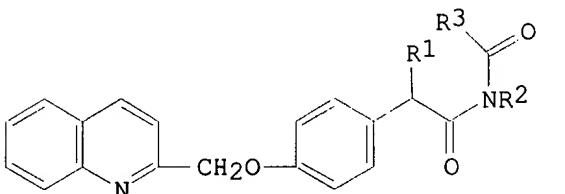


L19 ANSWER 32 OF 98 CAPLUS COPYRIGHT 2001 ACS
 ACCESSION NUMBER: 1993:560141 CAPLUS
 DOCUMENT NUMBER: 119:160141
 TITLE: N-acyl-.alpha.-cycloalkyl[(quinolinylmethoxy)phenyl]acetamides
 INVENTOR(S): Raddatz, Siegfried; Mohrs, Klaus Helmut; Matzke, Michael; Fruchtmann, Romanis; Hatzelmann, Armin; Mueller-Peddinghaus, Reiner
 PATENT ASSIGNEE(S): Bayer A.-G., Germany
 SOURCE: Eur. Pat. Appl., 14 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 545171	A1	19930609	EP 1992-119777	19921120
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
DE 4139750	A1	19930609	DE 1991-4139750	19911203
NO 9204466	A	19930604	NO 1992-4466	19921119
US 5288733	A	19940222	US 1992-979756	19921123
CA 2084161	AA	19930604	CA 1992-2084161	19921130
AU 9229800	A1	19930610	AU 1992-29800	19921201
AU 654067	B2	19941020		
JP 05246993	A2	19930924	JP 1992-343590	19921201
ZA 9209332	A	19930604	ZA 1992-9332	19921202
HU 67015	A2	19950130	HU 1992-3813	19921202
PRIORITY APPLN. INFO.:			DE 1991-4139750	19911203
OTHER SOURCE(S):		MARPAT 119:160141		
GI				



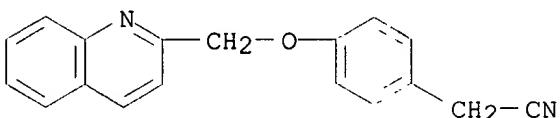
AB The title compds. N-acyl4-[(2-quinolinyl)methoxy]benzeneacetamides (R1 = cycloalkyl; R2, R3 = H, alkyl, etc.;) and their uses as leukotriene inhibitors are claimed. I are leukotriene B4 antagonists and hence 5-lipoxygenase inhibitors and are thus potential inflammation inhibitors, antiasthmatics and antiallergics (no data). Thus, (.+-.)-N-acetyl-.alpha.-cycloheptyl-4-[(2-quinolinyl)methoxy]benzeneacetamide I (R1 = cycloheptyl; R2 = H, R3 = Me) was prep'd. in several steps.

IT 114497-66-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for N-acyl-.alpha.-cycloalkyl[(quinolinyl)methoxy]benzeneacetamide (leukotriene antagonist))

RN 114497-66-4 CAPLUS

CN Benzeneacetonitrile, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 33 OF 98 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1993:560142 CAPLUS

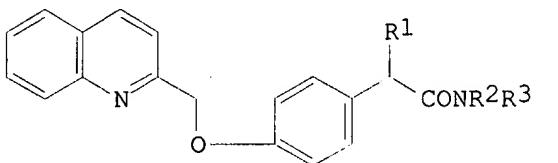
DOCUMENT NUMBER: 119:160142

TITLE: [(quinolinylmethoxy)phenyl]acetamides

INVENTOR(S): Raddatz, Siegfried; Mohrs, Klaus Helmut; Matzke, Michael; Fruchtmann, Romanis; Hatzelmann, Armin; Mueller-Peddinghaus, Reinerep

PATENT ASSIGNEE(S): Bayer A.-G., Germany
 SOURCE: Eur. Pat. Appl., 24 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 545170	A1	19930609	EP 1992-119776	19921120
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
DE 4139749	A1	19930609	DE 1991-4139749	19911203
NO 9204465	A	19930604	NO 1992-4465	19921119
US 5310744	A	19940510	US 1992-979745	19921123
CA 2084162	AA	19930604	CA 1992-2084162	19921130
AU 9229799	A1	19930610	AU 1992-29799	19921201
JP 05246994	A2	19930924	JP 1992-343591	19921201
ZA 9209331	A	19930607	ZA 1992-9331	19921202
PRIORITY APPLN. INFO.:			DE 1991-4139749	19911203
OTHER SOURCE(S):	MARPAT 119:160142			
GI				



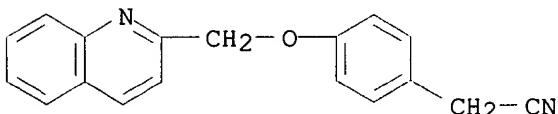
AB The title compds. 4-[(2-quinolinyl)methoxy]benzeneacetamides (R1 = cycloalkyl; R2, R3 = H, alkyl, etc.) and their uses as leukotriene inhibitors are claimed. They are leukotriene B₄ antagonists and hence 5-lipoxygenase inhibitors and are thus potential inflammation inhibitors, antiasthmatics and antiallergics (no data). Thus, (+)-alpha.-cyclopentyl-4-[(2-quinolinyl)methoxy]benzeneacetamide (+)-I (R1 = cyclopentyl; R2, R3 = H) was prepd. in several steps.

IT 114497-66-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as intermediate for .alpha.-cycloalkyl[(quinolinyl)methoxy] benzeneacetamide (leukotriene antagonist))

RN 114497-66-4 CAPLUS

CN Benzeneacetonitrile, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 34 OF 98 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1993:538907 CAPLUS

DOCUMENT NUMBER: 119:138907

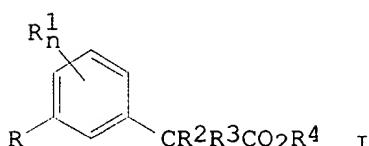
TITLE: Preparation of 2-[3-(aryl methoxy)phenyl]alkanoates as 5-lipoxygenase inhibitors

INVENTOR(S): Waterson, David

PATENT ASSIGNEE(S): Imperial Chemical Industries PLC, UK; ICI Pharma
 SOURCE: Eur. Pat. Appl., 19 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 540165	A1	19930505	EP 1992-308617	19920922
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 5298511	A	19940329	US 1992-948594	19920923
JP 05221926	A2	19930831	JP 1992-264444	19921002
PRIORITY APPLN. INFO.:			EP 1991-402638	19911003
OTHER SOURCE(S):		MARPAT 119:138907		

GI



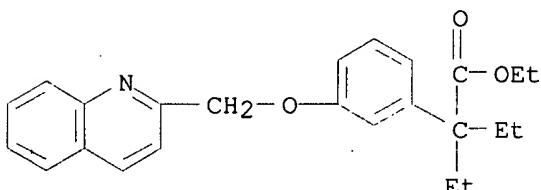
AB Title compds. [I; R = R5AX; A = C1-3 alkylene; R1 = halo, (fluoro)alkyl, alkoxy; R2, R3 = alkyl, alkenyl, alkynyl; R4 = H, alkyl; R5 = Ph, naphthyl, 10-membered bicyclic heterocyclyl, etc.; X = O, SOO-2; n = 0-2] were prepnd. Thus, 3-(MeO)C6H4CH2CO2H was converted in 4 steps to 3-(HO)C6H4CEt2CO2Et which was condensed with 2-bromomethylnaphthalene to give I (2-naphthylmethoxy, R1 = H, R2 = R3 = R4 = Et). I had ED50 of 0.1-50 mg/kg orally against zymosan-induced LTB4 release in rat air pouch.

IT 149490-68-6P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of, as lipoxygenase inhibitor)

RN 149490-68-6 CAPLUS

CN Benzeneacetic acid, .alpha.,.alpha.-diethyl-3-(2-quinolinylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 35 OF 98 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1993:139443 CAPLUS

DOCUMENT NUMBER: 118:139443

TITLE: Mode of action of the new selective leukotriene synthesis inhibitor BAY X 1005 {(R)-2-[4-(quinolin-2-yl-methoxy)phenyl]-2-cyclopentyl acetic acid} and structurally related compounds

AUTHOR(S): Hatzelmann, A.; Fruchtmann, R.; Mohrs, K. H.; Raddatz, S.; Mueller-Peddinghaus, R.
 CORPORATE SOURCE: Inst. Cardiovasc. Arterioscler. Res., Bayer AG, Wuppertal, Germany
 SOURCE: Biochem. Pharmacol. (1993), 45(1), 101-11
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB BAY X 1005 { (R)-2-[4-(quinolin-2-yl-methoxy)phenyl]-2-cyclopentyl acetic acid} has been demonstrated to be a potent inhibitor of leukotriene B₄ (LTB₄) and 5-hydroxyeicosatetraenoic acid (5-HETE) synthesis in various in vitro systems. Using mainly human polymorphonuclear leukocytes (PMNL) this study elucidates the mechanism of inhibition of 5-lipoxygenase (5-LOX, EC 1.13.11.34)-derived arachidonic acid metabolites by BAY X 1005. At concns. of BAY X 1005 which almost totally inhibited the formation of 5-LOX-derived metabolites, both arachidonic acid release and platelet-activating factor synthesis were only modestly affected. This suggests that the inhibitory effect of BAY X 1005 is not due to a limitation of substrate availability for 5-LOX. Compared to the inhibition of leukotriene synthesis in intact human PMNL about 800-fold higher concns. of BAY X 1005 were required to inhibit leukotriene formation in a cell-free system suggesting that the inhibitory effect of BAY X 1005 cannot be explained by a direct effect on 5-LOX. In an attempt to identify possible target proteins of BAY X 1005, [¹⁴C]BAY X 1005 was used in binding studies under equil. conditions. The quant. anal. of specific binding in intact human PMNL revealed two binding sites for BAY X 1005. Upon subcellular fractionation of these cells the BAY X 1005 high affinity binding site was localized in the microsomal fraction whereas the low affinity binding site was localized in the granule fraction. The K_d for BAY X 1005 binding to the high affinity binding site (0.165 .mu.mol/L) was almost identical to the IC₅₀ value for inhibition of LTB₄ synthesis (0.22 .mu.mol/L). Furthermore, the IC₅₀ values for competition of BAY X 1005 binding at the high affinity binding site were almost identical to the IC₅₀ values for inhibition of LTB₄ synthesis in the case of BAY X 1005, 12 other structurally related quinoline derivs. and the ref. compds. REV-5901, WY-50,295 and MK-886, but not in the case of the direct 5-LOX inhibitors A-64077 and AA-861. The anal. of BAY X 1005 binding in rat PMNL also revealed two binding sites. Whereas the low affinity binding site in rat PMNL exhibited a K_d similar to the human, the rat high affinity binding site showed a 5.5-fold higher affinity for BAY X 1005 compared to the human. This correlates well with the 8.5-fold higher sensitivity of rat vs. human PMNL concerning inhibition of LTB₄ synthesis. Competition expts. verified that this relationship holds true also for 12 other quinoline derivs. as well as for REV-5901, WY-50,295 and MK-886. Taken together, these results indicate a causal relationship between the binding of BAY X 1005 to the high affinity binding site and the inhibition of leukotriene synthesis in human and rat PMNL. In addn., the localization of this binding site in the microsomal fraction and the competition of BAY X 1005 binding by MK-886 suggest that the target protein of BAY X 1005 mediating leukotriene synthesis inhibition is identical to 5-LOX-activating protein.

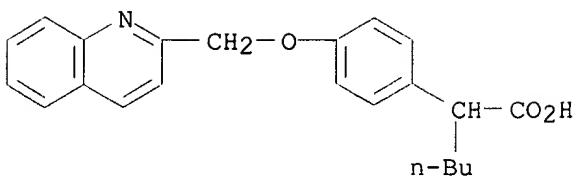
IT 126960-82-5 146234-61-9

RL: BIOL (Biological study)

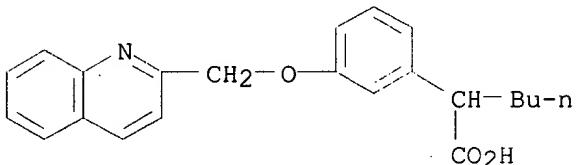
(leukotriene formation by human polymorphonuclear leukocytes inhibition by, mechanism of)

RN 126960-82-5 CAPLUS

CN Benzeneacetic acid, .alpha.-butyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



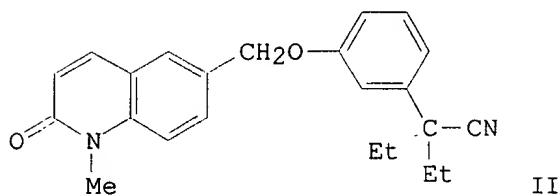
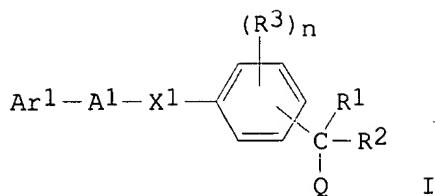
RN 146234-61-9 CAPLUS
 CN Benzeneacetic acid, .alpha.-butyl-3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 36 OF 98 CAPLUS COPYRIGHT 2001 ACS
 ACCESSION NUMBER: 1993:22157 CAPLUS
 DOCUMENT NUMBER: 118:22157
 TITLE: .alpha.,.alpha.-Dialkylbenzyl derivatives containing aromatic and heteroaromatic nuclei and their preparation and use as 5-lipoxygenase inhibitors
 INVENTOR(S): Edwards, Philip Neil; Waterson, David
 PATENT ASSIGNEE(S): Imperial Chemical Industries PLC, UK; ICI Pharma
 SOURCE: Eur. Pat. Appl., 23 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 505122	A1	19920923	EP 1992-302236	19920316
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, PT, SE				
JP 05112484	A2	19930507	JP 1992-61974	19920318
US 5288742	A	19940222	US 1992-853277	19920318
US 5519022	A	19960521	US 1993-149803	19931110
PRIORITY APPLN. INFO.:			EP 1991-400772	19910321
			US 1992-853277	19920318

OTHER SOURCE(S): MARPAT 118:22157
 GI



AB Thirteen title compds. I [Ar1 = (un)substituted Ph, naphthyl, 10-membered bicyclic heterocycll contg. 1-2 N and an addnl. N, O, or S atom; Al1 = bond, C1-3 alkylene; X1 = O, S, S(O), S(O)2; R1, R2 = C1-4 alkyl or fluoroalkyl, C2-4 alkenyl or alkynyl, (un)substituted Ph, phenylalkyl; R1 = R2 .noteq. Me or fluoromethyl; R3 = halo, OH, amino, NO2, cyano, carbamoyl, ureido, C1-4 alkyl, alkoxy, or fluoroalkyl; n = 0-2; Q = cyano, amino, NO2, CHO, C1-4 alkoxy, thiazolyl, C2-4 alkanoyl] were prep'd. as selective inhibitors of 5-lipoxygenase (5-LO). Thus, 3-MeOC₆H₄CH₂CN was ethylated twice using LiN(Pr-iso)₂ and EtI (78% and 65%) and demethylated with BBr₃ (72%) to give 3-HOC₆H₄CEt₂CN, which was etherified with 6-(bromomethyl)-1-methyl-1,2-dihydroquinolin-2-one using K₂CO₃ in DMF to give 78% title compd. II. In an in vitro human blood LTB₄ assay for inhibition of 5-LO, II had IC₅₀ of 0.5 .mu.M.

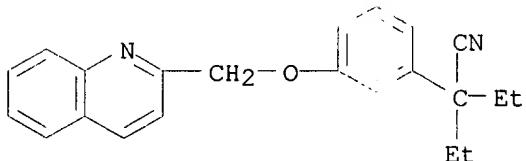
IT 144828-64-8P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as lipoxygenase inhibitor)

RN 144828-64-8 CAPLUS

CN Benzeneacetonitrile, .alpha.,.alpha.-diethyl-3-(2-quinolinylmethoxy)-(9CI) (CA INDEX NAME)



L19 ANSWER 37 OF 98 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1993:38772 CAPLUS

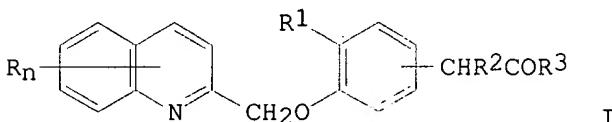
DOCUMENT NUMBER: 118:38772

TITLE: Preparation of 2-cycloalkyl-2-[(quinolinylmethoxy)phenyl] acetates as lipoxygenase inhibitors

INVENTOR(S): Raddatz, Siegfried; Mohrs, Klaus Helmut; Matzke, Michael; Fruchtmann, Romanis; Hatzelmann, Armin; Kohlsdorfer, Christian; Mueller-Peddinghaus, Reiner; Theisen-Popp, Pia

PATENT ASSIGNEE(S): Bayer A.-G., Germany
 SOURCE: Eur. Pat. Appl., 52 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 499926	A1	19920826	EP 1992-102156	19920210
EP 499926	B1	19960911		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, PT, SE				
DE 4105551	A1	19920827	DE 1991-4105551	19910222
AU 9210542	A1	19920827	AU 1992-10542	19920129
AU 641585	B2	19930923		
AT 142623	E	19960915	AT 1992-102156	19920210
ES 2091958	T3	19961116	ES 1992-102156	19920210
JP 05092957	A2	19930416	JP 1992-69073	19920218
IL 101009	A1	19960804	IL 1992-101009	19920219
PL 170726	B1	19970131	PL 1992-293534	19920219
PL 171026	B1	19970228	PL 1992-314698	19920219
FI 9200732	A	19920823	FI 1992-732	19920220
ZA 9201268	A	19921125	ZA 1992-1268	19920221
RU 2077532	C1	19970420	RU 1992-5010907	19920221
CZ 282723	B6	19970917	CZ 1992-514	19920221
PRIORITY APPLN. INFO.:			DE 1991-4105551	A 19910222
OTHER SOURCE(S):		MARPAT 118:38772		
GI				

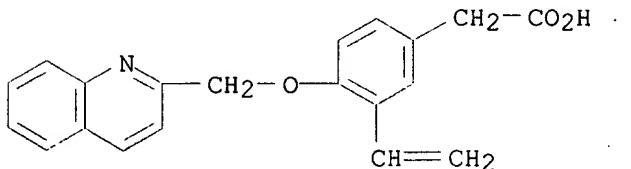


AB Title compds. (I; R = H, OH; halo, alkyl, aryl, etc.; R1 = halo, OH, alkyl, aryl, etc.; R2 = cycloalkyl, -alkenyl; R3 = OH, alkoxy, OPh, arylsulfonylamino, etc.; n = 1-6) were prep'd. Thus, 3,4-F(HO)C6H3CH2CO2H was esterified and the product condensed with 2-chloromethylquinoline to give, after alkylation with cyclopentyl bromide, 3,4-R1(R4O)C6H3CHR2CO2Me (R2 = cyclopentyl, R4 = 2-quinolylmethyl) (II; R1 = F). II (R1 = CH:CH2) had IC50 of 0.56 .mu.M for inhibition of 5-lipoxygenase in vitro.

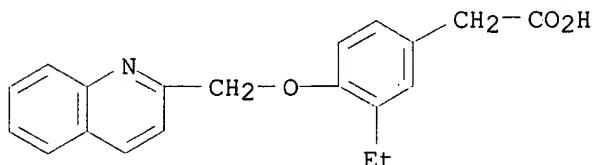
IT 145043-23-8 145043-25-0
 RL: RCT (Reactant)
 (3prepn. and reaction of, in prepn. of lipoxygenase inhibitors)

RN 145043-23-8 CAPLUS

CN Benzeneacetic acid, 3-ethenyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

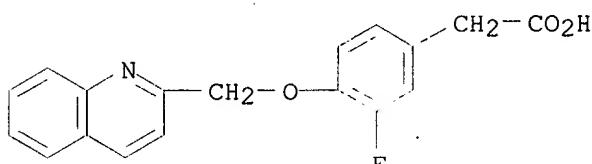


RN 145043-25-0 CAPLUS
 CN Benzeneacetic acid, 3-ethyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX
 NAME)

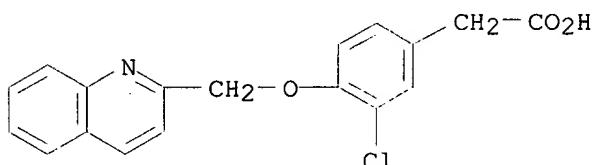


IT 145042-98-4P 145043-02-3P 145043-04-5P
 145043-07-8P 145043-09-0P 145043-14-7P
 145043-16-9P 145043-18-1P 145043-21-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and reaction of, in prepn. of lipoxygenase inhibitors)

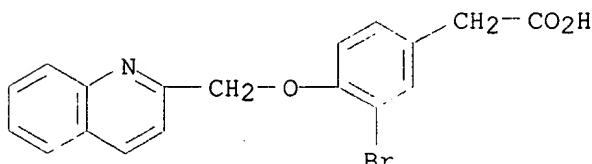
RN 145042-98-4 CAPLUS
 CN Benzeneacetic acid, 3-fluoro-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX
 NAME)



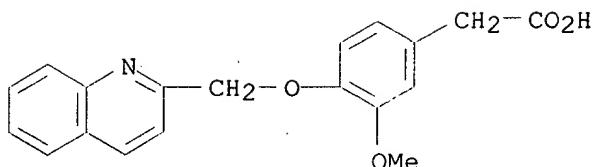
RN 145043-02-3 CAPLUS
 CN Benzeneacetic acid, 3-chloro-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX
 NAME)



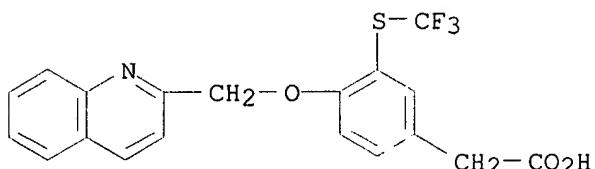
RN 145043-04-5 CAPLUS
 CN Benzeneacetic acid, 3-bromo-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX
 NAME)



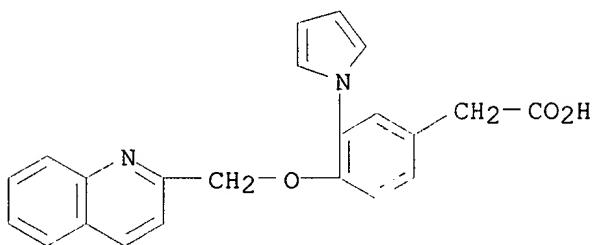
RN 145043-07-8 CAPLUS
 CN Benzeneacetic acid, 3-methoxy-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX
 NAME)



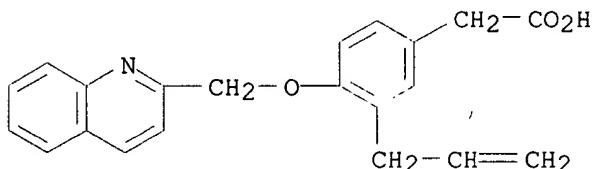
RN 145043-09-0 CAPLUS
 CN Benzeneacetic acid, 4-(2-quinolinylmethoxy)-3-[(trifluoromethyl)thio]- (9CI) (CA INDEX NAME)



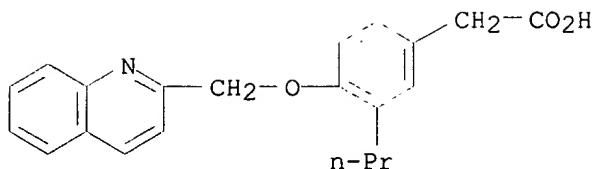
RN 145043-14-7 CAPLUS
 CN Benzeneacetic acid, 3-(1H-pyrrol-1-yl)-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



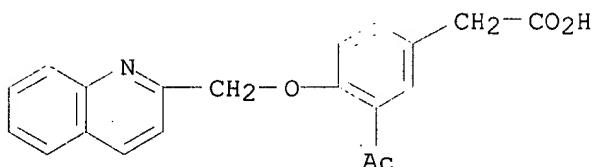
RN 145043-16-9 CAPLUS
 CN Benzeneacetic acid, 3-(2-propenyl)-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



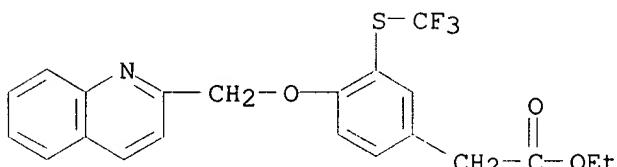
RN 145043-18-1 CAPLUS
 CN Benzeneacetic acid, 3-propyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



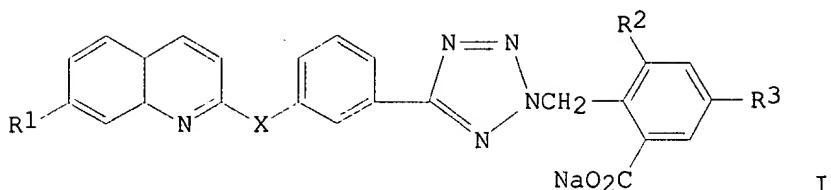
RN 145043-21-6 CAPLUS
 CN Benzeneacetic acid, 3-acetyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



IT 145043-08-9
 RL: RCT (Reactant)
 (reaction of, in prepn. of lipoxygenase inhibitors)
 RN 145043-08-9 CAPLUS
 CN Benzeneacetic acid, 4-(2-quinolinylmethoxy)-3-[(trifluoromethyl)thio]-, ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 38 OF 98 CAPLUS COPYRIGHT 2001 ACS
 ACCESSION NUMBER: 1992:174064 CAPLUS
 DOCUMENT NUMBER: 116:174064
 TITLE: Optimization of the quinoline and substituted benzyl moieties of a series of phenyltetrazole leukotriene D₄ receptor antagonists.
 AUTHOR(S): Sawyer, J. Scott; Baldwin, Ronald F.; Rinkema, Lynn E.; Roman, Carlos R.; Fleisch, Jerome H.
 CORPORATE SOURCE: Lilly Res. Lab., Eli Lilly and Co., Indianapolis, IN, 46285, USA
 SOURCE: J. Med. Chem. (1992), 35(7), 1200-9
 CODEN: JMCMAR; ISSN: 0022-2623
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



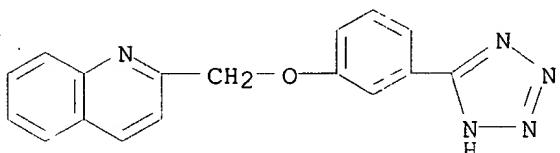
AB A series of highly potent quinoline-based leukotriene D4 (LTD4) receptor antagonists e.g., I (R1 = H, Cl, R2 = H, OMe, R3 = H, F, X = CH₂O, CH:CH) and related compds. contg. an N-benzyl-substituted phenyltetrazole moiety were prep'd. They were designed to provide both the correct positioning of the acidic function and secondary lipophilic domain required for strong receptor binding. Members of this series possess high activity in blocking LTD4-induced contractions of isolated guinea pig ileum. I (R1 = Cl, R2 = R3 = H, X = CH:CH), blocked contraction with a pKB value of 9.1 .+-. 0.3. Qual. structure-activity studies have demonstrated specific requirements for the best activity.

IT 107813-59-2

RL: RCT (Reactant)
(alkylation of, by (bromomethyl)benzoates)

RN 107813-59-2 CAPLUS

CN Quinoline, 2-[[3-(1H-tetrazol-5-yl)phenoxy]methyl]- (9CI) (CA INDEX NAME)

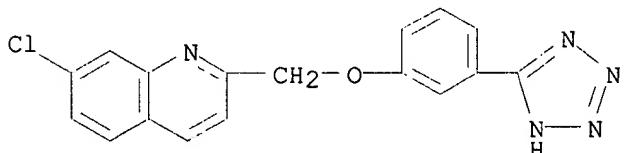


IT 138786-14-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and alkylation of, with (bromomethyl)benzoates)

RN 138786-14-8 CAPLUS

CN Quinoline, 7-chloro-2-[(3-(1H-tetrazol-5-yl)phenoxy)methyl]- (9CI) (CA INDEX NAME)

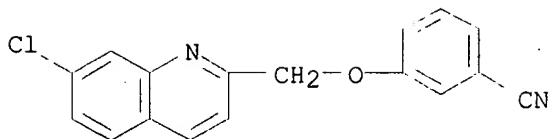


IT 138786-15-9P 138786-32-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and conversion to tetrazole deriv.)

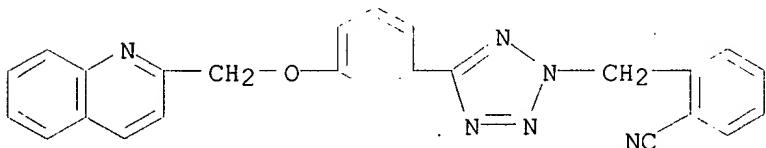
RN 138786-15-9 CAPLUS

CN Benzonitrile, 3-[(7-chloro-2-quinolinyl)methoxy]- (9CI) (CA INDEX NAME)



RN 138786-32-0 CAPLUS

CN Benzonitrile, 2-[5-[3-(2-quinolinylmethoxy)phenyl]-2H-tetrazol-2-yl]methyl- (9CI) (CA INDEX NAME)



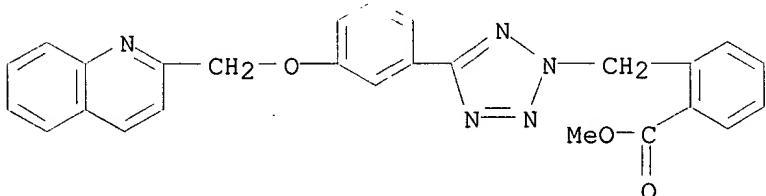
IT 138786-19-3P 138786-29-5P 138786-54-6P

138813-29-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and hydrolysis of)

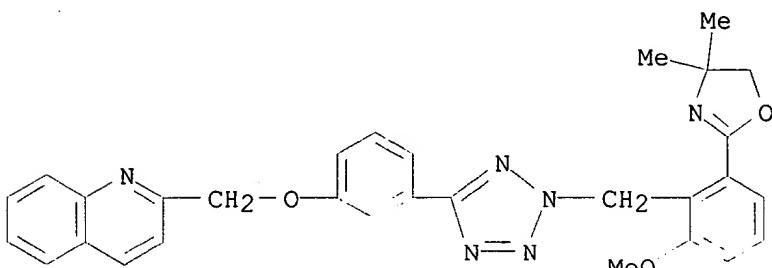
RN 138786-19-3 CAPLUS

CN Benzoic acid, 2-[5-[3-(2-quinolinylmethoxy)phenyl]-2H-tetrazol-2-yl]methyl-, methyl ester (9CI) (CA INDEX NAME)



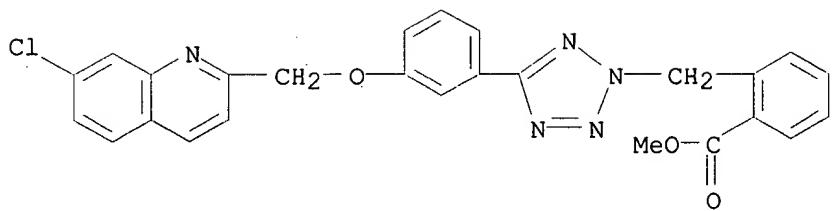
RN 138786-29-5 CAPLUS

CN Quinoline, 2-[[3-[2-[[2-(4,5-dihydro-4,4-dimethyl-2-oxazolyl)-6-methoxyphenyl]methyl]-2H-tetrazol-5-yl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



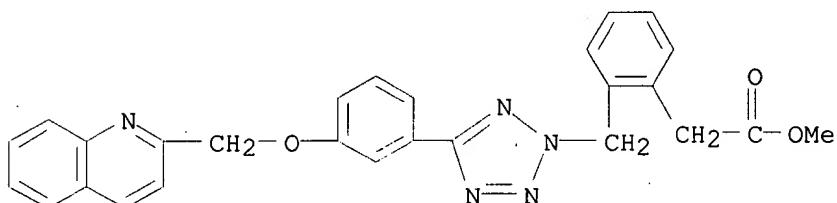
RN 138786-54-6 CAPLUS

CN Benzoic acid, 2-[5-[3-[(7-chloro-2-quinolinyl)methoxy]phenyl]-2H-tetrazol-2-yl]methyl-, methyl ester (9CI) (CA INDEX NAME)



RN 138813-29-3 CAPLUS

CN Benzeneacetic acid, 2-[[5-[3-(2-quinolinylmethoxy)phenyl]-2H-tetrazol-2-yl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



IT 138786-18-2P 138786-20-6P 138786-27-3P

138786-28-4P 138786-30-8P 138786-31-9P

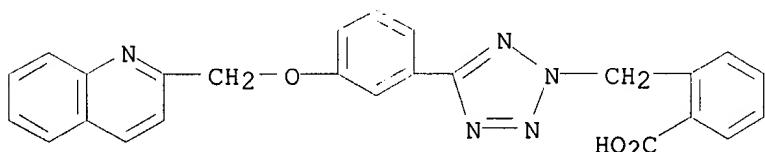
138786-42-2P 138786-43-3P 138786-52-4P

138786-53-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and leukotriene receptor antagonist activity of)

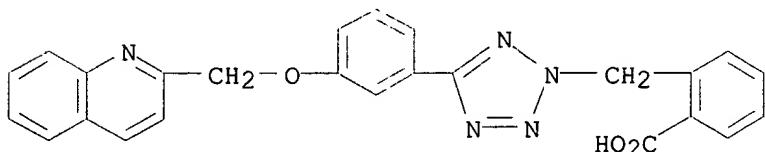
RN 138786-18-2 CAPLUS

CN Benzoic acid, 2-[[5-[3-(2-quinolinylmethoxy)phenyl]-2H-tetrazol-2-yl]methyl]- (9CI) (CA INDEX NAME)



RN 138786-20-6 CAPLUS

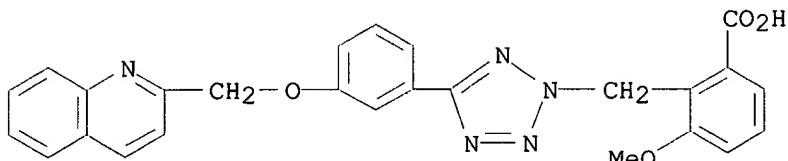
CN Benzoic acid, 2-[[5-[3-(2-quinolinylmethoxy)phenyl]-2H-tetrazol-2-yl]methyl]-, sodium salt (9CI) (CA INDEX NAME)



● Na

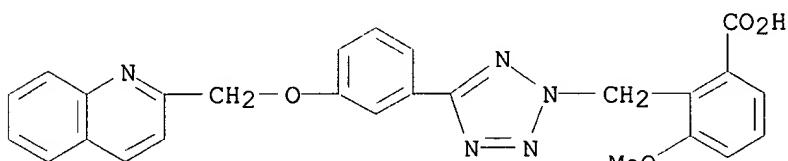
RN 138786-27-3 CAPLUS

CN Benzoic acid, 3-methoxy-2-[[5-[3-(2-quinolinylmethoxy)phenyl]-2H-tetrazol-2-yl]methyl]- (9CI) (CA INDEX NAME)



RN 138786-28-4 CAPLUS

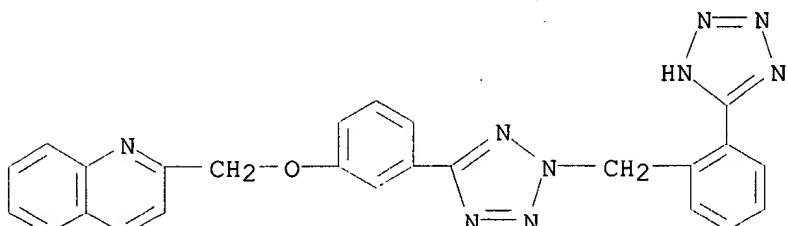
CN Benzoic acid, 3-methoxy-2-[[5-[3-(2-quinolinylmethoxy)phenyl]-2H-tetrazol-2-yl]methyl]-, sodium salt (9CI) (CA INDEX NAME)



● Na

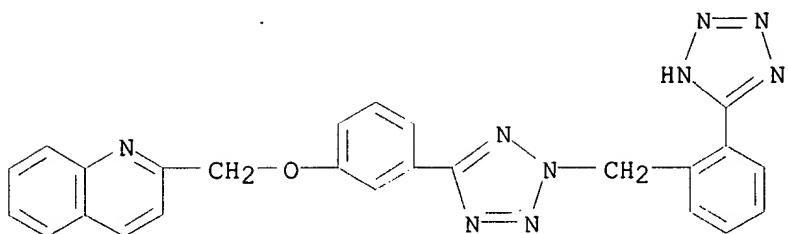
RN 138786-30-8 CAPLUS

CN Quinoline, 2-[[3-[2-[[2-(1H-tetrazol-5-yl)phenyl]methyl]-2H-tetrazol-5-yl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



RN 138786-31-9 CAPLUS

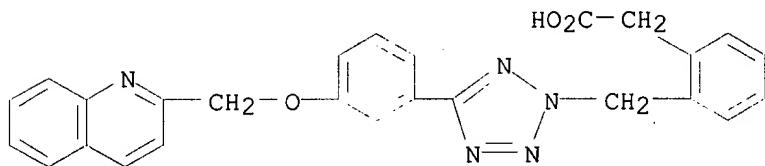
CN Quinoline, 2-[[3-[2-[[2-(1H-tetrazol-5-yl)phenyl]methyl]-2H-tetrazol-5-yl]phenoxy]methyl]-, sodium salt (9CI) (CA INDEX NAME)



● Na

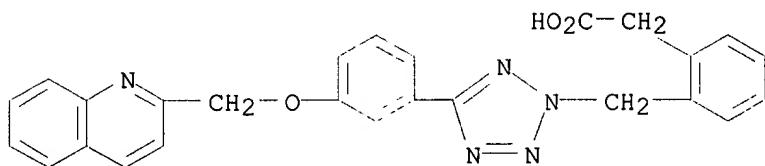
RN 138786-42-2 CAPLUS

CN Benzeneacetic acid, 2-[(5-[3-(2-quinolinylmethoxy)phenyl]-2H-tetrazol-2-yl)methyl]- (9CI) (CA INDEX NAME)



RN 138786-43-3 CAPLUS

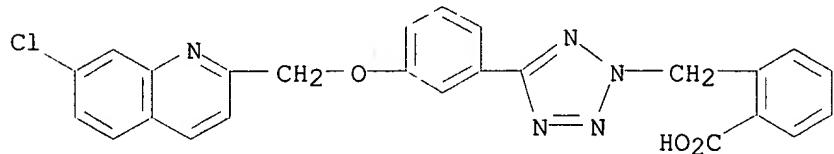
CN Benzeneacetic acid, 2-[(5-[3-(2-quinolinylmethoxy)phenyl]-2H-tetrazol-2-yl)methyl]-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 138786-52-4 CAPLUS

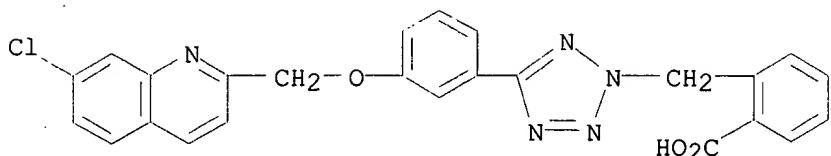
CN Benzoic acid, 2-[(5-[3-[(7-chloro-2-quinolinyl)methoxy]phenyl]-2H-tetrazol-2-yl)methyl]- (9CI) (CA INDEX NAME)



RN 138786-53-5 CAPLUS

CN Benzoic acid, 2-[(5-[3-[(7-chloro-2-quinolinyl)methoxy]phenyl]-2H-tetrazol-

2-yl]methyl]-, sodium salt (9CI) (CA INDEX NAME)



● Na

L19 ANSWER 39 OF 98 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1992:174066 CAPLUS

DOCUMENT NUMBER: 116:174066

TITLE: Development of a series of phenyltetrazole leukotriene D4(LTD4) receptor antagonists

AUTHOR(S): Harper, Richard W.; Herron, David K.; Bollinger, Nancy G.; Sawyer, J. Scott; Baldwin, Ronald F.; Roman, Carlos R.; Rinkema, Lynn E.; Fleisch, Jerome H.

CORPORATE SOURCE: Lilly Res. Lab., Eli Lilly and Co., Indianapolis, IN, 46285, USA

SOURCE: J. Med. Chem. (1992), 35(7), 1191-200
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 116:174066

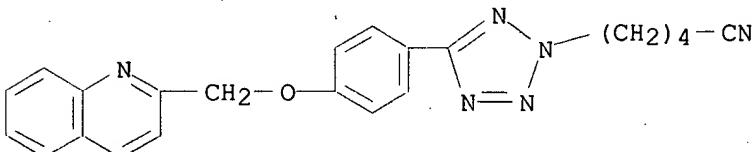
AB A hypothetical model for receptor binding of leukotriene D4 (LTD4) was deduced from conformational anal. of LTD4 and from the structure-activity relationships (SAR) of known LTD4 receptor antagonists. A new structural series of LTD4 receptor antagonists exemplified by 5-[4-(4-phenylbutoxy)phenyl]-2-[4-(tetrazol-5-yl)butyl]-2H-tetrazole was designed in which a phenyltetrazole moiety was incorporated as a receptor binding equiv. of the triene unit of LTD4. A no. of these phenyltetrazoles were prepd. and found to possess LTD4 receptor antagonist activity.

IT 138631-02-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and cyclocondensation reaction of, with tributyltin azide)

RN 138631-02-4 CAPLUS

CN 2H-Tetrazole-2-pentanenitrile, 5-[4-(2-quinolinylmethoxy)phenyl]- (9CI)
(CA INDEX NAME)

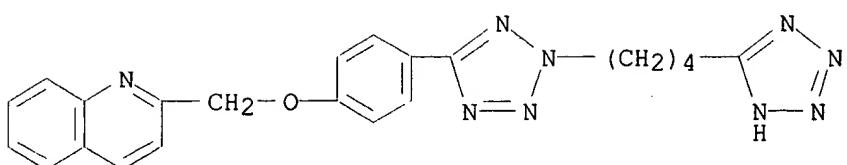


IT 138631-01-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and leukotriene D4 antagonist activity of)

RN 138631-01-3 CAPLUS

CN Quinoline, 2-[[4-[2-[4-(1H-tetrazol-5-yl)butyl]-2H-tetrazol-5-yl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



L19 ANSWER 40 OF 98 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1993:233987 CAPLUS

DOCUMENT NUMBER: 118:233987

TITLE: Synthesis of certain 6-chloro-2-substituted-quinazolin-4-(3H)-ones, 6-chloro-2,4-disubstituted-quinazolines and related fused analogs as counterparts of folic acid analogs

AUTHOR(S): Abdelal, Ali M.

CORPORATE SOURCE: Coll. Pharm., Univ. Mansoura, Mansoura, 355 16, Egypt

SOURCE: Orient. J. Chem. (1992), 8(4), 286-93

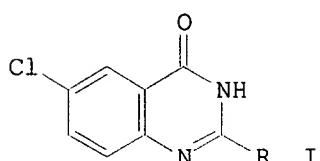
CODEN: OJCHEG; ISSN: 0970-020X

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 118:233987

GI



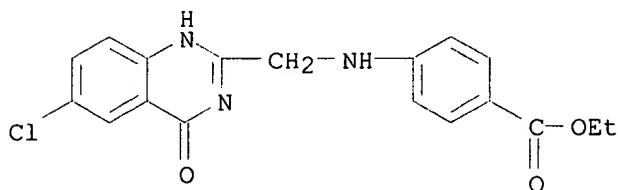
AB The synthesis of 15 new 6-chloro-2-substituted-quinazolin-4(3H)-ones including variation in the 9-10 bridge region is described. Thus, bromination of 6-chloro-3-methylquinazolin-4(3H)-one (I, R = Me) with N-bromosuccinimide afforded the 2-bromomethyl deriv. I (R = BrCH₂).

IT 147423-75-4P

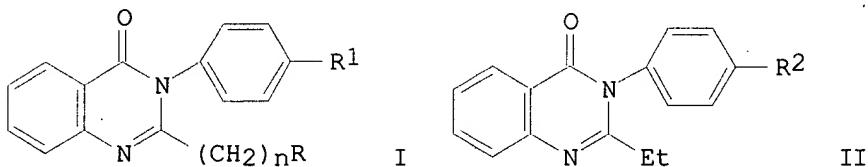
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and hydrolysis of)

RN 147423-75-4 CAPLUS

CN Benzoic acid, 4-[[[6-chloro-1,4-dihydro-4-oxo-2-quinazolinyl)methyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 41 OF 98 CAPLUS COPYRIGHT 2001 ACS
 ACCESSION NUMBER: 1994:534062 CAPLUS
 DOCUMENT NUMBER: 121:134062
 TITLE: 4-(3H)-Quinazolones: 2-N-aryl/alkylaminomethyl/ethyl-3-p-hydroxyphenyl/p-anisyl/p-arylaminoacyloxyphenyl/p-N-arylcaramoylmethoxyphenyl-4-(3H)-quinazolones
 AUTHOR(S): Parasharya, P. M.; Soni, V. C.; Parikh, A. R.
 CORPORATE SOURCE: Chem. Dep., Saurashtra Univ., Rajkot, 360 005, India
 SOURCE: J. Inst. Chem. (India) (1992), 64(6), 238-41
 CODEN: JOICA7; ISSN: 0020-3254
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



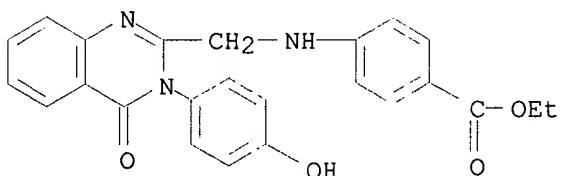
AB Some new 4(3H)-quinazolone derivs., I and II, having N-arylaminomethyl/N-alkylaminomethyl/N-arylaminoethyl group at C(2) and p-hydroxyphenyl/p-anisyl/p-arylaminoacyloxyphenyl/p-(N-arylcaramoylmethoxy)phenyl group at C(3) were prepd. I and II showed moderate antimicrobial activity (no data). Structures of I and II were confirmed by IR spectra and elemental anal.

IT 156672-45-6P 156672-71-8P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (prepn. and antimicrobial activity of)

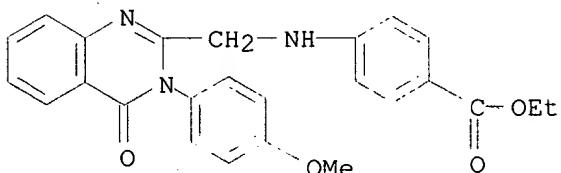
RN 156672-45-6 CAPLUS

CN Benzoic acid, 4-[[[3,4-dihydro-3-(4-hydroxyphenyl)-4-oxo-2-quinazolinyl]methyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

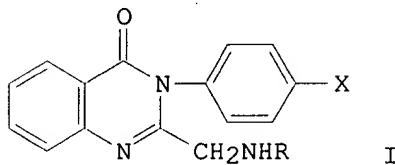


RN 156672-71-8 CAPLUS

CN Benzoic acid, 4-[[[3,4-dihydro-3-(4-methoxyphenyl)-4-oxo-2-quinazolinyl]methyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 42 OF 98 CAPLUS COPYRIGHT 2001 ACS
 ACCESSION NUMBER: 1994:508675 CAPLUS
 DOCUMENT NUMBER: 121:108675
 TITLE: 4(3H)-Quinazolones. Part I: 2-Alkyl/arylaminomethyl-3-p-hydroxy/methoxyphenyl-4(3H)-quinazolones
 AUTHOR(S): Parasharya, P. M.; Parikh, A. R.
 CORPORATE SOURCE: Chem. Dep., Saurashtra Univ., Rajkot, 360 005, India
 SOURCE: J. Inst. Chem. (India) (1992), 64(5), 184-5
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



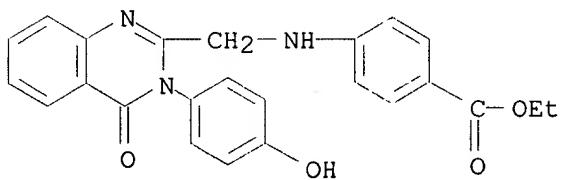
AB The title compds. I (X = OH, OMe; R = alkyl, aryl) were prepd. by amination of the 2-(bromomethyl) derivs. and tested for antibacterial activity. Some I showed remarkable antibacterial activity.

IT 156672-45-6P 156672-71-8P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (prepn. and antibacterial activity of)

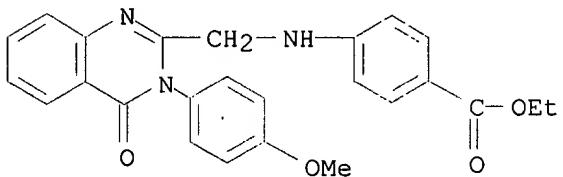
RN 156672-45-6 CAPLUS

CN Benzoic acid, 4-[[[3,4-dihydro-3-(4-hydroxyphenyl)-4-oxo-2-quinazolinyl]methyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



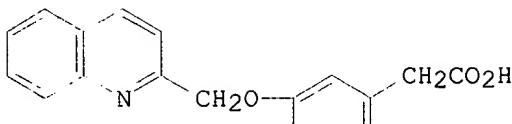
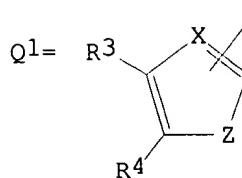
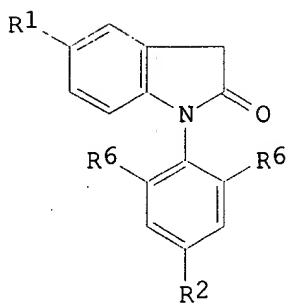
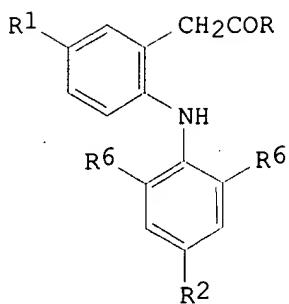
RN 156672-71-8 CAPLUS

CN Benzoic acid, 4-[[[3,4-dihydro-3-(4-methoxyphenyl)-4-oxo-2-quinazolinyl]methyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 43 OF 98 CAPLUS COPYRIGHT 2001 ACS
 ACCESSION NUMBER: 1991:535938 CAPLUS
 DOCUMENT NUMBER: 115:135938
 TITLE: Preparation of (quinolinylmethoxy)-2-anilinophenylacetates as inflammation and allergy inhibitors
 INVENTOR(S): Failli, Amedeo Arturo; Kreft, Anthony Frank, III;
 Musser, John Henry
 PATENT ASSIGNEE(S): American Home Products Corp., USA
 SOURCE: PCT Int. Appl., 64 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9106539	A1	19910516	WO 1990-US6253	19901027
W: AU, BR, CA, FI, HU, JP, KR, SU RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
US 5021576	A	19910604	US 1989-428092	19891027
CA 2067135	AA	19910428	CA 1990-2067135	19901027
AU 9067247	A1	19910531	AU 1990-67247	19901027
AU 640429	B2	19930826		
BR 9007789	A	19920915	BR 1990-7789	19901027
EP 523046	A1	19930120	EP 1990-916932	19901027
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 05502022	T2	19930415	JP 1990-515688	19901027
HU 64751	A2	19940228	HU 1992-1406	19901027
US 5159085	A	19921027	US 1991-667732	19910404
FI 9201863	A	19920424	FI 1992-1863	19920424
PRIORITY APPLN. INFO.:			US 1989-428092	19891027
			WO 1990-US6253	19901027
OTHER SOURCE(S):	MARPAT 115:135938			
GI				



III

AB Title compds. I and their cyclized derivs. I [R = OH, alkoxy, alkoxyamino, etc.; one of R1 and R2 is A(CH₂)_nO, the other is H; n = 1 or 2; A = phenoxyethyl, phenoxyphenyl, Q1; X = N, CR₅; Z = CR₅:CR₅, CR₅:N, NR₅, S, O; R₃ = H, alkyl, Ph; R₄, R₅ = H, alkyl; R₃R₄ = (halo)benzene ring; R₆ = H, halo, alkyl] were prep'd. I are inhibitors of lipoxygenase and phospholipase A2 and have leukotriene antagonist activities. Reaction of I (R1 = OH; R2 = H; R = OMe; R6 = Cl) (prepn. given) with 2-chloromethylquinoline in acetonitrile contg. potassium carbonate and 18-crown-6, followed by sapon. and workup, gave quinoline deriv. III, which exhibited IC₅₀ of 6.3 .mu.M against phospholipase A2.

IT 136099-33-7P 136099-34-8P 136099-35-9P

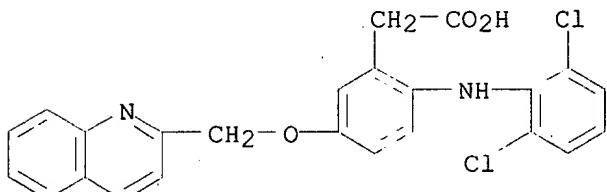
136099-37-1P 136099-49-5P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as lipoxygenase inhibitor)

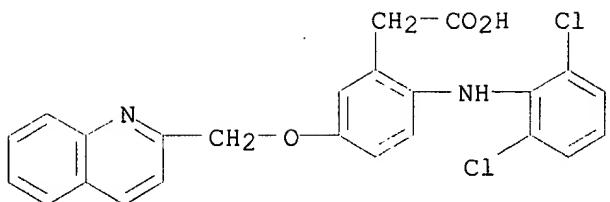
RN 136099-33-7 CAPLUS

CN Benzeneacetic acid, 2-[(2,6-dichlorophenyl)amino]-5-(2-quinolinylmethoxy)-(9CI) (CA INDEX NAME)



RN 136099-34-8 CAPLUS

CN Benzeneacetic acid, 2-[(2,6-dichlorophenyl)amino]-5-(2-quinolinylmethoxy)-, monosodium salt (9CI) (CA INDEX NAME)



● Na

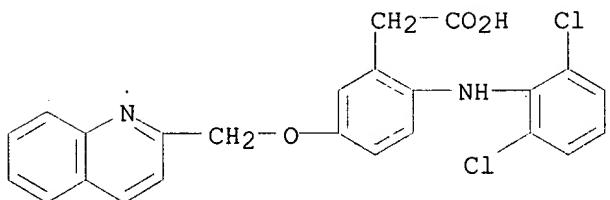
RN 136099-35-9 CAPLUS

CN Benzeneacetic acid, 2-[(2,6-dichlorophenyl)amino]-5-(2-quinolinylmethoxy)-, compd. with 2-amino-2-(hydroxymethyl)-1,3-propanediol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 136099-33-7

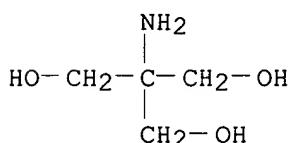
CMF C24 H18 Cl2 N2 O3



CM 2

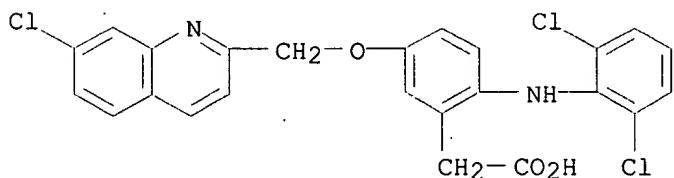
CRN 77-86-1

CMF C4 H11 N O3

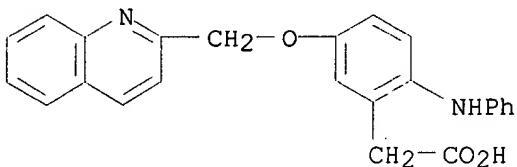


RN 136099-37-1 CAPLUS

CN Benzeneacetic acid, 5-[(7-chloro-2-quinolinyl)methoxy]-2-[(2,6-dichlorophenyl)amino]- (9CI) (CA INDEX NAME)



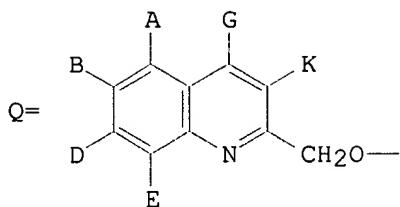
RN 136099-49-5 CAPLUS
 CN Benzeneacetic acid, 2-(phenylamino)-5-(2-quinolinylmethoxy)-, monosodium salt (9CI) (CA INDEX NAME)



● Na

L19 ANSWER 44 OF 98 CAPLUS COPYRIGHT 2001 ACS
 ACCESSION NUMBER: 1991:429144 CAPLUS
 DOCUMENT NUMBER: 115:29144
 TITLE: Preparation of N-[2-quinolinylmethoxy]benzyl-N'-sulfonylureas as lipoxygenase inhibitors
 INVENTOR(S): Mohrs, Klaus; Raddatz, Siegfried; Fruchtmann, Romanis; Kohlsdorfer, Christian; Mueller-Peddinghaus, Reiner; Theisen, Pia
 PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.
 SOURCE: Ger. Offen., 13 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3927369	A1	19910221	DE 1989-3927369	19890819
US 5179106	A	19930112	US 1990-558730	19900727
EP 414019	A2	19910227	EP 1990-115022	19900804
EP 414019	A3	19920513		
EP 414019	B1	19960529		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AT 138651	E	19960615	AT 1990-115022	19900804
JP 03090062	A2	19910416	JP 1990-214446	19900815
IL 95391	A1	19940530	IL 1990-95391	19900816
CA 2023517	AA	19910220	CA 1990-2023517	19900817
HU 54988	A2	19910429	HU 1990-5055	19900817
HU 208813	B	19940128		
ZA 9006531	A	19910626	ZA 1990-6531	19900817
DD 298089	A5	19920206	DD 1990-343516	19900817
CN 1049656	A	19910306	CN 1990-107154	19900818
AU 9061140	A1	19910221	AU 1990-61140	19900820
AU 632846	B2	19930114		
PRIORITY APPLN. INFO.:			DE 1989-3927369	19890819
OTHER SOURCE(S):		MARPAT 115:29144		
GI				



AB RMC6H3CHR1NR2CONR3SO2R4 [R = 2-quinolinylmethoxy group Q; A, B, D, E, G, K, M = H, OH, halo, CF₃, (halo)alkyl, alkoxy, etc.; R1 = (un)substituted (cyclo)alkyl; R2, R3 = H, (un)substituted alkyl; R4 = (un)substituted alkyl heterocycl] were prep'd. as lipoxygenase inhibitors (no data). Thus, 4-RC₆H₄CHBrR₅ (R = 2-quinolinylmethoxy) (I; R₅ = CO₂H) was heated 1 h at 70.degree. with (PhO)₂P(O)N₃ in DMF contg. Et₃N after which aq. HCl was added and the whole heated at 70.degree. to give I (R₅ = NH₂) which was condensed with 4-MeC₆H₄SO₂NCO to give I (R₅ = NHCONHSO₂C₆H₄Me-4).

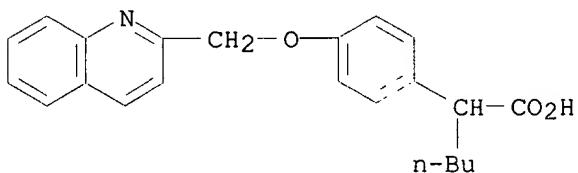
IT 126960-82-5

RL: RCT (Reactant)

(reaction of, in prepn. of lipoxygenase inhibitor)

RN 126960-82-5 CAPLUS

CN Benzeneacetic acid, .alpha.-butyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 45 OF 98 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1992:419908 CAPLUS

DOCUMENT NUMBER: 117:19908

TITLE: Conversion of a cyclooxygenase (CO) inhibitor into a 5-lipoxygenase (LO) inhibitor: a general route to novel orally active anti-inflammatory and antiallergy drugs

AUTHOR(S): Kreft, A. F.; Failli, A. A.; Musser, J. H.; Kubrak, D. M.; Banker, A. L.; Steffan, R.; Demerson, C. A.; Nelson, J. A.; Shah, U. S.; et al.

CORPORATE SOURCE: Wyeth-Ayerst Res., Princeton, NJ, 08543-8000, USA

SOURCE: Drugs Exp. Clin. Res. (1991), 17(8), 381-7

CODEN: DECRDP; ISSN: 0378-6501

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Previously, the conversion of a CO inhibitor, naproxen, into an orally active 5-LO inhibitor, Wy-50,295, by covalent attachment of a quinoline group was reported. The authors now report the extension of this transformation to other CO inhibitors. Replacement of an existing substituent or a hydrogen in sulindac, etodolac, carprofen, diclofenac, oxaprozin, des-.alpha.-methyl-ketoprofen, or des-.alpha.-methylflurbiprofen by a methoxyquinoline group afforded new hybrid structures which were orally active 5-LO inhibitors in the rat RPAR (reverse passive Arthus reaction) assay. In contrast to Wy-50,295 which is a selective 5-LO inhibitor, some of these new hybrids were dual inhibitors of 5-LO and CO. For example, the quinoline-etodolac hybrid

WAY-120,739, (1,8-diethyl-1,3,4,9-tetrahydro-6-(2-quinolinylmethoxy)pyrano[3,4-b]indole-1-acetic acid) was a dual inhibitor of 5-LO and CO (91% and 47% inhibition, resp. at 10 .mu.M, rat PMN). In contrast, the quinoline-flurbiprofen hybrid WAY-121,006, (3-fluoro-4'-(2-quinolinylmethoxy)-[1,1'biphenyl]-4-acetic acid), the quinoline-oxaprozin hybrid, WAY-120,460, (5-phenyl-4-[4-(2-quinolinylmethoxy)phenyl]-2-oxazolepropanoic acid) and the quinoline-carprofen hybrid WAY-120,429 (.alpha.-methyl-6-(2-quinolinylmethoxy)-9-(2-quinolinylmethoxy)-9H-carbazole-2-acetic acid) were purely 5-LO inhibitors (100%, 96% and 92% inhibition of 5-LO at 10 .mu.M, rat PMN, resp.). It is tempting to speculate that the mechanism of inhibition of 5-LO by these compds. may involve inhibition of the translocation of the enzyme, as has been reported for other members of the quinoline-contg. 5-LO inhibitor family (Wy-50,295 and L-674,573), in addn. to competitive substrate inhibition resulting from structural mimicry of the substrate. The recent demonstration of the superiority of the quinoline hybrid 5-LO inhibitor Wy-50,295 over the CO inhibitor indomethacin in the collagen-induced arthritis model, opens up the use of these quinoline-based 5-LO inhibitors for chronic inflammatory disease treatment. In summary, replacement of an existing substituent or hydrogen of a CO inhibitor by a methoxyquinoline group is a general route to novel orally active antiinflammatory and antiallergy drugs.

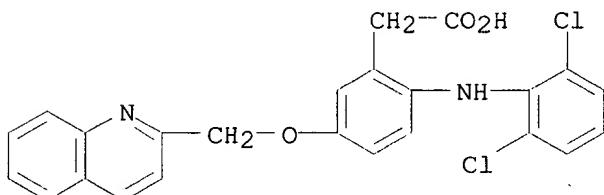
IT 136099-33-7, WAY 120908

RL: BIOL (Biological study)

(cyclooxygenase and lipoxygenase-inhibiting activity of, structure in relation to)

RN 136099-33-7 CAPLUS

CN Benzeneacetic acid, 2-[(2,6-dichlorophenyl)amino]-5-(2-quinolinylmethoxy)-(9CI) (CA INDEX NAME)



L19 ANSWER 46 OF 98 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1993:449324 CAPLUS

DOCUMENT NUMBER: 119:49324

TITLE: 4-(3H)-quinazolones. Part III: Alkyl or arylaminomethyl/substituted cinnamyl-3-p-sulfonamidophenyl-4-(3H)-quinazolones

AUTHOR(S): Gaur, V. B.; Shah, V. H.; Parikh, A. R.

CORPORATE SOURCE: Chem. Dep., Saurashtra Univ., Rajkot, 360 005, India

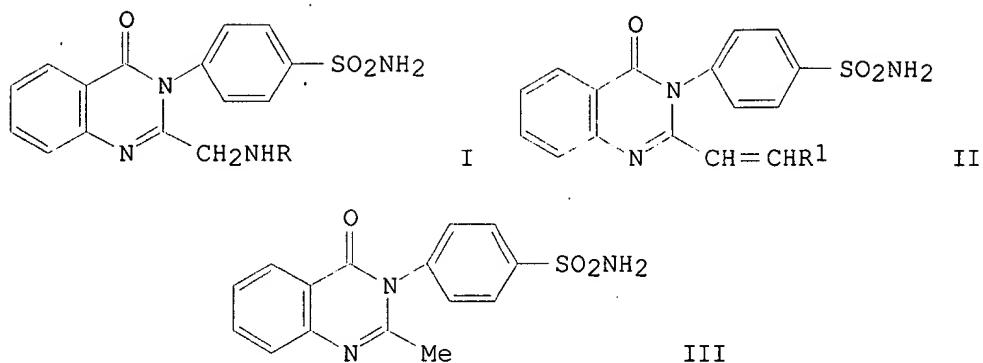
SOURCE: J. Inst. Chem. (India) (1991), 63(6), 219-20

CODEN: JOICA7; ISSN: 0020-3254

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



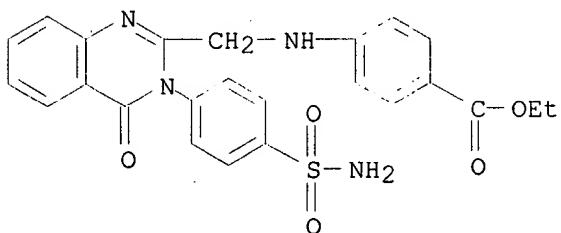
AB Title compds, including aminomethyl derivs. I ($R = Ph$, $2-MeC_6H_4$, $3-MeC_6H_4$, $4-MeC_6H_4$, $2-MeOC_6H_4$, $3-MeOC_6H_4$, $4-MeOC_6H_4$, $2-O_2NC_6H_4$, $3-O_2NC_6H_4$, $4-O_2NC_6H_4$, $2-ClC_6H_4$, $3-ClC_6H_4$, $4-ClC_6H_4$, $4-BrC_6H_4$, $PhCH_2$, 1-naphthyl, Me, Bu, octadecyl) and styryl derivs. II ($R_1 = 2-O_2NC_6H_4$, $3-O_2NC_6H_4$, $4-O_2NC_6H_4$, $2-ClC_6H_4$, $3-ClC_6H_4$, $4-ClC_6H_4$), were prep'd. from aminosulfonylphenylmethylquinazolone III. Several aminomethyl derivs., e.g., I ($2-O_2NC_6H_4$, $3-O_2NC_6H_4$, $4-O_2NC_6H_4$, $2-ClC_6H_4$, $3-ClC_6H_4$, $4-ClC_6H_4$, Bu, octadecyl) showed good bactericidal and fungicidal activity.

IT 147766-78-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 147766-78-7 CAPLUS

CN Benzoic acid, 4-[[[3-[4-(aminosulfonyl)phenyl]-3,4-dihydro-4-oxo-2-quinazolinyl)methyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 47 OF 98 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1991:656106 CAPLUS

DOCUMENT NUMBER: 115:256106

TITLE: 4-(3H)-quinazolones. Part II: 2-alkyl- or arylaminomethyl-substituted cinnamyl-3-p-(N-phenylthioureidosulfophenyl)-4-(3H)-quinazolones

AUTHOR(S): Gaur, V. B.; Shah, V. H.; Parikh, A. R.

CORPORATE SOURCE: Chem. Dep., Saurashtra Univ., Rajkot, 360 005, India

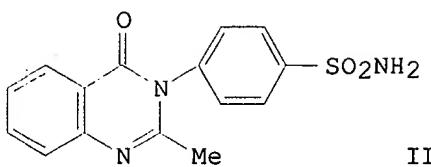
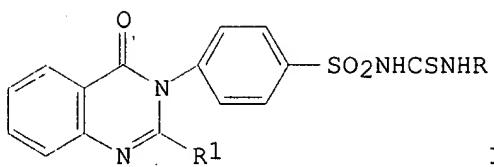
SOURCE: J. Inst. Chem. (India) (1991), 63(2), 66-8

CODEN: JOICA7; ISSN: 0020-3254

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



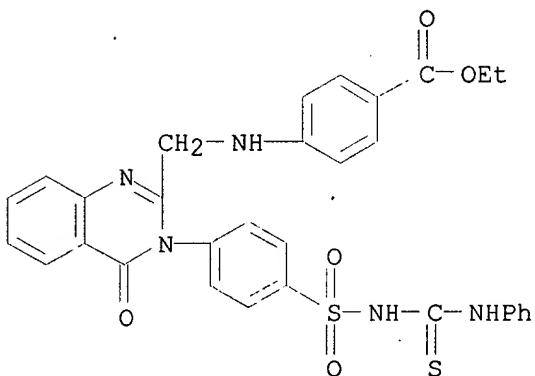
AB Title compds. I ($R = Ph$, substituted Ph , furyl, naphthyl, octadecyl; $R1 = CH_2NR$, $CHBrCHBrPh$, $CH:CHPh$) were prep'd. from the sulfonamide II. I have bactericidal and fungicidal activity.

IT 137205-55-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 137205-55-1 CAPLUS

CN Benzoic acid, 4-[[[3,4-dihydro-4-oxo-3-[4-[[[(phenylamino)thioxomethyl]amino]sulfonyl]phenyl]-2-quinazolinyl]methyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 48 OF 98 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER:

1991:164036 CAPLUS

DOCUMENT NUMBER:

114:164036

TITLE:

Preparation of (quinolinylmethoxy)phenylacylsulfonamides and -cyanamides as lipoxygenase inhibitors

INVENTOR(S):

Raddatz, Siegfried; Mohrs, Klaus Helmut; Fruchtmann, Romanis; Kohlsdorder, Christian; Theisen-Popp, Pia; Mueller-Peddinghaus

PATENT ASSIGNEE(S):

Bayer A.-G., Fed. Rep. Ger.

SOURCE:

Eur. Pat. Appl., 26 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 399291	A2	19901128	EP 1990-108775	19900510
EP 399291	A3	19910306		
EP 399291	B1	19940720		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DE 3916663	A1	19901129	DE 1989-3916663	19890523
US 5091392	A	19920225	US 1990-517108	19900501

ES 2056294	T3	19941001	ES 1990-108775	19900510
CA 2017135	AA	19901123	CA 1990-2017135	19900518
DD 297961	A5	19920130	DD 1990-340878	19900521
ZA 9003939	A	19910327	ZA 1990-3939	19900522
HU 54655	A2	19910328	HU 1990-3132	19900522
IL 94465	A1	19940731	IL 1990-94465	19900522
AU 9055867	A1	19901129	AU 1990-55867	19900523
AU 627579	B2	19920827		
JP 03005459	A2	19910111	JP 1990-131439	19900523
JP 3004678	B2	20000131		
US 5391747	A	19950221	US 1991-764435	19910923
PRIORITY APPLN. INFO.:			DE 1989-3916663	A 19890523
			US 1990-517108	A3 19900501

OTHER SOURCE(S): MARPAT 114:164036

GI For diagram(s), see printed CA Issue.

AB Title compds. I [A, B, D, E, L, G = H, OH, halo, CO₂H, NO₂, CF₃, OCF₃, (substituted) amino, aryl, alkyl, alkoxy or alkoxy carbonyl; R1 = (alkyl)C₃-14 cycloalkyl; R2 = H, (substituted) C₁-10 alkyl, (substituted) C₃-8 cycloalkyl, alkali metal; X = substituted sulfonyl, cyano] were prepd. Thus, a mixt. of 1-[4-(quinolin-2-ylmethoxy)phenyl](cyclopentyl)acetic acid, dimethylaminopyridine, methanesulfonamide, and EtN:C:N(CH₂)₃NMe₂.HCl in CH₂Cl₂ was stirred 60 h at room temp. to give title compd. I (A, B, D, E, L, G = H, R1 = cyclopentyl, R2 = H, X = SO₂Me) (II) in 68.4% yield. The IC₅₀ of II against lipoxygenase in the mouse ear inflammation test was 2.7 times. 10⁻⁸ mmol/L.

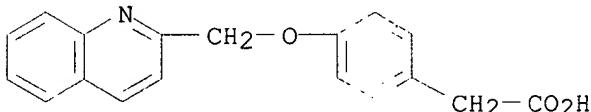
IT 121289-78-9

RL: RCT (Reactant)

(alkylation of, in prepn. of lipoxygenase inhibitors)

RN 121289-78-9 CAPLUS

CN Benzeneacetic acid, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 49 OF 98 CAPLUS COPYRIGHT 2001 ACS

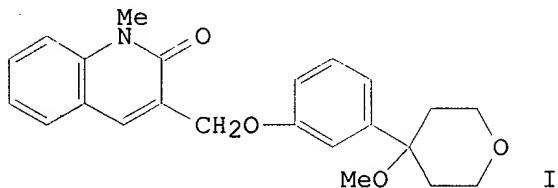
ACCESSION NUMBER: 1991:228757 CAPLUS
 DOCUMENT NUMBER: 114:228757
 TITLE: Preparation of (heterocyclylmethoxyphenyl)tetrahydropyrans and related compounds as lipoxygenase inhibitors
 INVENTOR(S): Crawley, Graham Charles; Edwards, Philip Neil; Girodeau, Jean Marc Marie Maurice
 PATENT ASSIGNEE(S): Imperial Chemical Industries PLC, UK; ICI-Pharma S. A.
 SOURCE: Eur. Pat. Appl., 51 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 385662	A2	19900905	EP 1990-301934	19900222
EP 385662	A3	19911121		
EP 385662	B1	19951213		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ZA 9000997	A	19901031	ZA 1990-997	19900209

IL 93342	A1	19940530	IL 1990-93342	19900211
CA 2009902	AA	19900831	CA 1990-2009902	19900213
AU 9049766	A1	19900906	AU 1990-49766	19900214
AU 627275	B2	19920820		
HU 58083	A2	19920128	HU 1990-826	19900216
HU 210165	B	19950228		
AT 131477	E	19951215	AT 1990-301934	19900222
ES 2081925	T3	19960316	ES 1990-301934	19900222
DD 297409	A5	19920109	DD 1990-338111	19900223
NO 9000916	A	19900829	NO 1990-916	19900227
NO 175591	B	19940725		
NO 175591	C	19941102		
RU 2058306	C1	19960420	RU 1990-4743295	19900227
JP 02268157	A2	19901101	JP 1990-46011	19900228
JP 2545629	B2	19961023		
CN 1046903	A	19901114	CN 1990-101081	19900228
CN 1031266	B	19960313		
FI 96512	B	19960329	FI 1990-1008	19900228
FI 96512	C	19960710		
US 5134148	A	19920728	US 1991-758491	19910905
US 5236919	A	19930817	US 1992-881133	19920511
US 5401751	A	19950328	US 1993-64979	19930524
LT 3396	B	19950925	LT 1993-587	19930531
PRIORITY APPLN. INFO.:				
		EP 1989-400560	19890228	
		EP 1989-401493	19890531	
		US 1990-485875	19900227	
		US 1991-758491	19910905	
		US 1992-881133	19920511	

OTHER SOURCE(S) : MARPAT 114:228757

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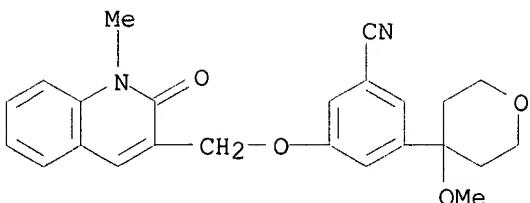


AB Title compds. QAXArC(OR1)R2R3 [Q = 6-membered monocyclil or 10-membered bicycyl contg. 1 or 2 N which may bear 2-3 substituents; A = C1-6 alkenylene, C3-5 alkylene, C3-6 alkynylene, cyclo-C3-6-alkylene; X = O, S, SO, SO₂, NH; Ar = (substituted) phenylene, 6-membered heterocyclil contg. 1toreq.3 N which may be substituted; R1 = H, C1-6 alkyl, C3-6 alkenyl, C3-6 alkynyl, etc.; R2R3 = (substituted) 4-7-membered ring contg. X] 5-lipoxygenase inhibitors useful in treating leukotriene mediated disease, are prepd. 3-(Bromomethyl)-1,2-dihydro-1-methylquinolin-2-one (prepn. given), 4-(3-hydroxyphenyl)-4-methoxytetrahydropyran (prepn. given), K₂CO₃ and DMF were stirred at ambient temp. for 15 h to give pyran I. Title compds. inhibited 5-LO with IC₅₀'s of 0.01-30 micromolar. Pharmaceutical formulations comprising the title compds. are given.

IT 133739-06-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as 5-lipoxygenase inhibitor)

RN 133739-06-7 CAPLUS

CN Benzonitrile, 3-[(1,2-dihydro-1-methyl-2-oxo-3-quinolinyl)methoxy]-5-(tetrahydro-4-methoxy-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)



L19 ANSWER 50 OF 98 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1990:406181 CAPLUS

DOCUMENT NUMBER: 113:6181

TITLE: Preparation and formulation of quinolinylmethoxyphenyl(thio)alkanoic acids as inhibitors of leukotriene biosynthesis

INVENTOR(S): Zamboni, Robert; Prasit, Petpiboon; Young, Robert N.

PATENT ASSIGNEE(S): Merck Frosst Canada, Inc., Can.

SOURCE: Eur. Pat. Appl., 31 pp.

CODEN: EPXXDW

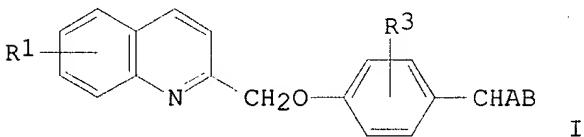
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 349062	A1	19900103	EP 1989-201641	19890622
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, LU, NL, SE				
CA 1333714	A1	19941227	CA 1989-603708	19890623
DK 8903147	A	19891228	DK 1989-3147	19890626
JP 02076855	A2	19900316	JP 1989-165160	19890627
PRIORITY APPLN. INFO.:		US 1988-211642		19880627
OTHER SOURCE(S):	MARPAT	113:6181		
GI				



AB Title compds. [I; R1 = H, F, F3C, Me, MeO, Me2CH, H2C:CH, MeCH(OH), etc.; R3 = H, iodo, F3C, MeO, NC, N3, MeS, etc.; A = (un)substituted phenylalkyl; B = HO2CCH2S, H2NCO(CH2)2S, HO2CCHMeS, HO2CCH2CH2O, Me2NCOCH2CH2S, etc.] inhibitors of leukotriene biosynthesis (no data) are prep'd. They are useful in preventing synthesis or release of SRS-A. Details of assays to det. leukotriene biosynthesis inhibiting activity and pharmaceutical formulations are given. I (R1 = R3 = H; A = Ph(CH2)3; B = MeO2CCH2S) [prepn. starting from 2-chloromethylquinoline and 4-hydroxybenzaldehyde via 4-phenyl-1-(4-(2-quinolinylmethoxy)phenyl)butano] given in THF/MeOH was treated with NaOH to give I (R1 = R3 = H; A = Ph(CH2)3; B = HO2CCH2S).

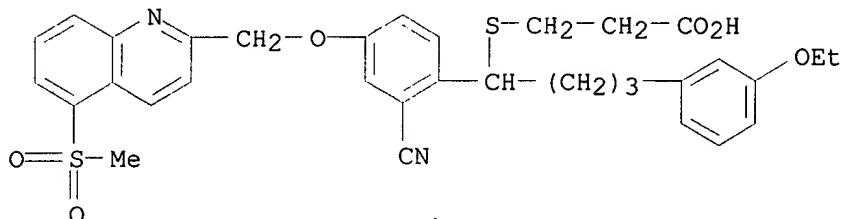
IT 127481-82-7P 127481-87-2P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as leukotriene inhibitor)

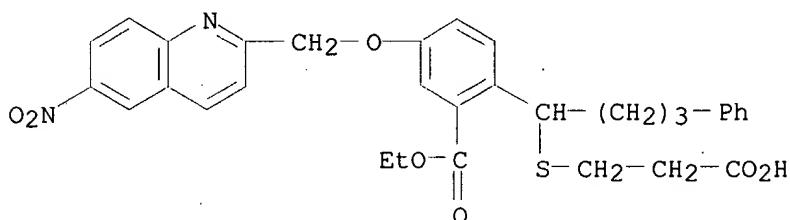
RN 127481-82-7 CAPLUS

CN Propanoic acid, 3-[[1-[2-cyano-4-[(5-(methylsulfonyl)-2-quinolinyl)methoxy]phenyl]-4-(3-ethoxyphenyl)butyl]thio]- (9CI) (CA INDEX NAME)



RN 127481-87-2 CAPLUS

CN Benzoic acid, 2-[1-[(2-carboxyethyl)thio]-4-phenylbutyl]-5-[(6-nitro-2-quinolinyl)methoxy]-, 1-ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 51 OF 98 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1990:591121 CAPLUS

DOCUMENT NUMBER: 113:191121

TITLE: The development of a novel series of (quinolin-2-ylmethoxy)phenyl-containing compounds as high-affinity leukotriene receptor antagonists. 3. Structural variation of the acidic side chain to give antagonists of enhanced potency

AUTHOR(S): Galemmo, Robert A., Jr.; Johnson, William H., Jr.; Learn, Keith S.; Lee, Thomas D. Y.; Huang, Fu Chih; Campbell, Henry F.; Youssefeyeh, Raymond; O'Rourke, Susan V.; Schuessler, Glenn; et al.

CORPORATE SOURCE: Rorer Cent. Res., Horsham, PA, 19044, USA

SOURCE: J. Med. Chem. (1990), 33(10), 2828-41

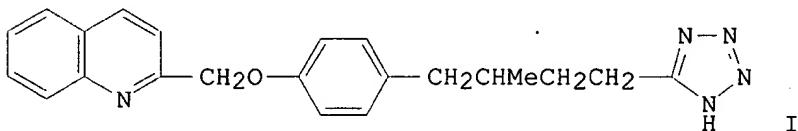
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 113:191121

GI



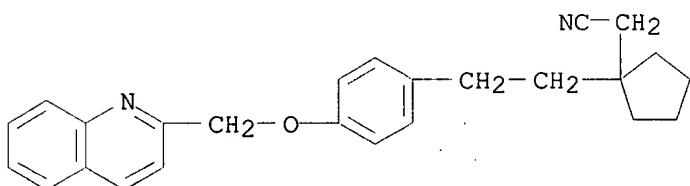
AB The development of orally active leukotriene antagonists contg. a (quinolin-2-ylmethoxy)phenyl moiety is described. Systematic variation of the Ph side chain substituents leads to dramatic and reproducible changes in the oral activity of these compds., presumably due to alterations in their pharmacokinetic properties. The most potent compd. identified, 5-[4-[4-(quinolin-2-ylmethoxy)phenyl]-3-methylbutyl]tetrazole (I) represents a convergence of good in vitro antagonist activity and a 3-10-fold improvement in oral potency over the current clin. candidate. Oxygen substitution in the acid side chain is not necessary for antagonist activity. In vitro and in vivo activity is enhanced by alkyl or Ph substitution on the .gamma.-C of the acid side chain of para-substituted (quinolin-2-ylmethoxy)phenyl derivs., and free rotation about the side chain C atom adjacent to the (quinolin-2-ylmethoxy)phenyl ring G is required for activity. I is a competitive inhibitor of [3H]leukotriene D4 (LTD4)4 binding to receptor membrane purified from guinea pig lung and of the spasmogenic activity of LTC4, LTD4, and LTE4 in guinea pig lung strip. Dosed orally in guinea pigs, I blocks LTD4-induced bronchoconstriction (ED50 = 0.8 mg/kg) and antigen-induced systemic anaphylaxis (ED50 1.2 mg/kg).

IT 129650-11-9P 129650-32-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and cycloaddn. reaction of, with azide)

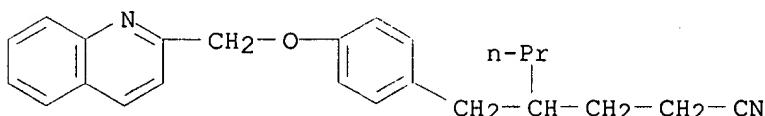
RN 129650-11-9 CAPLUS

CN Cyclopentaneacetonitrile, 1-[2-[4-(2-quinolinylmethoxy)phenyl]ethyl]-
(9CI) (CA INDEX NAME)



RN 129650-32-4 CAPLUS

CN Benzenepentanenitrile, .gamma.-propyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

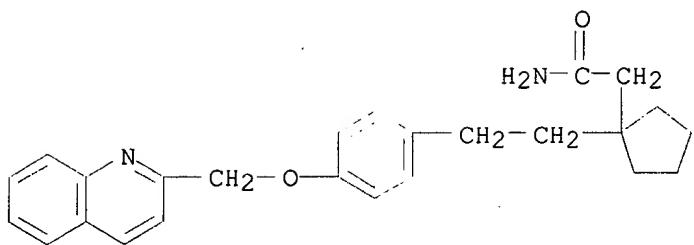


IT 129650-10-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and dehydration reaction of)

RN 129650-10-8 CAPLUS

CN Cyclopentaneacetamide, 1-[2-[4-(2-quinolinylmethoxy)phenyl]ethyl]- (9CI) (CA INDEX NAME)

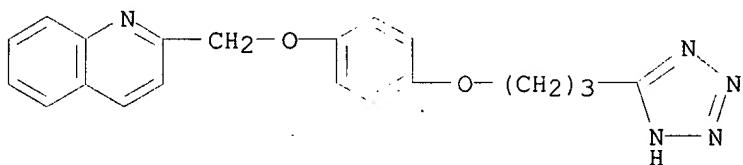


IT 107813-78-5P 114497-46-0P 114497-47-1P
 125439-17-0P 125439-19-2P 129649-21-4P
 129649-22-5P 129649-23-6P 129649-24-7P
 129649-25-8P 129649-26-9P 129649-27-0P
 129649-28-1P 129649-29-2P 129649-30-5P
 129649-31-6P 129649-32-7P 129649-33-8P
 129649-34-9P 129649-35-0P 129649-36-1P
 129649-37-2P 129649-38-3P 129649-39-4P
 129649-40-7P 129649-41-8P 129649-45-2P
 129649-48-5P 129649-49-6P 129650-27-7P
 129650-28-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and leukotriene receptor antagonist activity of)

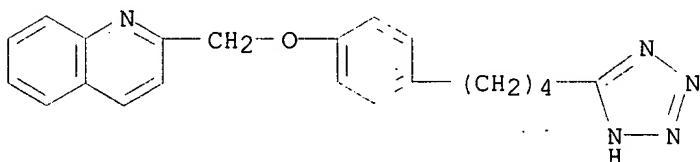
RN 107813-78-5 CAPLUS

CN Quinoline, 2-[[4-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



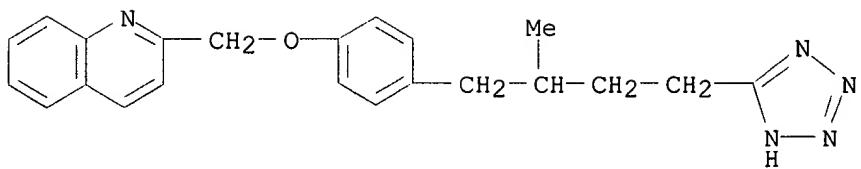
RN 114497-46-0 CAPLUS

CN Quinoline, 2-[[4-[4-(1H-tetrazol-5-yl)butyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)

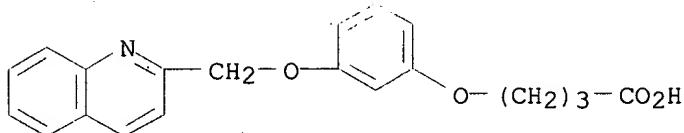


RN 114497-47-1 CAPLUS

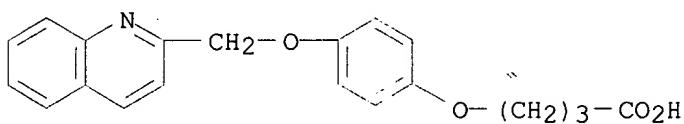
CN Quinoline, 2-[[4-[2-methyl-4-(1H-tetrazol-5-yl)butyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



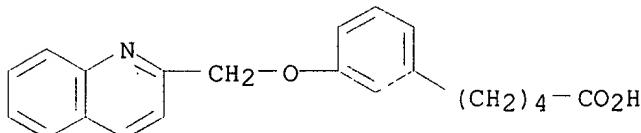
RN 125439-17-0 CAPLUS
 CN Butanoic acid, 4-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



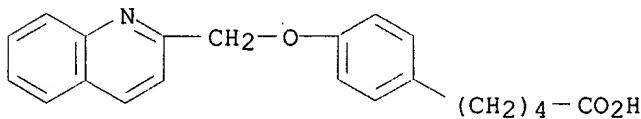
RN 125439-19-2 CAPLUS
 CN Butanoic acid, 4-[4-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



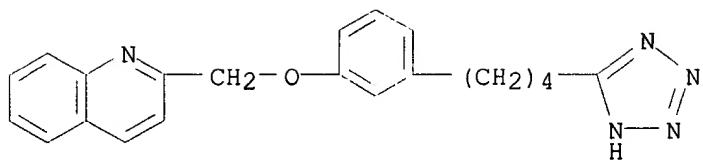
RN 129649-21-4 CAPLUS
 CN Benzenepentanoic acid, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



RN 129649-22-5 CAPLUS
 CN Benzenepentanoic acid, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



RN 129649-23-6 CAPLUS
 CN Quinoline, 2-[[3-[4-(1H-tetrazol-5-yl)butyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



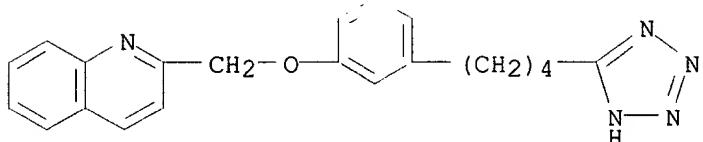
RN . 129649-24-7 CAPLUS

CN Quinoline, 2-[[3-[4-(1H-tetrazol-5-yl)butyl]phenoxy]methyl]-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 129649-23-6

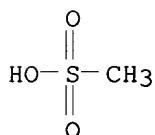
CMF C21 H21 N5 O



CM 2

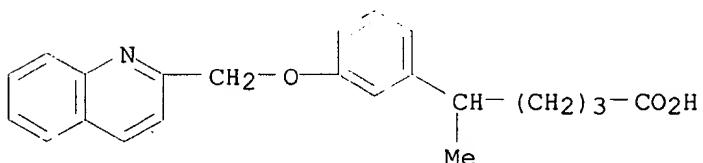
CRN 75-75-2

CMF C H4 O3 S



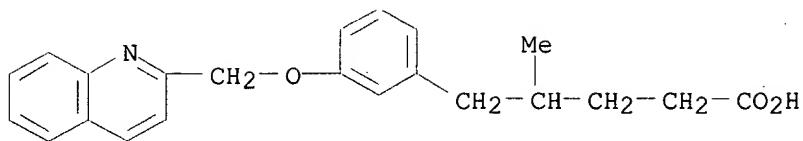
RN 129649-25-8 CAPLUS

CN Benzenepentanoic acid, .delta.-methyl-3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

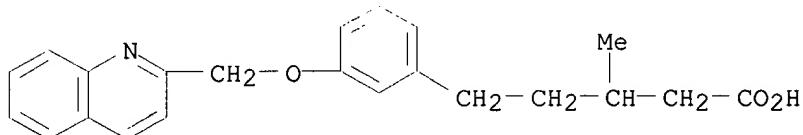


RN 129649-26-9 CAPLUS

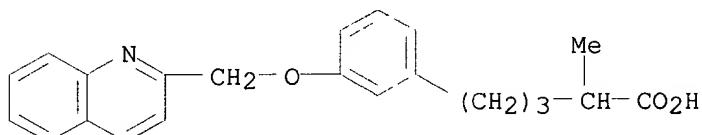
CN Benzenepentanoic acid, .gamma.-methyl-3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



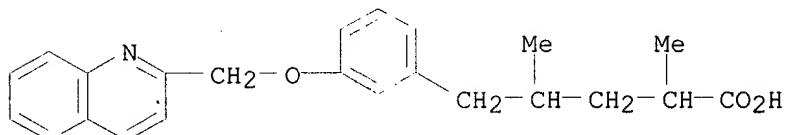
RN 129649-27-0 CAPLUS
 CN Benzenepentanoic acid, .beta.-methyl-3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



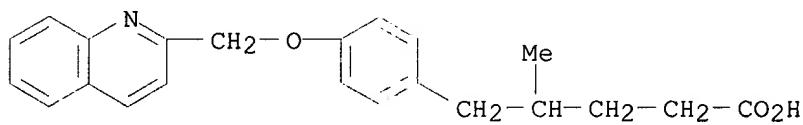
RN 129649-28-1 CAPLUS
 CN Benzenepentanoic acid, .alpha.-methyl-3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



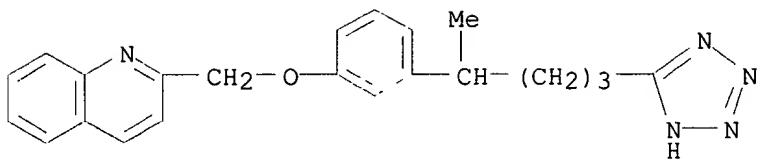
RN 129649-29-2 CAPLUS
 CN Benzenepentanoic acid, .alpha.,.gamma.-dimethyl-3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



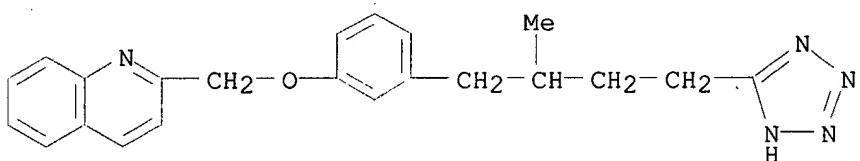
RN 129649-30-5 CAPLUS
 CN Benzenepentanoic acid, .gamma.-methyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



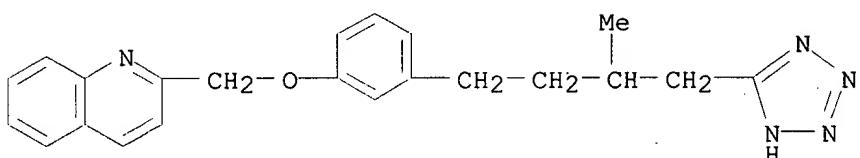
RN 129649-31-6 CAPLUS
 CN Quinoline, 2-[[3-[1-methyl-4-(1H-tetrazol-5-yl)butyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



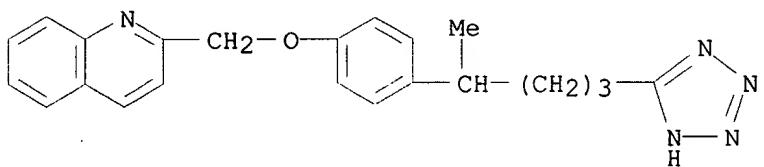
RN 129649-32-7 CAPLUS
 CN Quinoline, 2-[(3-[2-methyl-4-(1H-tetrazol-5-yl)butyl]phenoxy)methyl]- (9CI) (CA INDEX NAME)



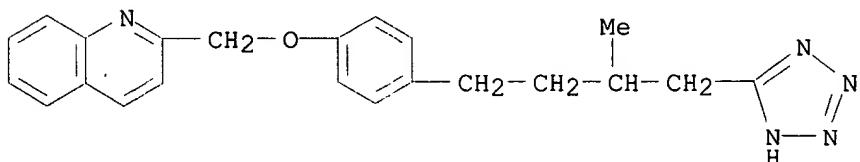
RN 129649-33-8 CAPLUS
 CN Quinoline, 2-[(3-[3-methyl-4-(1H-tetrazol-5-yl)butyl]phenoxy)methyl]- (9CI) (CA INDEX NAME)



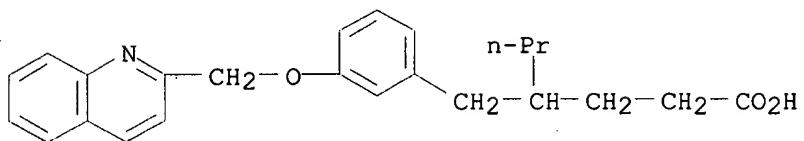
RN 129649-34-9 CAPLUS
 CN Quinoline, 2-[(4-[1-methyl-4-(1H-tetrazol-5-yl)butyl]phenoxy)methyl]- (9CI) (CA INDEX NAME)



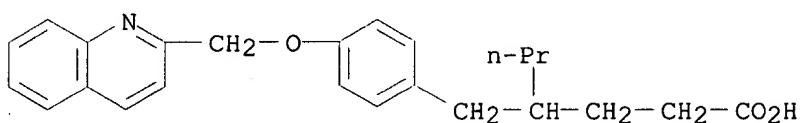
RN 129649-35-0 CAPLUS
 CN Quinoline, 2-[(4-[3-methyl-4-(1H-tetrazol-5-yl)butyl]phenoxy)methyl]- (9CI) (CA INDEX NAME)



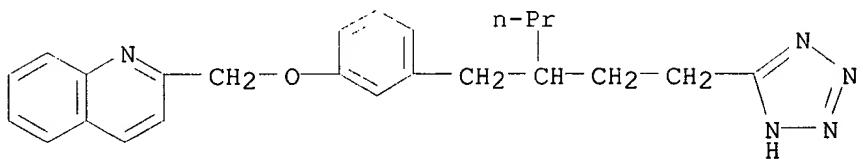
RN 129649-36-1 CAPLUS
 CN Benzenepentanoic acid, .gamma.-propyl-3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



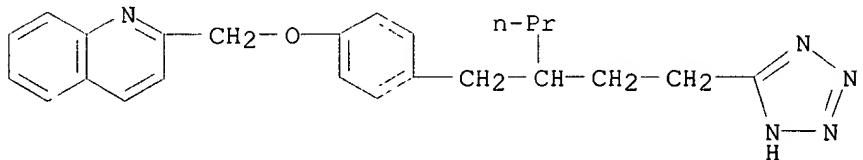
RN 129649-37-2 CAPLUS
 CN Benzenepentanoic acid, .gamma.-propyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



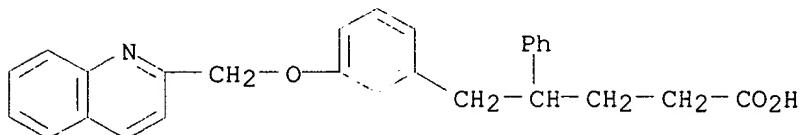
RN 129649-38-3 CAPLUS
 CN Quinoline, 2-[[3-[2-[2-(1H-tetrazol-5-yl)ethyl]pentyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



RN 129649-39-4 CAPLUS
 CN Quinoline, 2-[[4-[2-[2-(1H-tetrazol-5-yl)ethyl]pentyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)

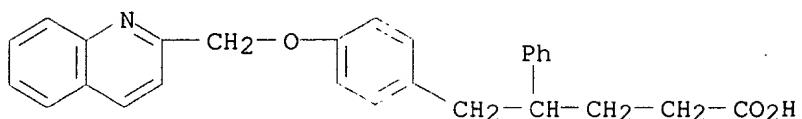


RN 129649-40-7 CAPLUS
 CN Benzenepentanoic acid, .gamma.-phenyl-3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



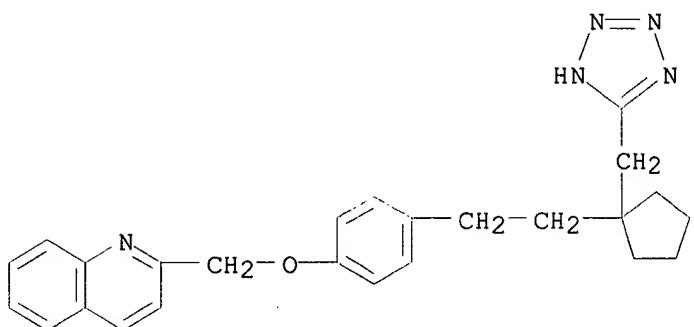
RN 129649-41-8 CAPLUS

CN Benzenepentanoic acid, .gamma.-phenyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



RN 129649-45-2 CAPLUS

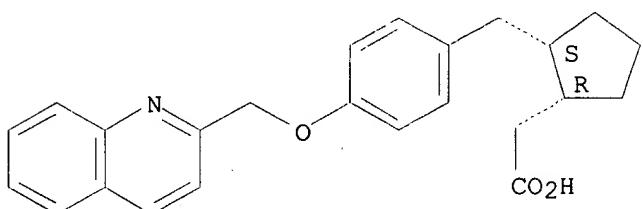
CN Quinoline, 2-[4-[2-[1-(1H-tetrazol-5-ylmethyl)cyclopentyl]ethyl]phenoxy]methyl- (9CI) (CA INDEX NAME)



RN 129649-48-5 CAPLUS

CN Cyclopentaneacetic acid, 2-[4-(2-quinolinylmethoxy)phenyl]methyl-, cis- (9CI) (CA INDEX NAME)

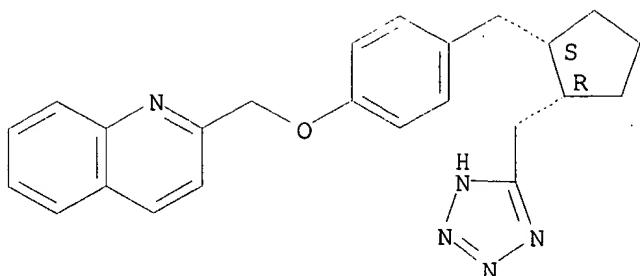
Relative stereochemistry.



RN 129649-49-6 CAPLUS

CN Quinoline, 2-[4-[2-[1H-tetrazol-5-ylmethyl)cyclopentyl]methyl]phenoxy]methyl-, cis- (9CI) (CA INDEX NAME)

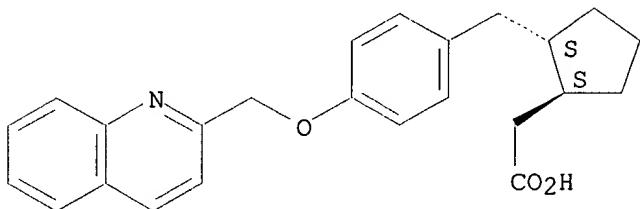
Relative stereochemistry.



RN 129650-27-7 CAPLUS

CN Cyclopentaneacetic acid, 2-[4-(2-quinolinylmethoxy)phenyl]methyl-, trans- (9CI) (CA INDEX NAME)

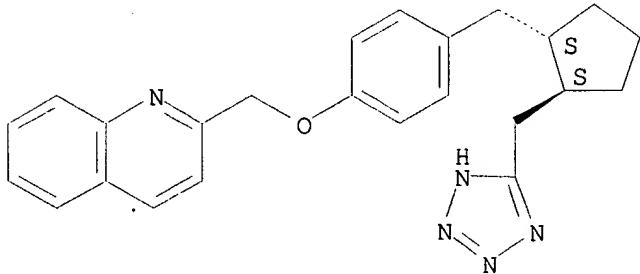
Relative stereochemistry.



RN 129650-28-8 CAPLUS

CN Quinoline, 2-[[4-[[2-(1H-tetrazol-5-ylmethyl)cyclopentyl]methyl]phenoxy]methyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

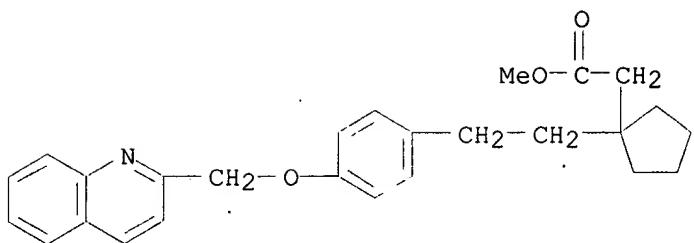


IT 129650-09-5P 129650-31-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and sapon. of)

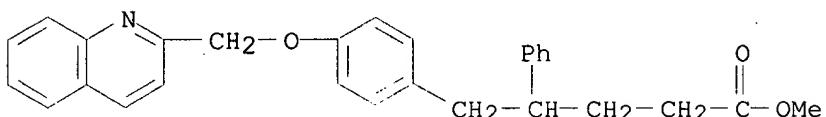
RN 129650-09-5 CAPLUS

CN Cyclopentaneacetic acid, 1-[2-[4-(2-quinolinylmethoxy)phenyl]ethyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 129650-31-3 CAPLUS

CN Benzenepentanoic acid, .gamma.-phenyl-4-(2-quinolinylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)



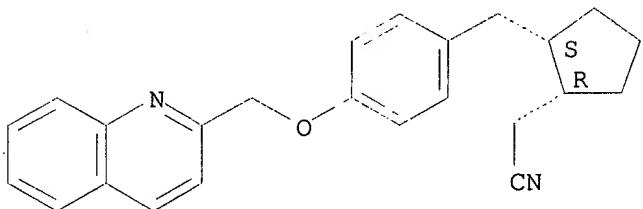
IT 129650-19-7P 129650-24-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn., hydrolysis, and cycloaddn. reaction of, with azide)

RN 129650-19-7 CAPLUS

CN Cyclopentaneacetonitrile, 2-[(4-(2-quinolinylmethoxy)phenyl)methyl]-, cis-
(9CI) (CA INDEX NAME)

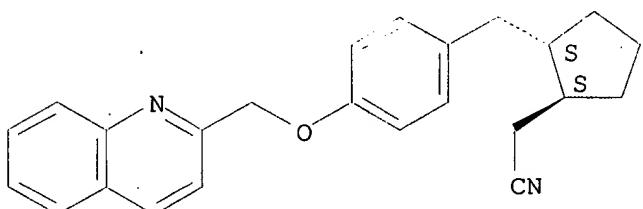
Relative stereochemistry.



RN 129650-24-4 CAPLUS

CN Cyclopentaneacetonitrile, 2-[(4-(2-quinolinylmethoxy)phenyl)methyl]-,
trans- (9CI) (CA INDEX NAME)

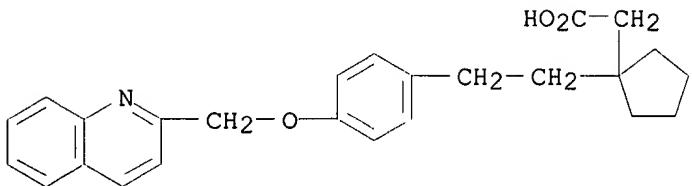
Relative stereochemistry.



IT 129649-44-1P

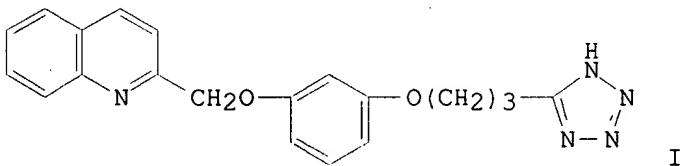
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn., leukotriene receptor antagonist activity, and amidation of)

RN 129649-44-1 CAPLUS
 CN Cyclopentaneacetic acid, 1-[2-[4-(2-quinolinylmethoxy)phenyl]ethyl]- (9CI)
 (CA INDEX NAME)



L19 ANSWER 52 OF 98 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1990:131890 CAPLUS
 DOCUMENT NUMBER: 112:131890
 TITLE: Development of a novel series of (2-quinolinylmethoxy)phenyl-containing compounds as high-affinity leukotriene receptor antagonists. 1. Initial structure-activity relationships
 AUTHOR(S): Youssefye, Raymond D.; Magnien, Ernest; Lee, Thomas D. Y.; Chan, Wan Kit; Lin, Clara J.; Galembo, Robert A., Jr.; Johnson, William H., Jr.; Tan, Jenny; Campbell, Henry F.; et al.
 CORPORATE SOURCE: Rorer Cent. Res., Horsham, PA, 19044, USA
 SOURCE: J. Med. Chem. (1990), 33(4), 1186-94
 CODEN: JMCMAR; ISSN: 0022-2623
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI

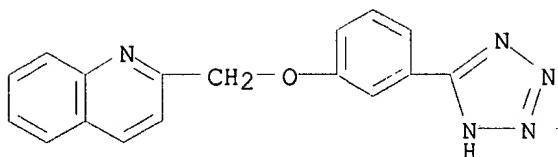


AB A series of title compds. was prep'd. and tested for leukotriene antagonist, antiallergic (wheat test), antianaphylaxis, and bronchodilatory activities. RG 5901 (I) showed potent leukotriene antagonist activity. Structure-activity relationships are discussed.

IT 107813-59-2P 107813-63-8P 107813-78-5P
 107813-81-0P 107813-83-2P 114497-40-4P
 114497-41-5P 114497-44-8P 114497-45-9P
 114497-46-0P 114497-48-2P 114516-61-9P
 125439-16-9P 125439-17-0P 125439-18-1P
 125439-20-5P 125439-21-6P 125439-23-8P
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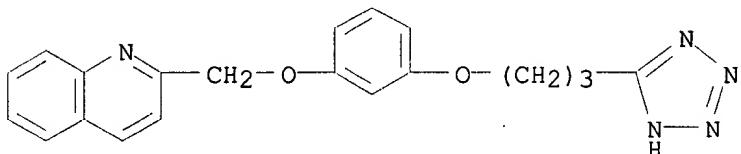
RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and leukotriene antagonist activity of, antiallergy and antiasthmatic activities in relation to)

RN 107813-59-2 CAPLUS
 CN Quinoline, 2-[(3-(1H-tetrazol-5-yl)phenoxy)methyl]- (9CI) (CA INDEX NAME)



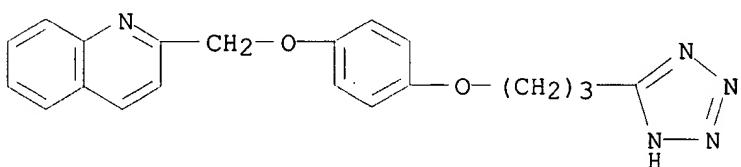
RN 107813-63-8 CAPLUS

CN Quinoline, 2-[{3-[3-(1H-tetrazol-5-yl)propoxy]phenoxy}methyl]- (9CI) (CA INDEX NAME)



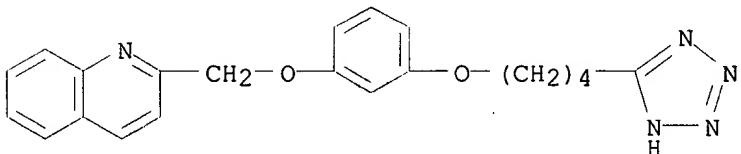
RN 107813-78-5 CAPLUS

CN Quinoline, 2-[{4-[3-(1H-tetrazol-5-yl)propoxy]phenoxy}methyl]- (9CI) (CA INDEX NAME)



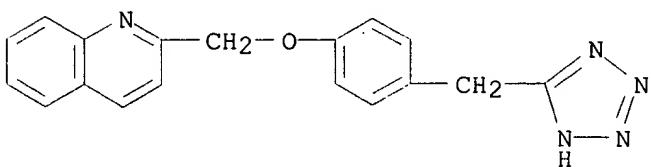
RN 107813-81-0 CAPLUS

CN Quinoline, 2-[{3-[4-(1H-tetrazol-5-yl)butoxy]phenoxy}methyl]- (9CI) (CA INDEX NAME)



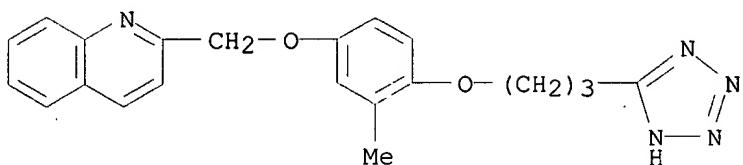
RN 107813-83-2 CAPLUS

CN Quinoline, 2-[{4-(1H-tetrazol-5-ylmethyl)phenoxy}methyl]- (9CI) (CA INDEX NAME)



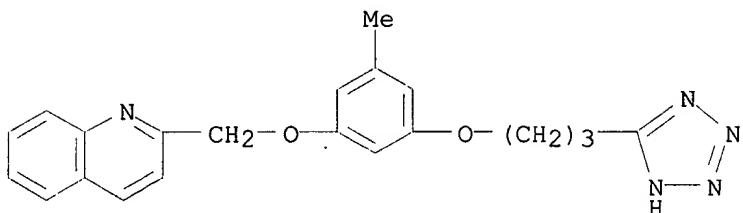
RN 114497-40-4 CAPLUS

CN Quinoline, 2-[[3-methyl-4-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



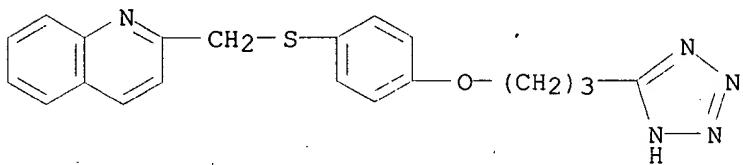
RN 114497-41-5 CAPLUS

CN Quinoline, 2-[[3-methyl-5-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



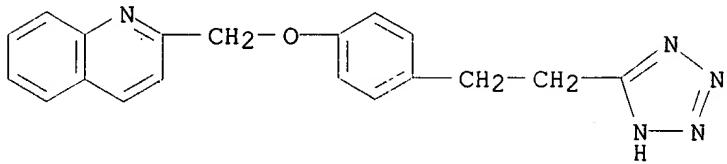
RN 114497-44-8 CAPLUS

CN Quinoline, 2-[[[4-[3-(1H-tetrazol-5-yl)propoxy]phenyl]thio]methyl]- (9CI) (CA INDEX NAME)



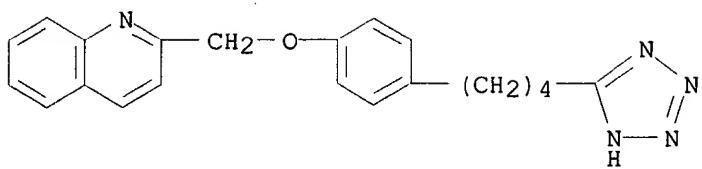
RN 114497-45-9 CAPLUS

CN Quinoline, 2-[[4-[2-(1H-tetrazol-5-yl)ethyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)

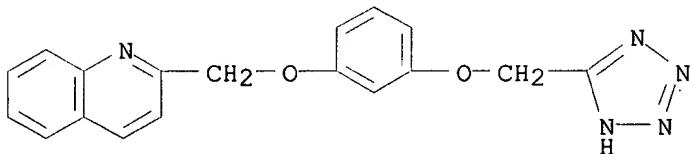


RN 114497-46-0 CAPLUS

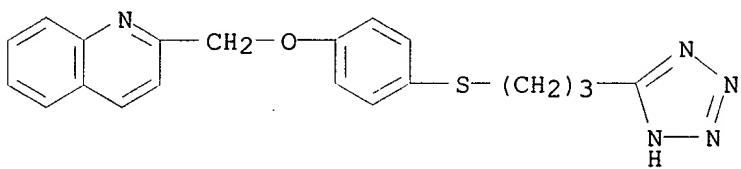
CN Quinoline, 2-[[4-[4-(1H-tetrazol-5-yl)butyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



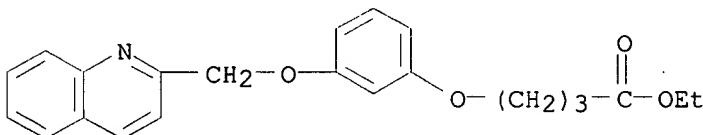
RN 114497-48-2 CAPLUS
 CN Quinoline, 2-[3-(1H-tetrazol-5-ylmethoxy)phenoxy]methyl- (9CI) (CA INDEX NAME)



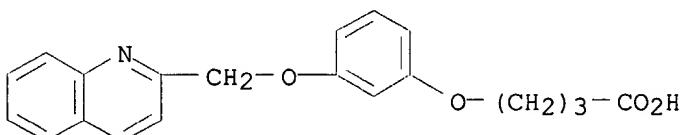
RN 114516-61-9 CAPLUS
 CN Quinoline, 2-[4-[[3-(1H-tetrazol-5-yl)propyl]thio]phenoxy]methyl- (9CI) (CA INDEX NAME)



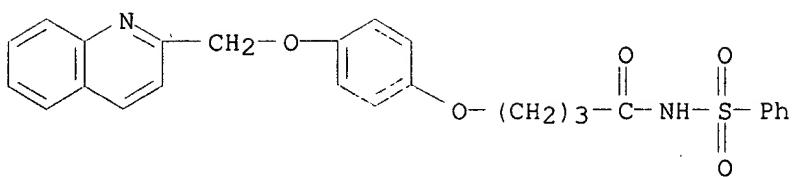
RN 125439-16-9 CAPLUS
 CN Butanoic acid, 4-[3-(2-quinolinylmethoxy)phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



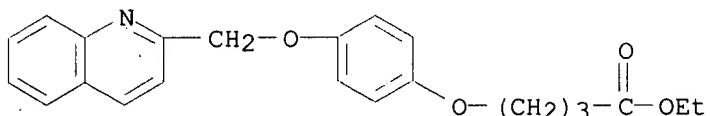
RN 125439-17-0 CAPLUS
 CN Butanoic acid, 4-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



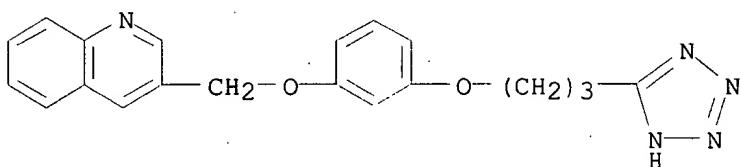
RN 125439-18-1 CAPLUS
 CN Butanamide, N-(phenylsulfonyl)-4-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



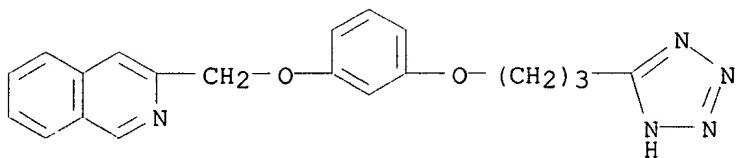
RN 125439-20-5 CAPLUS
 CN Butanoic acid, 4-[4-(2-quinolinylmethoxy)phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



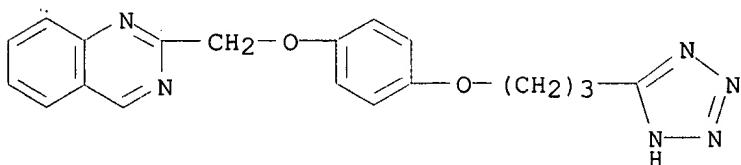
RN 125439-21-6 CAPLUS
 CN Quinoline, 3-[[3-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



RN 125439-23-8 CAPLUS
 CN Isoquinoline, 3-[[3-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)

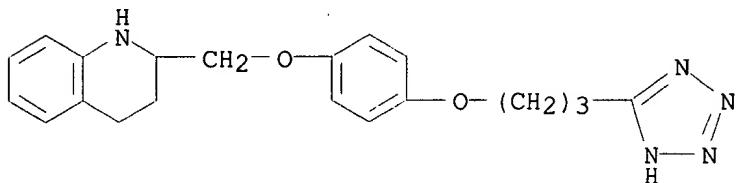


RN 125439-24-9 CAPLUS
 CN Quinazoline, 2-[[4-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



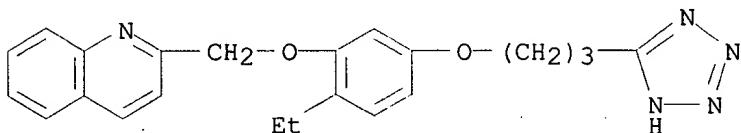
RN 125439-25-0 CAPLUS

CN Quinoline, 1,2,3,4-tetrahydro-2-[(4-[3-(1H-tetrazol-5-yl)propoxy]phenoxy)methyl]- (9CI) (CA INDEX NAME)



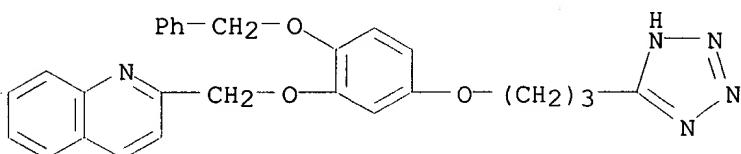
RN 125439-40-9 CAPLUS

CN Quinoline, 2-[(2-ethyl-5-[3-(1H-tetrazol-5-yl)propoxy]phenoxy)methyl]- (9CI) (CA INDEX NAME)



RN 125451-72-1 CAPLUS

CN Quinoline, 2-[(2-(phenylmethoxy)-5-[3-(1H-tetrazol-5-yl)propoxy]phenoxy)methyl]- (9CI) (CA INDEX NAME)

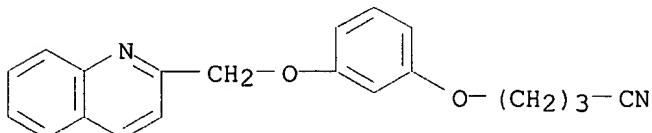


IT 107813-64-9P 125439-51-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction with sodium azide)

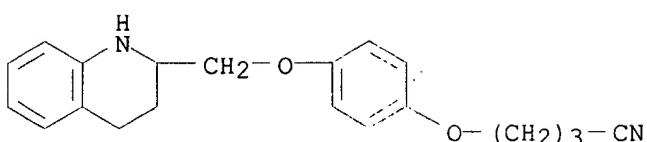
RN 107813-64-9 CAPLUS

CN Butanenitrile, 4-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



RN 125439-51-2 CAPLUS

CN Butanenitrile, 4-[4-[(1,2,3,4-tetrahydro-2-quinolinyl)methoxy]phenoxy]- (9CI) (CA INDEX NAME)

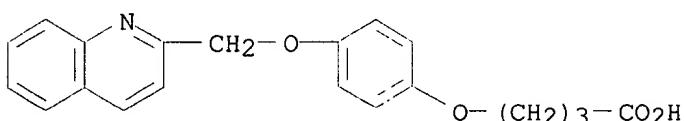


IT 125439-19-2

RL: RCT (Reactant)
(reaction of, with benzenesulfonamide)

RN 125439-19-2 CAPLUS

CN Butanoic acid, 4-[4-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)

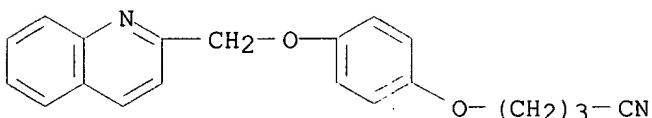


IT 114497-65-3

RL: RCT (Reactant)
(redn. of)

RN 114497-65-3 CAPLUS

CN Butanenitrile, 4-[4-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



L19 ANSWER 53 OF 98 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1990:35651 CAPLUS

DOCUMENT NUMBER: 112:35651

TITLE: N-[(Arylmethoxy)phenyl] carboxylic acids, hydroxamic acids, tetrazoles, and sulfonyl carboxamides. Potent orally active leukotriene D₄ antagonists of novel structure

AUTHOR(S): Musser, John H.; Kreft, Anthony F.; Bender, Reinhold H. W.; Kubrak, Dennis M.; Grimes, David; Carlson, Richard P.; Hand, James M.; Chang, Joseph

CORPORATE SOURCE: Wyeth-Ayerst Res., Princeton, NJ, 08543-8000, USA
SOURCE: J. Med. Chem. (1990), 33(1), 240-5

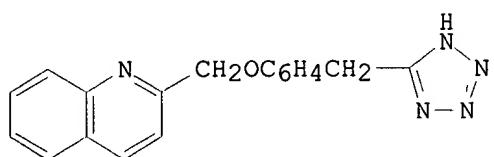
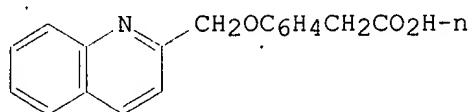
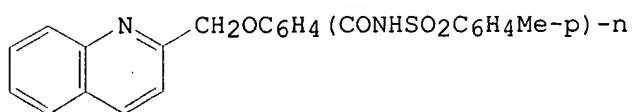
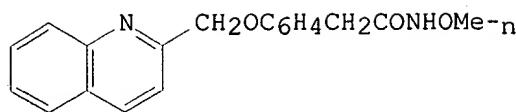
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 112:35651

GI



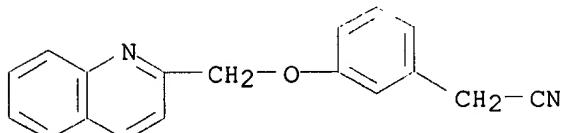
AB Four types of N-[(arylmethoxy)phenyl] title compds. were prep'd. as leukotriene D4 (I) antagonists. In the hydroxamic acid series, 3-(2-quinolinylmethoxy)benzeneacetohydroxamate II was the most potent inhibitor of I-induced bronchoconstriction with an oral ED₅₀ of 7.9 mg/kg. II also orally inhibited ovalbumin-induced bronchoconstriction in the guinea pig with an ED₅₀ of 3.6 mg/kg. In vitro against I-induced contraction of isolated guinea pig trachea pretreated with indomethacin and L-cysteine, II produced a pKB value of 6.08. In the sulfonyl carboxamide series, N-[(4-methylphenyl)sulfonyl]-3-(2-quinolinylmethoxy)benzamide (III) was the most potent antagonist. III orally inhibited both I- and ovalbumin-induced bronchoconstriction with ED₅₀s of 0.4 and 20.2 mg/kg, resp. In vitro, against I-induced contraction of isolated guinea pig trachea, III produced a pKB value of 7.78. In the carboxylic acid series, which served as intermediates for the above two series, 3-(2-quinolinyl)methoxybenzeneacetic acid IV was the most potent inhibitor of I-induced bronchoconstriction (99%, at 25 mg/kg, intraduodenally); however, the pKB for IV was disappointing (5.79). In the tetrazole series the most potent inhibitor was 2-[[3-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]quinoline (V). The resp. inhibitory ED₅₀s were 3.0 mg/kg vs. I and 17.5 mg/kg vs. ovalbumin. In the isolated guinea pig trachea, V produced a pKB value of 6.70.

IT 123724-18-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and cyclization of, with sodium azide and ammonium chloride,
tetrazole from)

RN 123724-18-5 CAPLUS

CN Benzeneacetonitrile, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

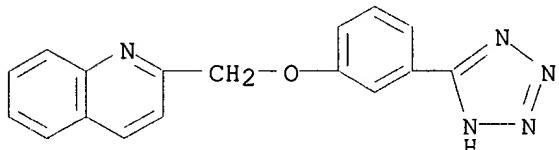


IT 107813-59-2P 107813-83-2P 120028-56-0P
 123724-11-8P 123724-12-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of and inhibition with, of leukotriene-induced
 bronchoconstriction)

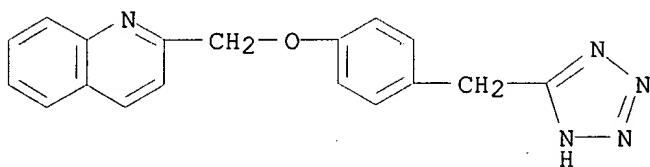
RN 107813-59-2 CAPLUS

CN Quinoline, 2-[(3-(1H-tetrazol-5-yl)phenoxy)methyl]- (9CI) (CA INDEX NAME)



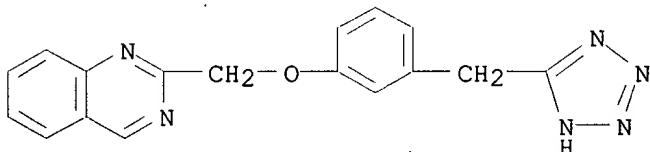
RN 107813-83-2 CAPLUS

CN Quinoline, 2-[(4-(1H-tetrazol-5-ylmethyl)phenoxy)methyl]- (9CI) (CA INDEX NAME)



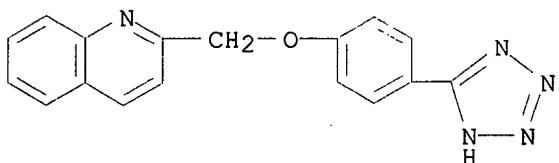
RN 120028-56-0 CAPLUS

CN Quinazoline, 2-[(3-(1H-tetrazol-5-ylmethyl)phenoxy)methyl]- (9CI) (CA INDEX NAME)



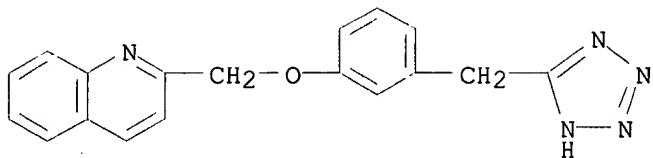
RN 123724-11-8 CAPLUS

CN Quinoline, 2-[(4-(1H-tetrazol-5-yl)phenoxy)methyl]- (9CI) (CA INDEX NAME)



RN 123724-12-9 CAPLUS

CN Quinoline, 2-[(3-(1H-tetrazol-5-ylmethyl)phenoxy)methyl]- (9CI) (CA INDEX NAME)

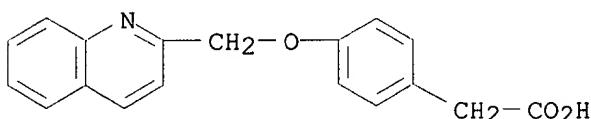


IT 121289-78-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn., antiasthmatic activity, and conversion of, to hydroxamate ester)

RN 121289-78-9 CAPLUS

CN Benzeneacetic acid, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

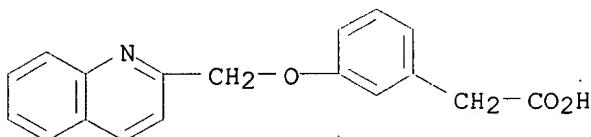


IT 104325-55-5P 123723-94-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn., antiasthmatic activity, and reactions of)

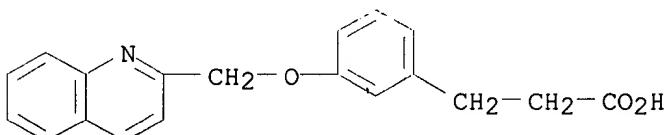
RN 104325-55-5 CAPLUS

CN Benzeneacetic acid, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



RN 123723-94-4 CAPLUS

CN Benzenepropanoic acid, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 54 OF 98 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1990:497460 CAPLUS

DOCUMENT NUMBER: 113:97460

TITLE: Preparation of quinoline derivatives useful as
 lipoxygenase inhibitors and/or leukotriene antagonists
 Huang, Fu Chi; Galemmo, Robert Anthony, Jr.; Campbell,
 Henry Flud

INVENTOR(S): Rorer International (Overseas), Inc., USA

PATENT ASSIGNEE(S): Eur. Pat. Appl., 30 pp.

SOURCE: CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

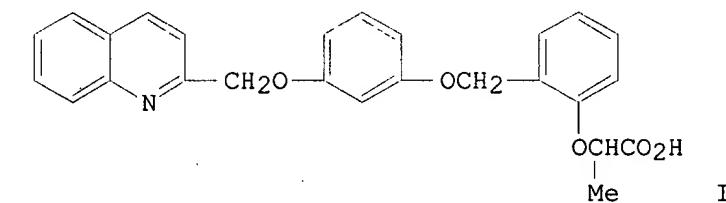
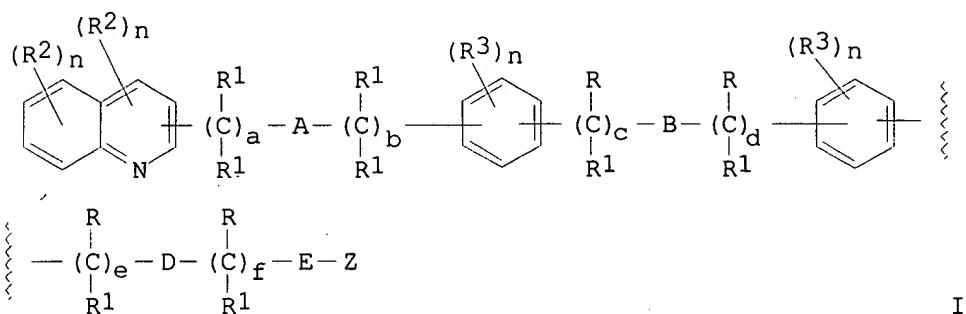
FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 348155	A1	19891227	EP 1989-306232	19890620
EP 348155	B1	19990512 R: DE, ES, FR, GB, IT		
US 4920131	A	19900424	US 1988-209428	19880621
EP 784052	A1	19970716 R: DE, ES, FR, GB, IT	EP 1997-200638	19890620
US 5059610	A	19911022	US 1990-477896	19900420
PRIORITY APPLN. INFO.:				
		US 1988-209428	19880621	
		US 1987-116420	19871103	
		US 1987-116428	19871103	
		US 1987-116597	19871103	
		WO 1988-US3897	19881101	
		EP 1989-306232	19890620	

OTHER SOURCE(S): MARPAT 113:97460

GI



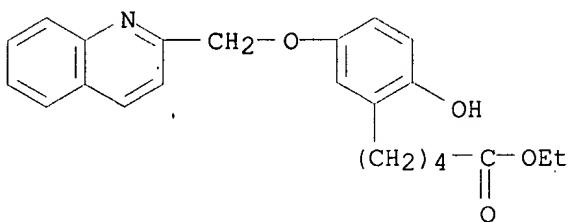
AB Title compds. I [A = O, S; B = bond, O, S, SO, SO₂, NR₁CO, CONR₁, CR₁:CR₁; D = O, S, NR₁, CR₁:CR₁, bond; E = bond, CR₁:CR₁; a = 0-2; b = 0-1; c = 0-4; d = 0-5, e = 0-4; f = 0-5; n = 0-2; R₂ = H, alkyl, OH, alkoxy, CO₂H, carbalkoxy, halo NO₂, haloalkyl, cyano, acyl; R₃ = H, OH, alkoxy, halo, etc.; R₁ = H, alkyl, aralkyl; R = (CH₂)_xX, O(CH₂)_xX, S(CH₂)_xX, NR₁(CH₂)_xX; x = 0-3; X = H, alkyl, alkenyl, aryl, alkoxy, amino, cyano, tetrazolyl, CO₂R, etc.; (R)₂ = (CH₂)_y with y = 1-4; RR₁ = (CH₂)_z with z = 2-5; (R₁)₂, RR₁ = CHR₁; Z = CO₂R₁, cyano, CONHSO₂R₄ with R₄ = H, alkyl, Ph, etc.; CON(R₁)₂, OR₁, (un)substituted tetrazolyl] were prep'd. as antiinflammatory and antiallergic agents (no data). Thus, condensation of o-cresol with MeCHBr Co₂Et and bromination of the product with NBS gave 2-(BrCH₂)C₆H₄ OCHMeCO₂Et, which underwent condensation with 3-(2-quinolinylmethoxy)phenol and basic hydrolysis to give quinoline deriv. II. Several addnl. preps. and numerous I are given.

IT 128760-85-OP

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and reaction of, in prepn. of antiallergic and antiinflammatory
 agents)

RN 128760-85-0 CAPLUS

CN Benzenepentanoic acid, 2-hydroxy-5-(2-quinolinylmethoxy)-, ethyl ester
 (9CI) (CA INDEX NAME)

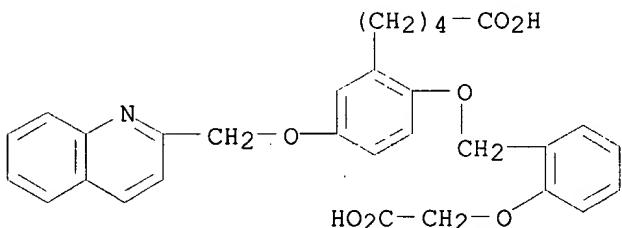


IT 128760-48-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as antiallergic and antiinflammatory agent)

RN 128760-48-5 CAPLUS

CN Benzenepentanoic acid, 2-[2-(carboxymethoxy)phenyl]methoxy]-5-(2-
 quinolinylmethoxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 55 OF 98 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1990:216719 CAPLUS

DOCUMENT NUMBER: 112:216719

TITLE: Preparation of 4-(2-quinolinylmethoxy)phenylacetates
 and analogs as lipoxygenase inhibitors

INVENTOR(S): Mohrs, Klaus; Raddatz, Siegfried; Fruchtmann, Romanis;
 Kohlsdorfer, Christian; Mueller-Peddinghaus, Reiner

PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.

SOURCE: Eur. Pat. Appl., 27 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

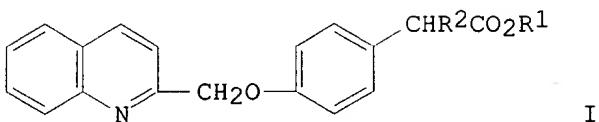
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 339416	A1	19891102	EP 1989-106816	19890417
EP 339416	B1	19930526		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
DE 3814504	A1	19891109	DE 1988-3814504	19880429
US 4929626	A	19900529	US 1989-336974	19890412
NO 8901523	A	19891030	NO 1989-1523	19890413

NO 175149	B	19940530	
NO 175149	C	19940907	
AT 89819	E	19930615	AT 1989-106816 19890417
ES 2054919	T3	19940816	ES 1989-106816 19890417
IL 90052	A1	19930708	IL 1989-90052 19890424
JP 01313466	A2	19891218	JP 1989-103592 19890425
FI 8902016	A	19891030	FI 1989-2016 19890427
FI 91855	B	19940513	
FI 91855	C	19940825	
DD 283811	A5	19901024	DD 1989-328033 19890427
CA 1333803	A1	19950103	CA 1989-597990 19890427
DK 8902087	A	19891030	DK 1989-2087 19890428
DK 168009	B1	19940117	
AU 8933852	A1	19891102	AU 1989-33852 19890428
AU 614358	B2	19910829	
ZA 8903171	A	19891227	ZA 1989-3171 19890428
HU 50779	A2	19900328	HU 1989-2044 19890428
HU 205079	B	19920330	
CN 1045777	A	19901003	CN 1989-102886 19890429
CN 1027068	B	19941221	
PRIORITY APPLN. INFO.:		DE 1988-3814504	19880429
		EP 1989-106816	19890417
OTHER SOURCE(S):		MARPAT 112:216719	
GI			



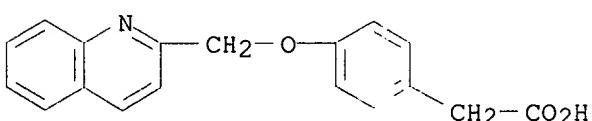
AB The title compds. (I; R1 = H, alkyl, aryl, aralkyl, CH₂CO₂R₃; R2 = H, alkyl, alkenyl, alkynyl; R3 = H, alkyl, aryl, aralkyl) were prep'd., e.g., by alkylation of I (R = H) and optional further esterification. Thus, 4-HOC₆H₄CHBuCO₂Me (prepn. given) was condensed with 2-chloromethylquinoline and the saponid. product condensed with BrCH₂CO₂CH₂Ph to give, after sapon., I (R1 = CH₂CO₂H, R2 = Bu) which gave 72% inhibition of inflammation in mice at 100 mg/kg p.o. and had IC₅₀ of 0.055 .mu.M for inhibition of lipoxygenase in vitro.

IT 121289-78-9P 126960-79-0P 126960-80-3P
 126960-81-4P 126960-82-5P 126960-83-6P
 126960-84-7P 126960-85-8P 126960-86-9P
 126960-87-0P 126960-88-1P 126960-95-0P
 126960-96-1P

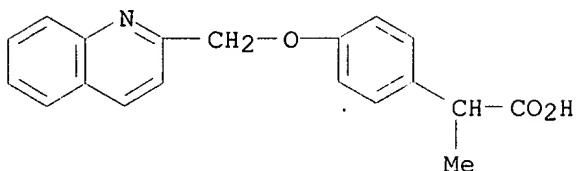
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of, as lipoxygenase inhibitor)

RN 121289-78-9 CAPLUS

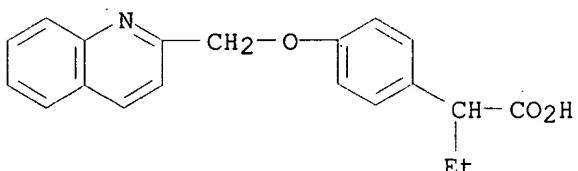
CN Benzeneacetic acid, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



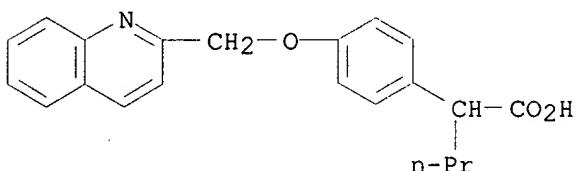
RN 126960-79-0 CAPLUS
 CN Benzeneacetic acid, .alpha.-methyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



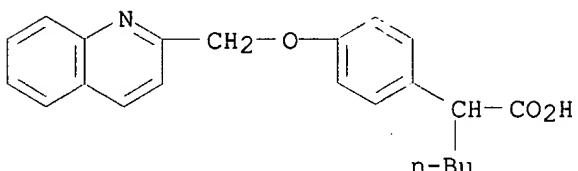
RN 126960-80-3 CAPLUS
 CN Benzeneacetic acid, .alpha.-ethyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



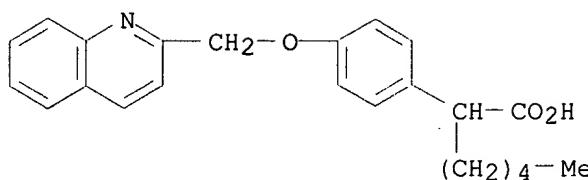
RN 126960-81-4 CAPLUS
 CN Benzeneacetic acid, .alpha.-propyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



RN 126960-82-5 CAPLUS
 CN Benzeneacetic acid, .alpha.-butyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

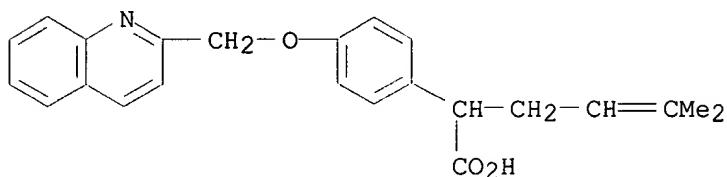


RN 126960-83-6 CAPLUS
 CN Benzeneacetic acid, .alpha.-pentyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



RN 126960-84-7 CAPLUS

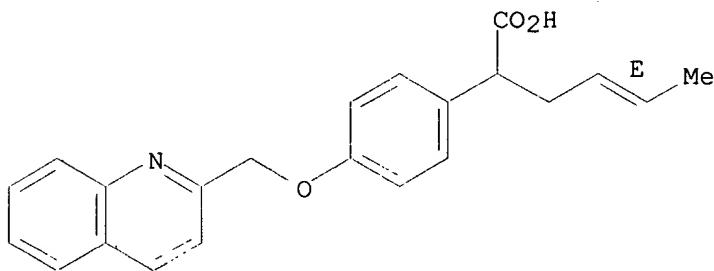
CN Benzeneacetic acid, .alpha.- (3-methyl-2-butenyl)-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



RN 126960-85-8 CAPLUS

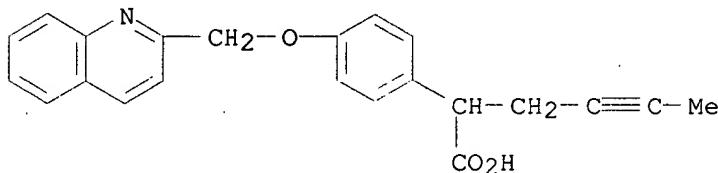
CN Benzeneacetic acid, .alpha.-2-butenyl-4-(2-quinolinylmethoxy)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



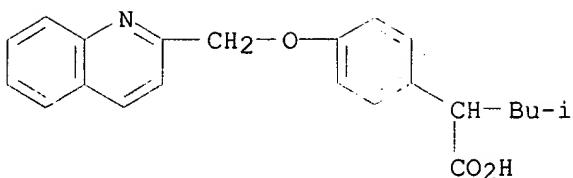
RN 126960-86-9 CAPLUS

CN Benzeneacetic acid, .alpha.-2-butynyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

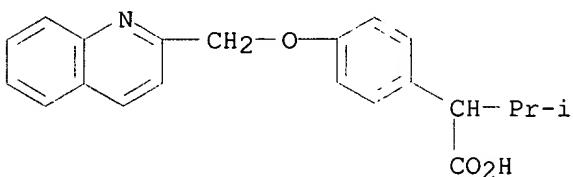


RN 126960-87-0 CAPLUS

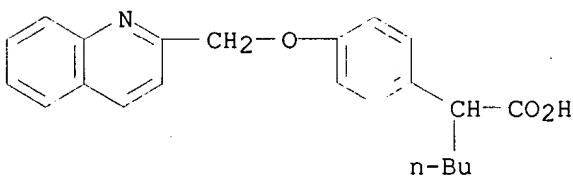
CN Benzeneacetic acid, .alpha.- (2-methylpropyl)-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



RN 126960-88-1 CAPLUS
 CN Benzeneacetic acid, .alpha.- (1-methylethyl)-4-(2-quinolinylmethoxy)- (9CI)
 (CA INDEX NAME)

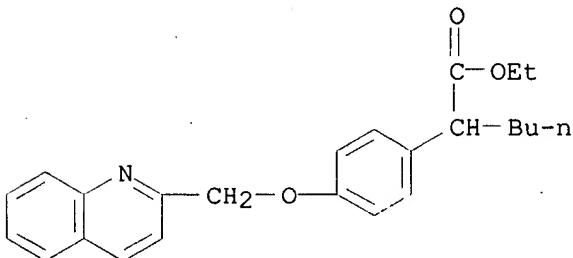


RN 126960-95-0 CAPLUS
 CN Benzeneacetic acid, .alpha.-butyl-4-(2-quinolinylmethoxy)-, sodium salt
 (9CI) (CA INDEX NAME)



● Na

RN 126960-96-1 CAPLUS
 CN Benzeneacetic acid, .alpha.-butyl-4-(2-quinolinylmethoxy)-, ethyl ester
 (9CI) (CA INDEX NAME)



L19 ANSWER 56 OF 98 CAPLUS COPYRIGHT 2001 ACS
 ACCESSION NUMBER: 1990:478180 CAPLUS
 DOCUMENT NUMBER: 113:78180
 TITLE: Preparation of 4-(2-quinolinylmethoxy)phenylacetic

Searched by Barb O'Bryen, STIC 308-4291

INVENTOR(S): acid derivatives as lipoxygenase inhibitors
 Mohrs, Klaus; Raddatz, Siegfried; Perzborn, Elisabeth;
 Fruchtmann, Romanis; Kohlsdorfer, Christian;
 Mueller-Peddinghaus, Reiner; Theisen, Pia

PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.

SOURCE: Ger. Offen., 32 pp.

CODEN: GWXXXBX

DOCUMENT TYPE: Patent

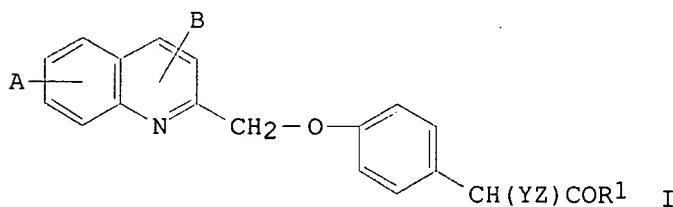
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3900261	A1	19891207	DE 1989-3900261	19890106
CN 1038641	A	19900110	CN 1989-103512	19890515
CN 1030251	B	19951115		
NO 8901962	A	19891201	NO 1989-1962	19890516
NO 174889	B	19940418		
NO 174889	C	19940727		
EP 344519	A1	19891206	EP 1989-108895	19890518
EP 344519	B1	19930414		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
AT 88183	E	19930415	AT 1989-108895	19890518
ES 2053864	T3	19940801	ES 1989-108895	19890518
US 4970215	A	19901113	US 1989-354536	19890519
FI 8902603	A	19891201	FI 1989-2603	19890529
FI 91635	B	19940415		
FI 91635	C	19940725		
AU 8935270	A1	19891207	AU 1989-35270	19890529
AU 616269	B2	19911024		
JP 02019359	A2	19900123	JP 1989-132939	19890529
DD 283812	A5	19901024	DD 1989-329000	19890529
IL 90435	A1	19930221	IL 1989-90435	19890529
CA 1333802	A1	19950103	CA 1989-600939	19890529
DK 8902638	A	19891201	DK 1989-2638	19890530
DK 169544	B1	19941128		
HU 50780	A2	19900328	HU 1989-2733	19890530
HU 207719	B	19930528		
ZA 8904093	A	19910227	ZA 1989-4093	19890530
JP 10053577	A2	19980224	JP 1997-148420	19970523
JP 3076003	B2	20000814		
PRIORITY APPLN. INFO.:			DE 1988-3818443	A1 19880531
			DE 1989-3900261	A 19890106
			EP 1989-108895	A 19890518
			JP 1989-132939	A3 19890529

OTHER SOURCE(S): MARPAT 113:78180
 GI



AB The title compds. [I; R1 = OR2, NR2R3; R2, R3 = H, alkyl, aryl, aralkyl,

CHR₄CO₂R₅, CHR₄CH₂OR₅, CHR₄OR₆, etc., R₄ = H, (un)substituted aryl, alkyl, aralkyl, aryl; R₅ = H, alkyl, aryl, aralkyl; R₆ = COR₅, CO₂R₅; Y = (CHR₇)_n; R₇ = H, alkyl, aryl; n = 0-5; Z = a norbornyl or a 3-8 membered cycloalkyl ring; A, B = H, lower alkyl], and their salts, were prep'd. as lipoxygenase inhibitors. Thus, the hydrolysis of 2-[4-(2-quinolinylmethoxy)phenyl]-3-cyclopropylpropionic acid Me ester in MeOH-NaOH gave 98% 2-[4-(2-quinolinylmethoxy)phenyl]-3-cyclopropylpropionic acid. The IC₅₀ of the latter for inhibition of lipoxygenase was 0.14 mM.

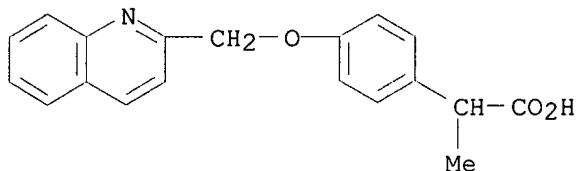
IT

126960-79-0P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as lipoxygenase inhibitor)

RN 126960-79-0 CAPLUS
 CN Benzeneacetic acid, .alpha.-methyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 57 OF 98 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1989:457510 CAPLUS

DOCUMENT NUMBER: 111:57510

TITLE: Differential effects of a series of hydroxamic acid derivatives on 5-lipoxygenase and cyclooxygenase from neutrophils and 12-lipoxygenase from platelets and their in vivo effects on inflammation and anaphylaxis

AUTHOR(S): Huang, Fu Chih; Shoupe, T. Scott; Lin, Clara J.; Lee, Thomas D. Y.; Chan, Wan Kit; Tan, Jenny; Schnapper, Melvin; Suh, John T.; Gordon, Robert J.; et al.

CORPORATE SOURCE: Rorer Cent. Res., Horsham, PA, 19044, USA

SOURCE: J. Med. Chem. (1989), 32(8), 1836-42

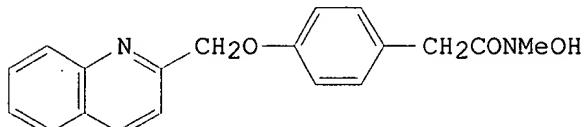
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 111:57510

GI



II

AB The synthesis of a series of 36 substituted hydroxamates is described along with their profile of inhibitory activity against 5-lipoxygenase, 12-lipoxygenase, and cyclooxygenase enzymes. The structure-activity relationship suggests that future mols. could be designed to specifically inhibit one or more of these enzymes since there were definite differences in structure-activity relationships for these different enzymes.

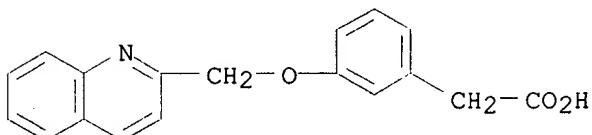
Rerepresentative no. of these compds. were tested in vivo and found to possess potent oral activity in a systemic anaphylaxis model mediated by leukotrienes and topical activity in an arachidonic acid induced inflammation model. One of these mols., N-hydroxy-N-methyl-4-[(quinolin-2-yl)methoxyphenylacetamide (II), demonstrated that a leukotriene antagonist pharmacophore can be modified such that it contains both antagonist activity and 5-lipoxygenase inhibitory activity.

IT 104325-55-5

RL: RCT (Reactant)
(amidation of, with methylhydroxylamine)

RN 104325-55-5 CAPLUS

CN Benzeneacetic acid, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

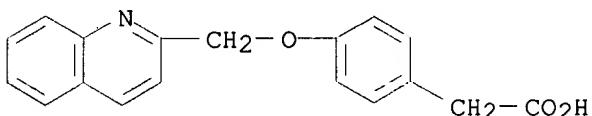


IT 121289-78-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and amidation of, with methylhydroxylamine)

RN 121289-78-9 CAPLUS

CN Benzeneacetic acid, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 58 OF 98 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1989:135096 CAPLUS

DOCUMENT NUMBER: 110:135096

TITLE: Preparation of quinoline containing
sulfonylcarboxamides as allergy and inflammation
inhibitors

INVENTOR(S): Kreft, Anthony Frank, III; Musser, John Henry; Kubrak,
Dennis Martin

PATENT ASSIGNEE(S): USA

SOURCE: Brit. UK Pat. Appl., 33 pp.

CODEN: BAXXDU

DOCUMENT TYPE: Patent

LANGUAGE: English

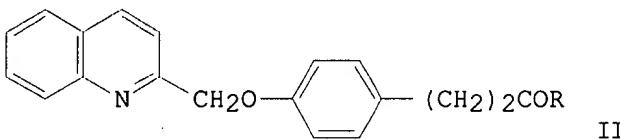
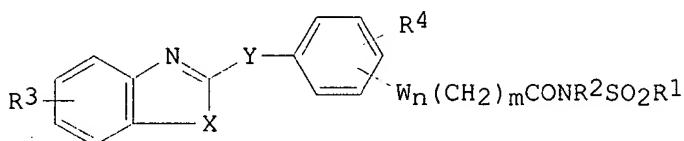
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2202223	A1	19880921	GB 1988-6373	19880330
GB 2202223	B2	19910529		
WO 8806886	A2	19880922	WO 1988-US767	19880316
WO 8806886	A3	19890112		
W: AU, JP, KR				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
AU 8815497	A1	19881010	AU 1988-15497	19880316
EP 309541	A1	19890405	EP 1988-903531	19880316

EP 309541 B1 19920102
 R: AT, BE, CH, DE, FR, IT, LI, LU, NL, SE
 JP 01502755 T2 19890921 JP 1988-503171 19880316
 AT 70976 E 19920115 AT 1988-903531 19880316
 CA 1314048 A1 19930302 CA 1988-561795 19880317
 PRIORITY APPLN. INFO.: US 1987-27452 19870318
 EP 1988-903531 19880316
 WO 1988-US767 19880316

OTHER SOURCE(S): MARPAT 110:135096
GI



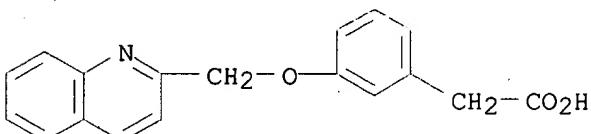
AB Title compds. I ($W = O, S, NR_2, CH_2; X = O, S, NR_2, CH:CH, CH:N, N:CH; Y = CH_2O, CH_2S, CH_2NR_2, O, S, NR_2, CONR_2, CHR_2CHR_2, CR_2:CR_2; R_1 = alkyl, perfluoroalkyl, (R_5-substituted Ph; R_2 = H, alkyl; R_3, R_4, R_5 = H, alkyl, NO_2, CF_3, Me, halo, alkoxy, alkoxycarbonyl, alkanoyloxy; n = 0, 1; m = 0-10)$) are prep'd. A soln. of p-HOC₆H(CH₂)₂CO₂H in MeOH was successively treated with MeONa and with 2-chloromethylquinoline in DMF at room temp. to give 31% a propionate II ($R = \text{quinoline-2-methoxy}$) which in THF was refluxed with 1N NaOH to give II ($R = \text{OH}$), and the latter in THF was treated with p-MeC₆H₄SO₂NH₂ in the presence of 1,1-carbonylimidazole to afford 31% III ($R = p\text{-MeC}_6\text{H}_4\text{SO}_2\text{NH}$). III at 25 mg/kg intraduodenally showed 97% inhibition of leukotrienes-induced bronchospasm in guinea pigs.

IT 104325-55-5P 119514-99-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of allergy and inflammation inhibitors)

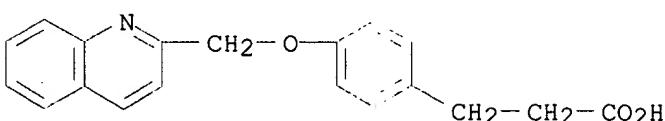
RN 104325-55-5 CAPLUS

CN Benzeneacetic acid, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



RN 119514-99-7 CAPLUS

CN Benzenepropanoic acid, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 60 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: X910910791988422970 CAPLUS DOCUMENT NUMBER: K0810910791988422970
TITLE: 1,4-DIMETHYL-5-(1H-1,2,4-TETRAZOLE-5-YL)QUINOLYL ARYL TETRAZOLE ETHERS AS
INVENTOR(S): S. B. 114497-Youssefeyeh, Raymond; Chakraborty, Utpal; Magnien,
Ernest; Desai, Rohit; Lee, Thomas D. Y.
PATENT ASSIGNEE(S): Rorer International (Overseas), Inc., USA
SOURCE: CAPLUS PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8705510	A1	19870924	WO 1987-US560	19870311
W: AU, JP, US, US, US				
EP: RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
US 4631287	4-(1A-2-19861223)-methoxy-1985-723781	(19850416 INDEX NAME)		
US 4839369	A 19890613	US 1986-839410	19860313	

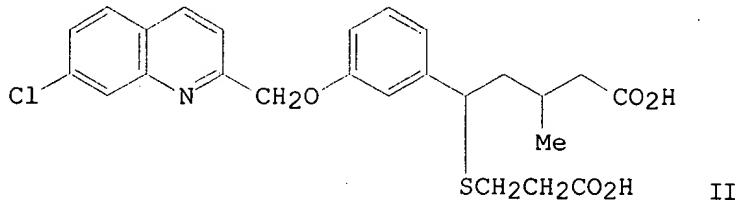
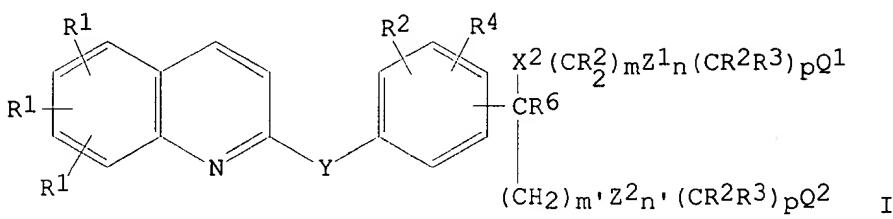
Searched by Barb O'Brien STIC 308-4291

11 113893-00-35 114043-42-3L
-BENZYL-1-CYANOKETONE, 1-(1H-CYCLOPENTYL-1-CYANOKETONE) IN WO 90 COURTESY OF STIC 308-4291
INDEX NAME

113893-00-35 114043-42-3L
-BENZYL-1-CYANOKETONE, 1-(1H-CYCLOPENTYL-1-CYANOKETONE) IN WO 90 COURTESY OF STIC 308-4291
INDEX NAME

L19 ANSWER 59 OF 98 CAPLUS COPYRIGHT 2001 ACS
 ACCESSION NUMBER: 1989:8058 CAPLUS
 DOCUMENT NUMBER: 110:8058
 TITLE: Preparation of quinolinyl-substituted dioate derivatives as leukotriene antagonists
 INVENTOR(S): Young, Robert N.; Zamboni, Robert; Williams, Haydn W.
 R.; Belley, Michel L.
 PATENT ASSIGNEE(S): Merck Frosst Canada, Inc., Can.
 SOURCE: Eur. Pat. Appl., 39 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 271287	A2	19880615	EP 1987-310645	19871203
EP 271287	A3	19900613		
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, LU, NL, SE				
CA 1324143	A1	19931109	CA 1987-553921	19871209
DK 8706486	A	19880923	DK 1987-6486	19871210
JP 63208576	A2	19880830	JP 1987-312450	19871211
JP 06013473	B4	19940223		
PRIORITY APPLN. INFO.:		US 1986-940709.		19861211
OTHER SOURCE(S):		MARPAT 110:8058		
GI				



AB The title compds. [I; Q1,Q2 = CO₂R₂, cyano, CHO, CH₂OH, tetrazolyl, etc.; R₁ = H, halo, alkyl, alkenyl, etc.; R₂ = H, alkyl, alkenyl, alkynyl, CF₃, (un)substituted Ph, PhCH₂, PhCH₂CH₂; R₃ = halo, NO₂, cyano, OR₂, SR₂, NR₂, alkyl; R₄ = R₃, COR₂; R₆ = H, alkyl; X₂ = CR₂₂, O, S, SO, SO₂; Y = CR₂:CR₂, C.tplbond.C, CO, O, S, cyclopropylene, etc.; Z₁,Z₂ = CONR₂; m,m' = 0-8; n,n' = 0, 1; p,p' = 0-8] were prepd. as leukotriene antagonists (no data). 3-(RO)C₆H₄COH (R = Me₃CSiMe₂) and Ph₃P:CH₂Ac were heated at 70.degree. in THF 48 h and the product stirred 1 h with HSCH₂CH₂CO₂Me in THF contg. DBN to give 3-(RO)C₆H₄CH(CH₂Ac)SCH₂CH₂CO₂Me which was stirred with Me₃SiCH₂CO₂Et previously treated with (Me₂CH)₂NLi to give 3-(RO)C₆H₄CH(SCH₂CH₂CO₂Me)CH₂CMe:CHCO₂Et (R as above). The latter was

hydrogenated and deprotected and the product ($R = H$) refluxed 3.5 h with 2-bromomethyl-7-chloroquinoline in Me₂O contg. K₂CO₃ to give, after sapon., title compd. II.

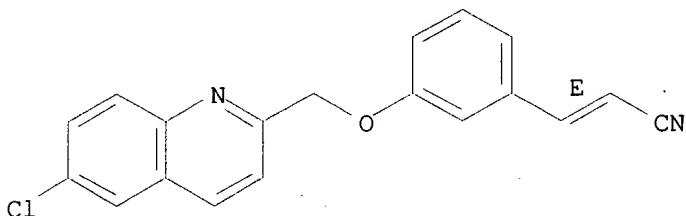
IT 117843-00-2P 117843-43-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and reaction of, in prepn. of leukotriene antagonists)

RN 117843-00-2 CAPLUS

CN 2-Propenenitrile, 3-[3-[(6-chloro-2-quinolinyl)methoxy]phenyl]-, (E)- (9CI) (CA INDEX NAME)

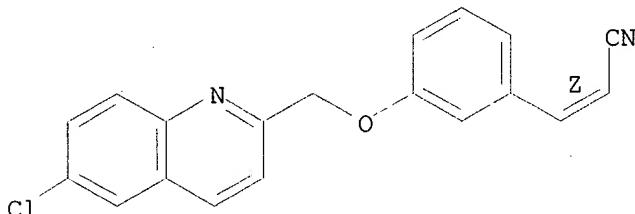
Double bond geometry as shown.



RN 117843-43-3 CAPLUS

CN 2-Propenenitrile, 3-[3-[(6-chloro-2-quinolinyl)methoxy]phenyl]-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L19 ANSWER 60 OF 98 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1988:422970 CAPLUS

DOCUMENT NUMBER: 109:22970

TITLE: Preparation of quinolyl aryltetrazole ethers as inflammation inhibitors and allergy inhibitors

INVENTOR(S): Youssefye, Raymond; Chakraborty, Utpal; Magnien, Ernest; Desai, Rohit; Lee, Thomas D. Y.

PATENT ASSIGNEE(S): Rorer International (Overseas), Inc., USA

SOURCE: PCT Int. Appl., 49 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

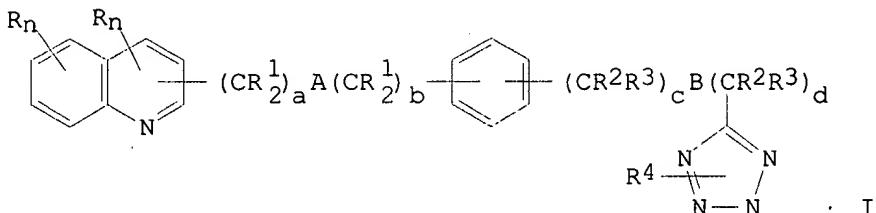
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8705510	A1	19870924	WO 1987-US560	19870311
W: AU, JP, US, US, US				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
US 4631287	A	19861223	US 1985-723781	19850416
US 4839369	A	19890613	US 1986-839410	19860313

US 4725619	A	19880216	US 1986-877568	19860623
US 4728668	A	19880301	US 1986-877570	19860623
US 4868193	A	19890919	US 1986-911028	19860924
AU 8771623	A1	19871009	AU 1987-71623	19870311
AU 612569	B2	19910718		
EP 260305	A1	19880323	EP 1987-902015	19870311
EP 260305	B1	19921216		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 63503139	T2	19881117	JP 1987-501942	19870311
AT 83376	E	19930115	AT 1987-902015	19870311
CA 1324142	A1	19931109	CA 1987-532055	19870313
US 4874769	A	19891017	US 1988-124800	19880105
PRIORITY APPLN. INFO.:				
		US 1985-723781	19850416	
		US 1986-839410	19860313	
		US 1986-911028	19860924	
		EP 1987-902015	19870311	
		WO 1987-US560	19870311	

GI



AB The title compds. [I; R = H, alkyl, OH, alkoxy, carbalkoxy, halo, NO₂, haloalkyl, cyano; R₁, R₂ = H, alkyl, aralkyl; vicinal R₂R₂ = double bond; R₃ = (CH₂)_xX; vicinal R₃R₃ = (CH₂)_y; R₂R₃ = (CH₂)_z; X = H, alkyl, alkenyl, cycloalkyl, aryl, aralkyl, OH, alkoxy, amino, carbamoyl, carboxy, carboalkoxy; R₄ = H, (substituted) alkyl; A = O, S; B = CR₂R₃, O, S; a, c, n = 0-2; b = 0-1; d = 0-5; x = 0-3; y = 1-4; z = 2-5] were prep'd. as antiinflammatories and allergy inhibitors (no data). 2-[(3-Hydroxyphenoxy)methyl]quinoline and 5-(3-chloropropyl)tetrazole were heated with KOH in EtOH/H₂O to give 5-[3-(3-(2-quinolylmethoxy)phenoxy)propyl]tetrazole.

IT 107813-60-5 107813-64-9 107813-82-1

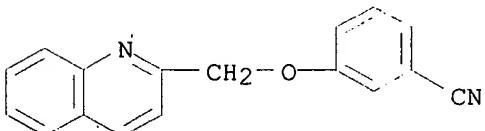
114497-65-3 114497-66-4

RL: RCT (Reactant)

(cyclocondensation of, with sodium azide)

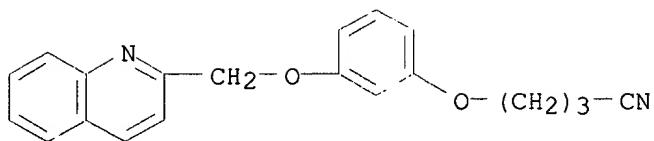
RN 107813-60-5 CAPLUS

CN Benzonitrile, 3-(2-quinolylmethoxy)- (9CI) (CA INDEX NAME)

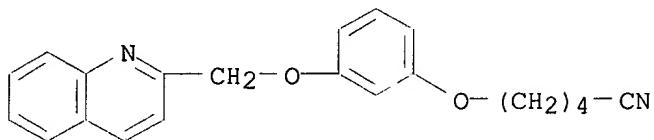


RN 107813-64-9 CAPLUS

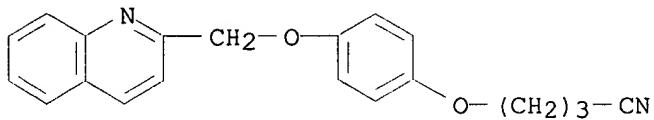
CN Butanenitrile, 4-[3-(2-quinolylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



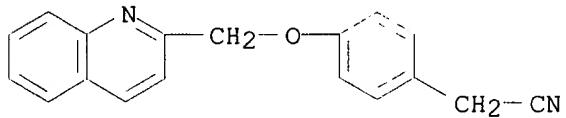
RN 107813-82-1 CAPLUS
 CN Pentanenitrile, 5-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



RN 114497-65-3 CAPLUS
 CN Butanenitrile, 4-[4-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)

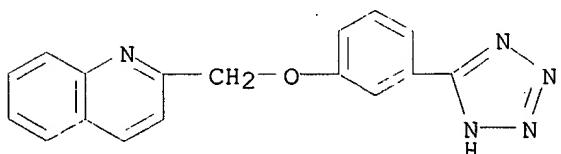


RN 114497-66-4 CAPLUS
 CN Benzeneacetonitrile, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



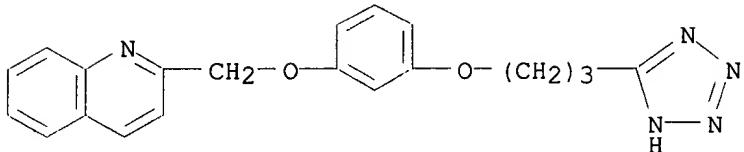
IT 107813-59-2P 107813-63-8P 107813-78-5P
 107813-81-0P 107813-83-2P 114497-39-1P
 114497-40-4P 114497-41-5P 114497-42-6P
 114497-43-7P 114497-44-8P 114497-45-9P
 114497-46-0P 114497-47-1P 114497-48-2P
 114497-49-3P 114497-53-9P 114497-54-0P
 114516-61-9P 114516-62-0P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as inflammation inhibitor and allergy inhibitor)

RN 107813-59-2 CAPLUS
 CN Quinoline, 2-[[3-(1H-tetrazol-5-yl)phenoxy]methyl]- (9CI) (CA INDEX NAME)

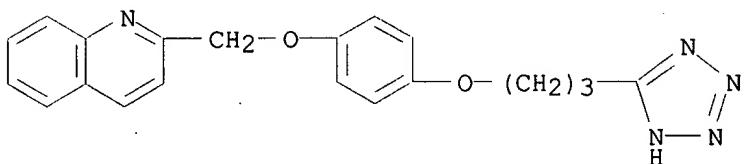


RN 107813-63-8 CAPLUS

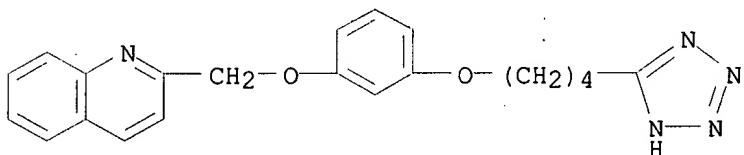
CN Quinoline, 2-[[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



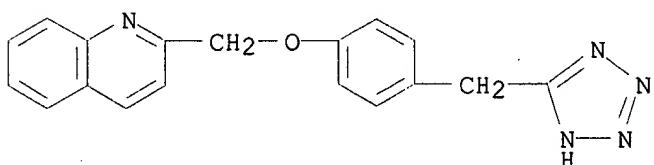
RN 107813-78-5 CAPLUS
CN Quinoline, 2-[[4-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



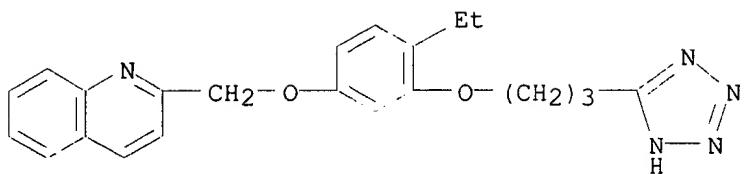
RN 107813-81-0 CAPLUS
CN Quinoline, 2-[[3-[4-(1H-tetrazol-5-yl)butoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



RN 107813-83-2 CAPLUS
CN Quinoline, 2-[[4-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]- (9CI) (CA INDEX NAME)

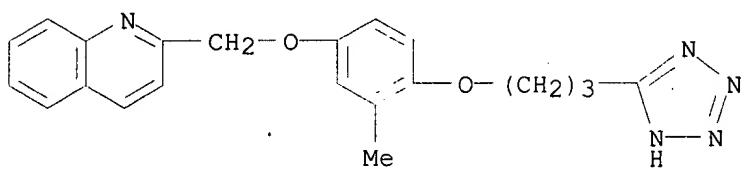


RN 114497-39-1 CAPLUS
CN Quinoline, 2-[[4-ethyl-3-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



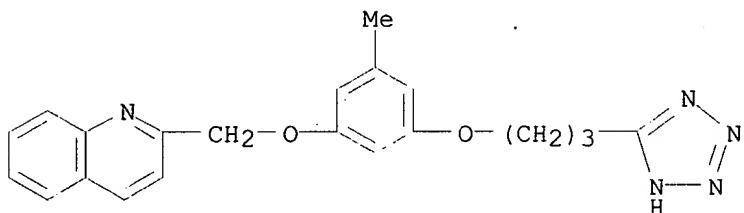
RN 114497-40-4 CAPLUS

CN Quinoline, 2-[[3-methyl-4-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



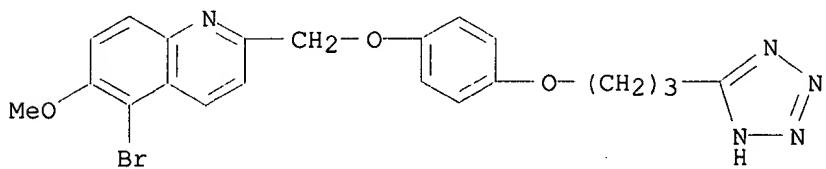
RN 114497-41-5 CAPLUS

CN Quinoline, 2-[[3-methyl-5-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



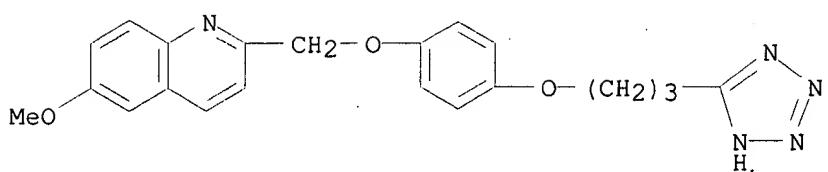
RN 114497-42-6 CAPLUS

CN Quinoline, 5-bromo-6-methoxy-2-[[4-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)

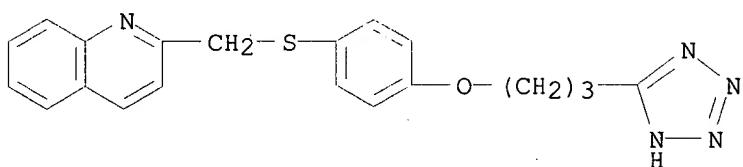


RN 114497-43-7 CAPLUS

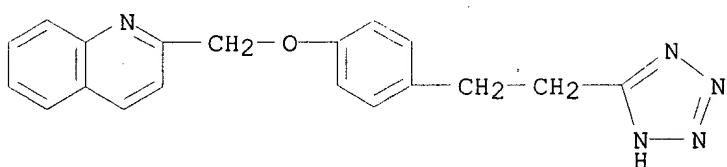
CN Quinoline, 6-methoxy-2-[[4-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



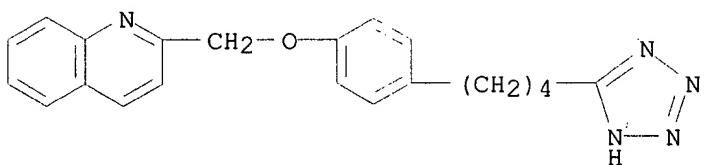
RN 114497-44-8 CAPLUS
 CN Quinoline, 2-[[[4-[3-(1H-tetrazol-5-yl)propoxy]phenyl]thiomethyl]- (9CI)
 (CA INDEX NAME)



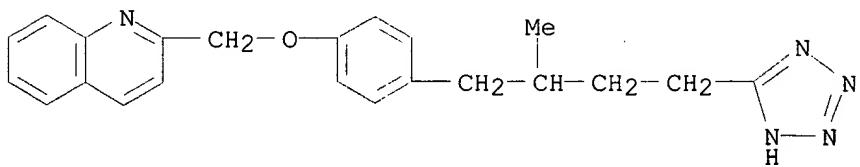
RN 114497-45-9 CAPLUS
 CN Quinoline, 2-[[[4-[2-(1H-tetrazol-5-yl)ethyl]phenoxy]methyl]- (9CI) (CA
 INDEX NAME)



RN 114497-46-0 CAPLUS
 CN Quinoline, 2-[[[4-[4-(1H-tetrazol-5-yl)butyl]phenoxy]methyl]- (9CI) (CA
 INDEX NAME)

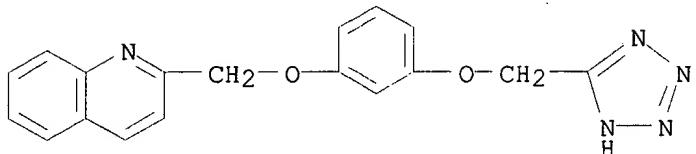


RN 114497-47-1 CAPLUS
 CN Quinoline, 2-[[[4-[2-methyl-4-(1H-tetrazol-5-yl)butyl]phenoxy]methyl]-
 (9CI) (CA INDEX NAME)



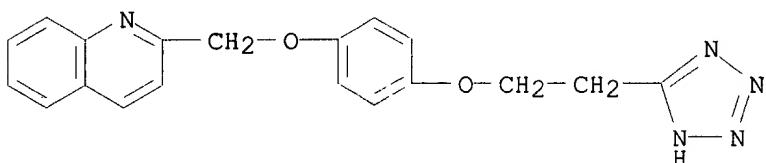
RN 114497-48-2 CAPLUS

CN Quinoline, 2-[[3-(1H-tetrazol-5-ylmethoxy)phenoxy]methyl]- (9CI) (CA INDEX NAME)



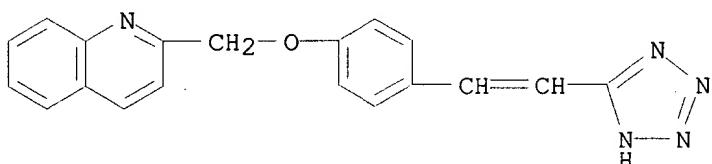
RN 114497-49-3 CAPLUS

CN Quinoline, 2-[[4-[2-(1H-tetrazol-5-yl)ethoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



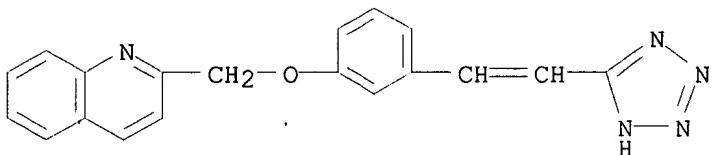
RN 114497-53-9 CAPLUS

CN Quinoline, 2-[[4-[2-(1H-tetrazol-5-yl)ethenyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



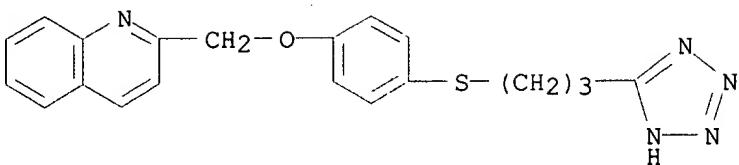
RN 114497-54-0 CAPLUS

CN Quinoline, 2-[[3-[2-(1H-tetrazol-5-yl)ethenyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



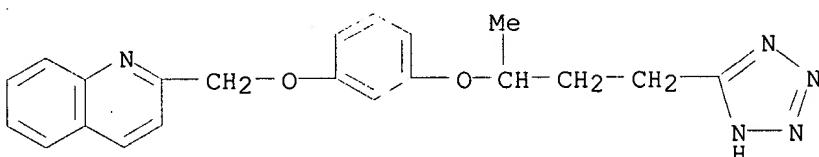
RN 114516-61-9 CAPLUS

CN Quinoline, 2-[[4-[[3-(1H-tetrazol-5-yl)propyl]thio]phenoxy]methyl]- (9CI) (CA INDEX NAME)



RN 114516-62-0 CAPLUS

CN Quinoline, 2-[[3-[1-methyl-3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)

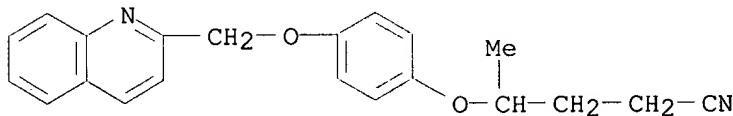


IT 114497-55-1P 114497-56-2P 114497-63-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for inflammation inhibitor)

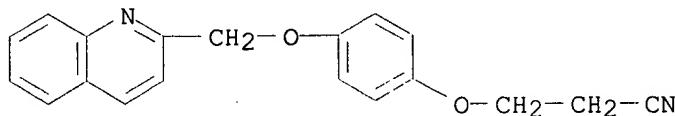
RN 114497-55-1 CAPLUS

CN Pentanenitrile, 4-[(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



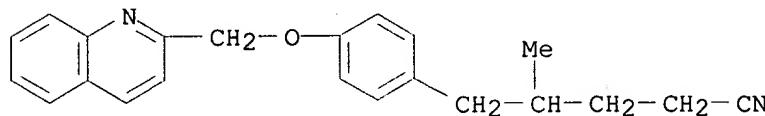
RN 114497-56-2 CAPLUS

CN Propanenitrile, 3-[(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



RN 114497-63-1 CAPLUS

CN Benzenepentanenitrile, .gamma.-methyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 61 OF 98 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1987:423251 CAPLUS

DOCUMENT NUMBER: 107:23251

TITLE: 2-Substituted quinolines and their use

INVENTOR(S): Young, Robert N.; Leger, Serge; Frenette, Richard;
 Zamboni, Robert; Williams, Hayden W. R.

PATENT ASSIGNEE(S): Merck Frosst Canada, Inc., Can.

SOURCE: Eur. Pat. Appl., 99 pp.

DOCUMENT TYPE: Patent

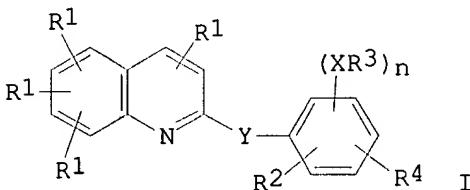
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 206751	A2	19861230	EP 1986-304686	19860617
EP 206751	A3	19880525		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
DK 8602829	A	19870224	DK 1986-2829	19860617
ES 556127	A1	19880101	ES 1986-556127	19860617
JP 62053967	A2	19870309	JP 1986-142460	19860618
CA 1338352	A1	19960528	CA 1986-511884	19860618
US 4962203	A	19901009	US 1989-393436	19890814
PRIORITY APPLN. INFO.:			US 1985-746204	19850618
			US 1986-874243	19860613
			US 1988-253993	19881005

GI



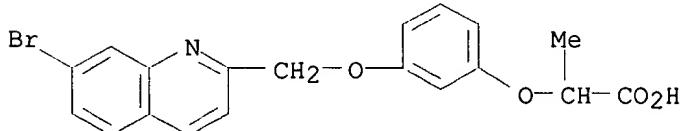
AB Title compds. I (R1 = H, halo, C1-8 alkyl, C2-8 alkenyl, -alkynyl, F3C, CHO, cyano, O2N, (un)substituted Ph, -PhCH2, -PhCH2CH2, etc., R2 = H, C1-8 alkyl, C2-8 alkenyl, -alkynyl, F3C, (un)substituted Ph, etc.; R3 = Am(CR2:CR2)p(CR2R2)mQ, A = CR2R4, R4 = H, halo, O2N, CO, etc.; m = 0-8; p = 0-2; Q = R2O2C, tetrazolyl, HOCH2CO, etc.; X = O, S, OS, O2S, R2N; n = 1, 2) and their salts, useful as leukotriene D4 (LTD4) antagonists, were prepd. Thus, 5-[1-[3-[2-(7-chloroquinolin-2-yl)ethenyl]phenoxy]ethyl]tetrazole, prepd. in 5 steps from 7-bromoquininaline, inhibited LTD4 contraction of the guinea pig ileum >1000 times greater than a ref. quinoline analog.

IT 108165-90-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as leukotriene D4 antagonist)

RN 108165-90-8 CAPLUS

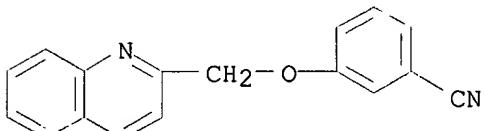
CN Propanoic acid, 2-[3-[(7-bromo-2-quinolinyl)methoxy]phenoxy]- (9CI) (CA INDEX NAME)



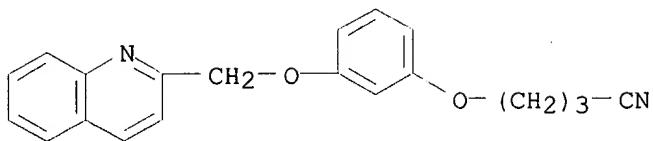
L19 ANSWER 62 OF 98 CAPLUS COPYRIGHT 2001 ACS
 ACCESSION NUMBER: 1987:176188 CAPLUS
 DOCUMENT NUMBER: 106:176188
 TITLE: Aryl and heteroaryl ethers as agents for the treatment
 of hypersensitive ailments
 INVENTOR(S): Youssefye, Raymond; Chakraborty, Utpal; Magnien,
 Ernest; Desai, Rohit
 PATENT ASSIGNEE(S): USV Pharmaceutical Corp., USA
 SOURCE: Eur. Pat. Appl., 39 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 200101	A2	19861210	EP 1986-105287	19860416
EP 200101	A3	19880420		
US 4631287	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE A	19861223	US 1985-723781	19850416
US 4839369	A	19890613	US 1986-839410	19860313
AU 8656398	A1	19861023	AU 1986-56398	19860416
AU 597249	B2	19900531		
JP 62212334	A2	19870918	JP 1986-86228	19860416
US 4725619	A	19880216	US 1986-877568	19860623
US 4728668	A	19880301	US 1986-877570	19860623
PRIORITY APPLN. INFO.:			US 1985-723781	19850416
			US 1986-839410	19860313

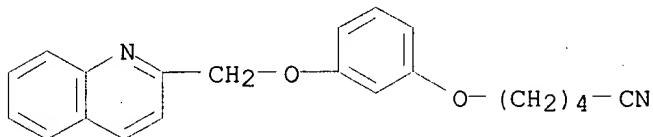
AB ArZM21Ar1 [Ar, Ar1 = (un)substituted Ph, naphthyl, or a N-, O-, S-contg. heterocycl; Z, Z1 = bond, alkylene; M = O, S, NR; R = H, alkyl], useful as lipoxygenase inhibitors possessing anti-inflammatory and antiallergic properties, were prep'd. Thus, a mixt. of 2-(chloromethyl)quinoline 0.05, PhOH 0.055, K2CO3 0.055, Cs2CO3 0.005, and NaI 0.0025 mol in Me2CO was refluxed for .apprx.4 h to give 2-(phenoxyethyl)quinoline (I). I inhibited 5-lipoxygenase activity with I50 = 0.7 using a suspension of rat neutrophils in buffer incubated for 3 min at 30.degree. with [14C]arachidonic acid and Ca Ionophore A23187.
 IT 107813-60-5 107813-64-9 107813-82-1
 107813-84-3
 RL: RCT (Reactant)
 (cyclization reaction of, with azide, tetrazole deriv. from)
 RN 107813-60-5 CAPLUS
 CN Benzonitrile, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



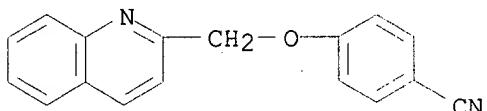
RN 107813-64-9 CAPLUS
 CN Benzonitrile, 4-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



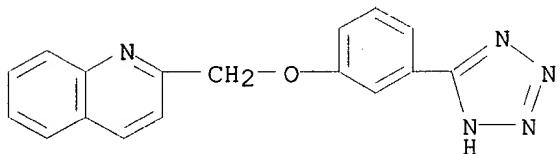
RN 107813-82-1 CAPLUS
 CN Pentanenitrile, 5-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



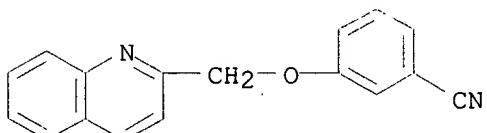
RN 107813-84-3 CAPLUS
 CN Benzonitrile, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



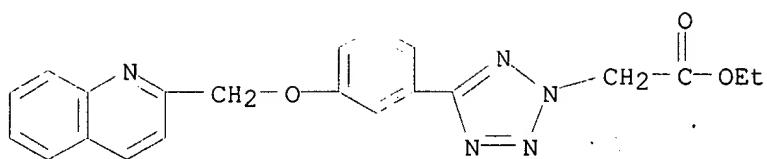
IT 107813-59-2P 107813-60-5P 107813-61-6P
 107813-63-8P 107813-64-9P 107813-71-8P
 107813-78-5P 107813-81-0P 107813-83-2P
 107813-85-4P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as antiinflammatory and antiallergic)
 RN 107813-59-2 CAPLUS
 CN Quinoline, 2-[(3-(1H-tetrazol-5-yl)phenoxy)methyl]- (9CI) (CA INDEX NAME)



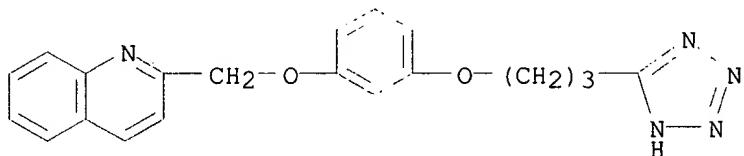
RN 107813-60-5 CAPLUS
 CN Benzonitrile, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



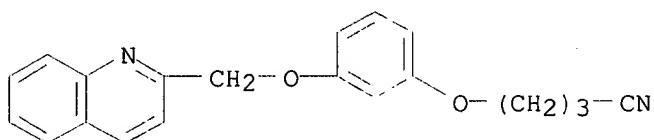
RN 107813-61-6 CAPLUS
 CN 2H-Tetrazole-2-acetic acid, 5-[3-(2-quinolinylmethoxy)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



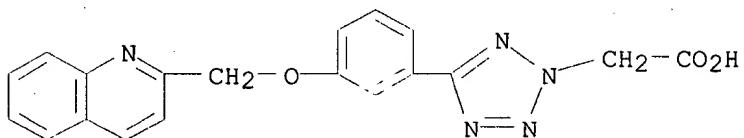
RN 107813-63-8 CAPLUS
 CN Quinoline, 2-[(3-[3-(1H-tetrazol-5-yl)propoxy]phenoxy)methyl]- (9CI) (CA INDEX NAME)



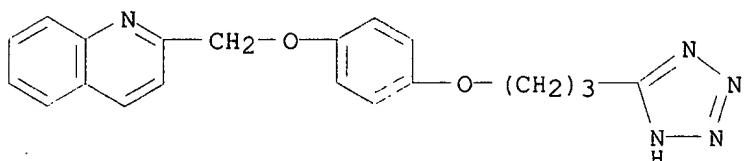
RN 107813-64-9 CAPLUS
 CN Butanenitrile, 4-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



RN 107813-71-8 CAPLUS
 CN 2H-Tetrazole-2-acetic acid, 5-[3-(2-quinolinylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

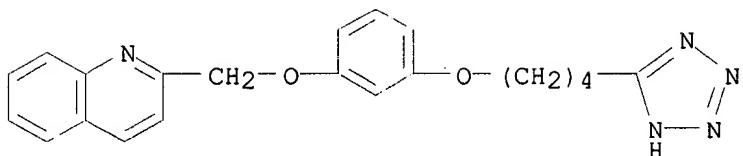


RN 107813-78-5 CAPLUS
 CN Quinoline, 2-[(4-[3-(1H-tetrazol-5-yl)propoxy]phenoxy)methyl]- (9CI) (CA INDEX NAME)



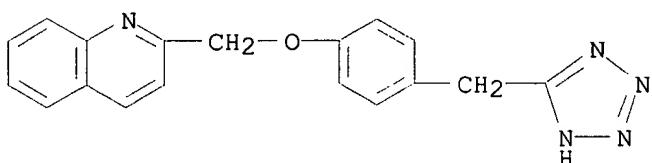
RN 107813-81-0 CAPLUS
 CN Quinoline, 2-[(3-[4-(1H-tetrazol-5-yl)butoxy]phenoxy)methyl]- (9CI) (CA INDEX NAME)

INDEX NAME)



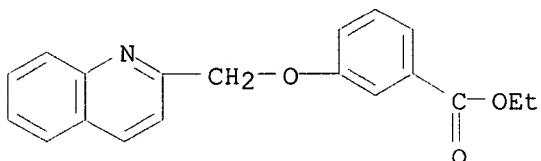
RN 107813-83-2 CAPLUS

CN Quinoline, 2-[4-(1H-tetrazol-5-ylmethyl)phenoxy]methyl- (9CI) (CA INDEX NAME)



RN 107813-85-4 CAPLUS

CN Benzoic acid, 3-(2-quinolinylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 63 OF 98 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1987:18377 CAPLUS

DOCUMENT NUMBER: 106:18377

TITLE: Anti-inflammatory/anti-allergic compounds

INVENTOR(S): Musser, John H.; Chakraborty, Utpal Ranjan

PATENT ASSIGNEE(S): USV Pharmaceutical Corp., USA

SOURCE: Eur. Pat. Appl., 75 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 181568	A2	19860521	EP 1985-113830	19851030
EP 181568	A3	19890426		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
IL 76838	A1	19910131	IL 1985-76838	19851028
NO 8504321	A	19860502	NO 1985-4321	19851029
NO 174506	B	19940207		
NO 174506	C	19940518		
DK 8504978	A	19860501	DK 1985-4978	19851030
FI 8504259	A	19860501	FI 1985-4259	19851030

AU 8549264	A1 19860508	AU 1985-49264	19851030
AU 595489	B2 19900405		
ZA 8508347	A 19860924	ZA 1985-8347	19851030
JP 61267532	A2 19861127	JP 1985-241759	19851030
JP 07029952	B4 19950405		
ES 549012	A1 19870416	ES 1985-549012	19851030
CA 1304088	A1 19920623	CA 1985-494211	19851030
		US 1984-666430	19841030
		US 1985-736795	19850522
		IL 1983-70356	19831201

PRIORITY APPLN. INFO.:

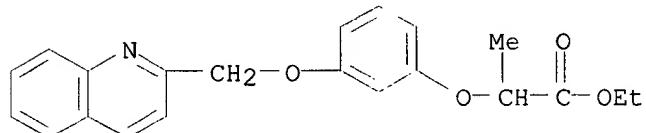
AB The title Ar₁XAr₂ZRn' [Ar₁ = N-, O-, S-heterocyclyl, aryl; Ar = Ph, N-, O-, S-heterocyclyl; X = O(CHR₁)_n, S(O)n''(CHR₁)_n, NR₂(CHR₁)_nZ₁ (Z₁ = alkylene), etc., R₁ = H, Me; R₂ = H, alkyl, aryl, aralkyl; Z = C₁-10 alkylene; n = 0, 1; n' = 1-7; n'' = 0-2] and their salts, were prepd. Thus, 2-(3-hexanoylphenoxyethyl)quinoline in THF was methylated with MeI, and the methylated ketone was reduced with NaBH₄ in EtOH to give 2-[3-(1-hydroxy-2-methylhexyl)phenoxyethyl]quinoline (I). The pharmacol. activity was demonstrated by an assay for inhibition of 5-lipoxygenase pathway in which the IC₅₀ of I was 0.2 .mu.M.

IT 104325-53-3

RL: RCT (Reactant)
(hydrolysis of, and conversion to hydrochloride salt)

RN 104325-53-3 CAPLUS

CN Propanoic acid, 2-[3-(2-quinolinylmethoxy)phenoxy]-, ethyl ester (9CI)
(CA INDEX NAME)

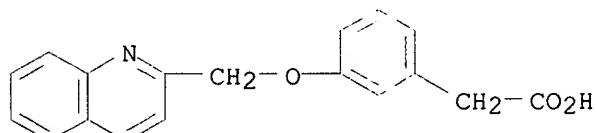


IT 104325-57-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and conversion to free base)

RN 104325-57-7 CAPLUS

CN Benzeneacetic acid, 3-(2-quinolinylmethoxy)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

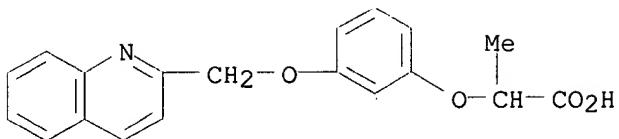
IT 104325-52-2P 104325-53-3P 104325-55-5P

104341-37-9P 104341-38-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antiallergic and inflammation inhibitor)

RN 104325-52-2 CAPLUS

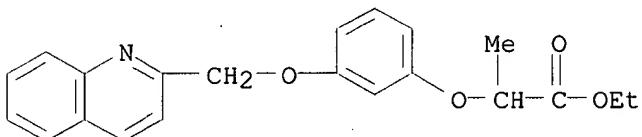
CN Propanoic acid, 2-[3-(2-quinolinylmethoxy)phenoxy]-, hydrochloride (9CI)
(CA INDEX NAME)



● HCl

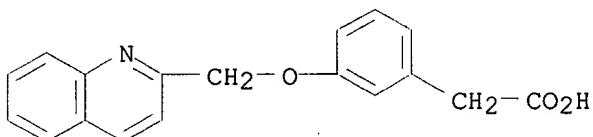
RN 104325-53-3 CAPLUS

CN Propanoic acid, 2-[3-(2-quinolinylmethoxy)phenoxy]-, ethyl ester (9CI)
(CA INDEX NAME)



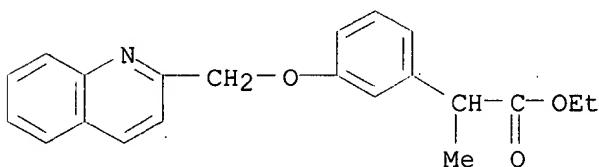
RN 104325-55-5 CAPLUS

CN Benzeneacetic acid, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



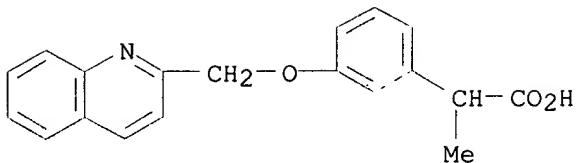
RN 104341-37-9 CAPLUS

CN Benzeneacetic acid, .alpha.-methyl-3-(2-quinolinylmethoxy)-, ethyl ester
(9CI) (CA INDEX NAME)



RN 104341-38-0 CAPLUS

CN Benzeneacetic acid, .alpha.-methyl-3-(2-quinolinylmethoxy)- (9CI) (CA
INDEX NAME)

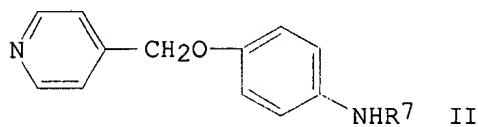
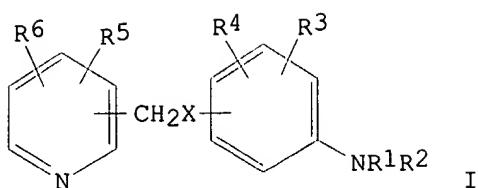


L19 ANSWER 64 OF 98 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1986:626384 CAPLUS
 DOCUMENT NUMBER: 105:226384
 TITLE: (Pyridylmethoxy)anilines and sulfur analogs
 INVENTOR(S): Nielsen, Ole Bent Tvaermose; Ahnfelt-roenne, Ian
 PATENT ASSIGNEE(S): Leo Pharmaceutical Products Ltd., Den.
 SOURCE: Ger. Offen., 83 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3607382	A1	19860911	DE 1986-3607382	19860306
GB 2173788	A1	19861022	GB 1986-4183	19860220
GB 2173788	B2	19880810		
SE 8600913	A	19860909	SE 1986-913	19860228
SE 463819	B	19910128		
SE 463819	C	19910606		
DK 8600969	A	19860909	DK 1986-969	19860304
DK 166582	B1	19930614		
CA 1276640	A1	19901120	CA 1986-503464	19860306
BE 904368	A1	19860908	BE 1986-216378	19860307
FR 2578540	A1	19860912	FR 1986-3268	19860307
FR 2578540	B1	19901116		
AU 8654444	A1	19860918	AU 1986-54444	19860307
AU 585586	B2	19890622		
NL 8600596	A	19861001	NL 1986-596	19860307
ES 552805	A1	19880916	ES 1986-552805	19860307
ES 552805	A5	19881017		
JP 61207374	A2	19860913	JP 1986-51286	19860308
JP 07064813	B4	19950712		
PRIORITY APPLN. INFO.:			GB 1985-6094	19850308
			GB 1985-25153	19851011

GI



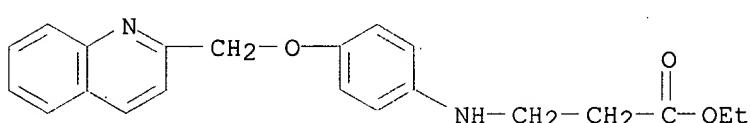
AB The title compds. [I; R1, R2 = H, (un)substituted alkyl, aryl, aralkyl; R3-R6 = H, halo, pseudohalo, cyano, NO₂, amino, CO₂H, OH, alkyl, alkoxy; R5R6 = atoms required to form condensed, (un)substituted arom. ring; X = O, S, SO, SO₂] were prep'd. as arachidonic acid and histamine inhibitors, and drugs. Thus, 4-AcNHCO₂H was condensed with 4-(chloromethyl)pyridine-HCl to give acetanilide II (R7 = Ac). This was deacetylated and methylated to give II (R7 = Me). At 10 .mu.M selected I gave 51-100% inhibition of antigen-induced histamine release from rat peritoneal mast cells. Capsules were prep'd. contg. I 100, lactose 197, and Mg stearate 3 mg.

IT 105349-96-0P 105349-97-1P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as drug)

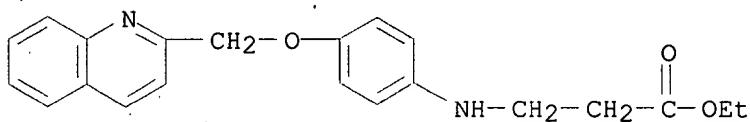
RN 105349-96-0 CAPLUS

CN .beta.-Alanine, N-[4-(2-quinolinylmethoxy)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 105349-97-1 CAPLUS

CN .beta.-Alanine, N-[4-(2-quinolinylmethoxy)phenyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

DOCUMENT NUMBER: 105:97289
 TITLE: Synthesis of [(naphthalenylmethoxy)- and
 -(quinolinylmethoxy)phenyl]amino]oxoalkanoic acid
 esters. A novel series of leukotriene D4 antagonists
 and 5-lipoxygenase inhibitors
 AUTHOR(S): Musser, John H.; Kubrak, Dennis M.; Chang, Joseph;
 Lewis, Alan J.
 CORPORATE SOURCE: Wyeth Lab., Inc., Philadelphia, PA, 19101, USA
 SOURCE: J. Med. Chem. (1986), 29(8), 1429-35
 CODEN: JMCMAR; ISSN: 0022-2623
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 105:97289

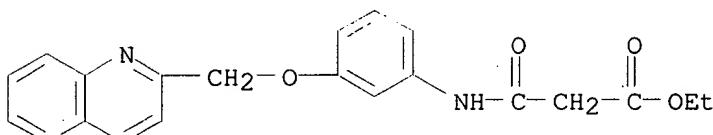
AB The title compds. were prep'd. and tested as inhibitors of rat polymorphonuclear leukocyte 5-lipoxygenase (LO) in vitro and as inhibitors of ovalbumin (OA)- and leukotriene (LTD4)-induced bronchospasm in the guinea pig (GP) in vivo. Many naphthalenyl compds. were potent inhibitors of LO, and several quinolinyl compds. were potent inhibitors of LTD4-mediated bronchospasm in the GP. The most potent naphthalenyl compd., Me 4-[(3-(2-naphthalenylmethoxy)phenyl]hydroxyamino]-4-oxobutanoate, had IC₅₀ 0.6 .mu.M in the 5-LO assay. The most potent compd. in vivo, Me 4-[(3-(2-quinolinylmethoxy)phenyl]hydroxyamino]-4-oxobutanoate (I), had ED₅₀ 3.3 and 27.4 mg/kg (intraduodenally) against LTD4- and OA-induced bronchospasm, resp. When tested as an antagonist of LTD4-induced contraction of isolated GP tracheal spiral strips, I was a competitive inhibitor, with pKB 5.33.

IT 102649-92-3P 102649-98-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and bronchodilator activity of)

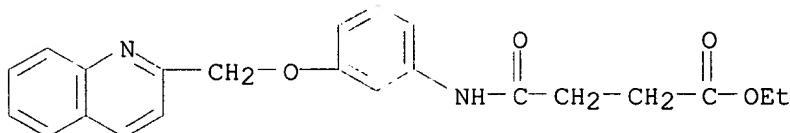
RN 102649-92-3 CAPLUS

CN Propanoic acid, 3-oxo-3-[(3-(2-quinolinylmethoxy)phenyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 102649-98-9 CAPLUS

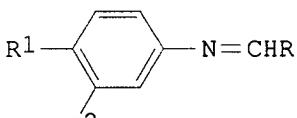
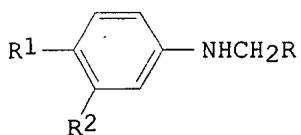
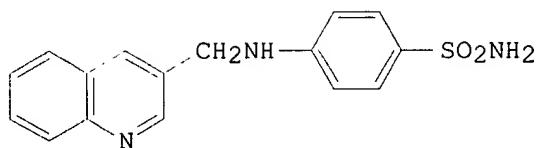
CN Butanoic acid, 4-oxo-4-[(3-(2-quinolinylmethoxy)phenyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 66 OF 98 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1980:418935 CAPLUS
 DOCUMENT NUMBER: 93:18935
 TITLE: Structure dependence of antiplasmodic activity of
 3-[N-(4-amidosulfonylphenyl)aminomethyl]-quinoline
 Gaedcke, Frauke; Knorr, Rosemarie; Zymalkowski, Felix
 Pharm. Inst., Univ. Bonn, Bonn, 53/1, Fed. Rep. Ger.

SOURCE: Arch. Pharm. (Weinheim, Ger.) (1980), 313(2), 166-73
DOCUMENT TYPE: Journal
LANGUAGE: German
GI

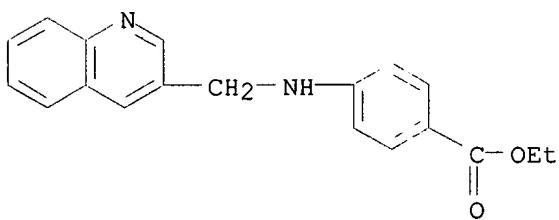


AB Any alteration in the structure of the title compd. I [62294-82-0] resulted in a decrease of its antimalarial activity. This was demonstrated with the heteroarylmethyleneaniline derivs. II (R = indolyl, pyridyl, quinolyl, etc.; R1 = H, Cl, OH, SO₂NH₂, etc.; R2 = H, Cl, etc.) on Plasmodium berghei. II were prep'd. by reducing with NaBH₄ the azomethines III (R, R1, and R2 as above) prep'd. from the appropriate aldehyde with a primary arom. amine.

IT 25927-76-8P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and antimalarial activity of)

RN 25927-76-8 CAPLUS

CN Benzoic acid, 4-[(3-quinolinylmethyl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 67 OF 98 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1976:16471 CAPLUS
DOCUMENT NUMBER: 84:16471
TITLE: Tetrahydrofolic acid model studies. II. Equilibrium and kinetic studies of the reaction of tetrahydroquinoline and tetrahydroquinoxaline derivatives with formaldehyde. Carbonolamine, imidazolidine, and hexahydropyrimidine formation
AUTHOR(S): Tuszynski, George P.; Frederick, M.; Kallen, Roland G.
CORPORATE SOURCE: Sch. Med., Univ. Pennsylvania, Philadelphia, Pa., USA

SOURCE:

J. Am. Chem. Soc. (1975), 97(25), 7359-70

CODEN: JACSAT

DOCUMENT TYPE:

Journal

LANGUAGE:

English

GI For diagram(s), see printed CA Issue.

AB The reactions of the free base forms of Et p-[N-[(tetrahydro-2-quinolinyl)methylene]amino]benzoate and Et p-[N-[(tetrahydro-3-quinolinyl)methylene]amino]benzoate with HCHO to form the imidazolidine I and the hexahdropyrimidine II, resp., exhibit pH-rate profiles contg. 2 hydronium ion-catalyzed limbs sepd. by a pH-independent plateau. These data were interpreted in terms of a carbinolamine intermediate and a change in rate-detg. step with changing acidity. In alk. soln. the rate-detg. step was the general-acid-catalyzed dehydration of the carbinolamine intermediate. In acidic soln. the rate-detg. step was attack of amine on HCHO, which exhibited catalysis by general acids and the solvated proton, and as well, a pH-independent pathway. The reaction of Et p-[N-[(1,2,3,4-tetrahydro-2-quinoxaliny) methylene]amino]benzoate with HCHO appeared to proceed with the accumulation of a hexahdropyrimidine intermediate, the formation of which showed kinetic characteristics comparable to those described above. The existence and accumulation of hexahdropyrimidines in the reactions of the tetrahydroquinoxaline derivs. with HCHO and not in the reactions of tetrahydrofolic acid (III) with HCHO suggest that the role of the adjacent pyrimidine moiety is to inactivate the N8 site in order to diminish this type of spurious reaction in reactions of III.

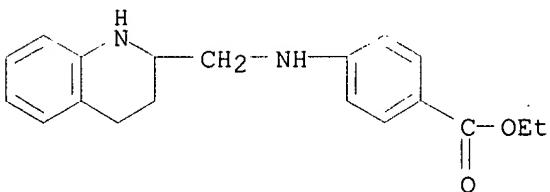
IT 25119-45-3 57764-55-3

RL: RCT (Reactant)

(reaction of, with formaldehyde, kinetics and mechanism of)

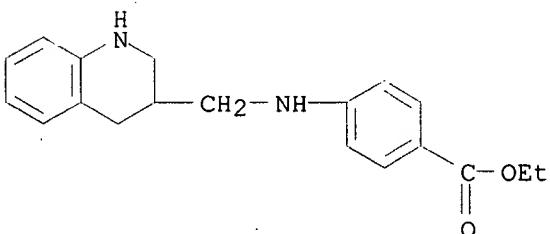
RN 25119-45-3 CAPLUS

CN Benzoic acid, 4-[[[1,2,3,4-tetrahydro-2-quinolinyl)methyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



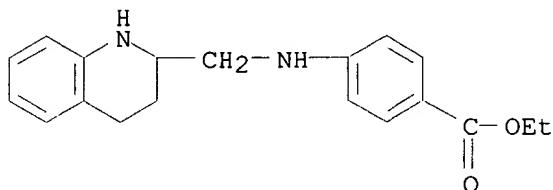
RN 57764-55-3 CAPLUS

CN Benzoic acid, 4-[[[1,2,3,4-tetrahydro-3-quinolinyl)methyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 68 OF 98 CAPLUS COPYRIGHT 2001 ACS
 ACCESSION NUMBER: 1970:89459 CAPLUS

DOCUMENT NUMBER: 72:89459
 TITLE: Studies on models for tetrahydrofolic acid. II.
 Additional observations on the mechanism for
 condensation of formaldehyde with
 tetrahydroquinoxaline analogs
 AUTHOR(S): Benkovic, Stephen J.; Benkovic, Patricia A.;
 Chrzanowski, Robert
 CORPORATE SOURCE: Dep. of Chem., Pennsylvania State Univ., University
 Park, Pa., USA
 SOURCE: J. Amer. Chem. Soc. (1970), 92(3), 523-8
 CODEN: JACSAT
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI For diagram(s), see printed CA Issue.
 AB The condensation of HCHO with addnl. tetrahydroquinoxaline model I systems
 in which the basicity of the exocyclic amino group has been varied through
 alterations in para substitution was studied. Supporting evidence was
 obtained for a mechanism involving general base catalysis in the attack of
 the exocyclic amino group on the iminium cation to yield the imidazolidine
 adduct. Expts. with a similar tetrahydroquinoline model II indicated the
 importance of the N-8 of the tetrahydropyrazine ring of tetrahydrofolic
 acid (III) on the rate of acid-catalyzed dehydration of the intermediate
 carbinolamine IV. The implication of these results as to the mechanism of
 action of the natural cofactor is discussed.
 IT 25119-45-3
 RL: RCT (Reactant)
 (condensation reaction of, with formaldehyde)
 RN 25119-45-3 CAPLUS
 CN Benzoic acid, 4-[(1,2,3,4-tetrahydro-2-quinolinyl)methyl]amino]-, ethyl
 ester (9CI) (CA INDEX NAME)



L19 ANSWER 69 OF 98 CAPLUS COPYRIGHT 2001 ACS
 ACCESSION NUMBER: 1970:90223 CAPLUS
 DOCUMENT NUMBER: 72:90223
 TITLE: Cofactor inhibitors of thymidylate synthetase.
 Piperidine and tetrahydroquinoline analogs of
 tetrahydrofolic acid
 AUTHOR(S): Mertes, Mathias P.; Lin, Ai Jeng
 CORPORATE SOURCE: Sch. of Pharm., Univ. of Kansas, Lawrence, Kans., USA
 SOURCE: J. Med. Chem. (1970), 13(2), 276-9
 CODEN: JMCMAR
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI For diagram(s), see printed CA Issue.
 AB The inhibition of the enzymes thymidylate synthetase and dihydrofolate
 reductase was examd. with a series of pyridine and quinoline compds. and
 their reduced derivs. Condensation of Et p-aminobenzoate or Et
 p-aminobenzoylglutamate with pyridine-2-carboxaldehyde follwed by redn.
 of the Schiff base gave the corresponding secondary amines, I and II.
 Catalytic redn. of I and II yielded Et p-N-(2-

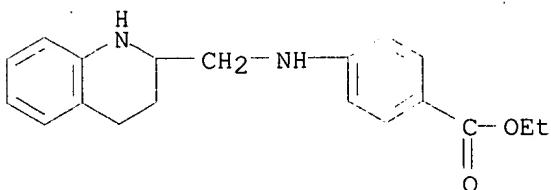
piperidylmethyl)aminobenzoate (III) and the glutamate (IV). Condensation of III or IV with 5-formyluracil gave 2-p-carbethoxyphenyl-3-(5-uracil)-octahydroimidazo[1,5-a]pyridine (V) and the corresponding glutamate analog VI. The synthesis of analogous series starting from quinoline-2-carboxaldehyde utilized the same procedure. Similarly, starting with pyridine-3-carboxyaldehyde, Et p-N-(3-pyridylmethyl)aminobenzoate, the glutamate (VII), and the 3-piperidyl analog were prep'd. Enzyme inhibition studies revealed the sapon. product of VII to have highest activity against the synthetase [(VII salt)/(DL-THFA) 0.12 for 50% inhibition] while VIII was most inhibitory against the reductase [VIII/(DHFA) 8 for 50% inhibition].

IT 25119-45-3P 25927-73-5P 25927-76-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

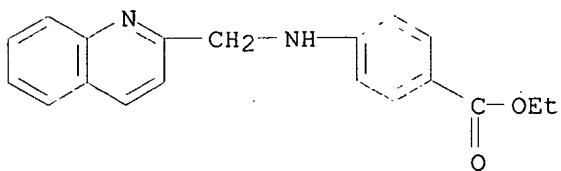
RN 25119-45-3 CAPLUS

CN Benzoic acid, 4-[(1,2,3,4-tetrahydro-2-quinolinyl)methyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



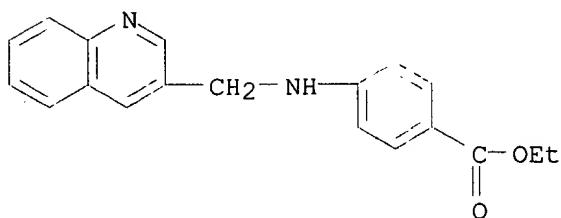
RN 25927-73-5 CAPLUS

CN Benzoic acid, p-[(2-quinolylmethyl)amino]-, ethyl ester (8CI) (CA INDEX NAME)



RN 25927-76-8 CAPLUS

CN Benzoic acid, 4-[(3-quinolylmethyl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 70 OF 98 USPATFULL

ACCESSION NUMBER:

2000:125085 USPATFULL

TITLE:

Disubstituted bicyclic heterocycles, the preparation thereof and their use as pharmaceutical compositions

INVENTOR(S) : Hauel, Norbert, Schemmerhofen, Germany, Federal Republic of
 Ries, Uwe, Biberach, Germany, Federal Republic of
 Priepke, Henning, Warthausen, Germany, Federal Republic of
 Mihm, Gerhard, Biberach, Germany, Federal Republic of
 Wienen, Wolfgang, Biberach, Germany, Federal Republic of
 Stassen, Jean Marie, Warthausen, Germany, Federal Republic of
 Binder, Klaus, Wiesbaden, Germany, Federal Republic of
 Zimmermann, Rainer, Mittelbiberach, Germany, Federal Republic of
 PATENT ASSIGNEE(S) : Boehringer Ingelheim KG, Ingelheim, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	DATE
PATENT INFORMATION:	US 6121308	20000919
APPLICATION INFO.:	US 1999-359487	19990722 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1998-19834751	19980801
	US 1998-98838	19980902 (60)
DOCUMENT TYPE:	Utility	
PRIMARY EXAMINER:	Seaman, D. Margaret	
LEGAL REPRESENTATIVE:	Raymond, R. P.; Stempel, A. R.; Devlin, M-E M.	
NUMBER OF CLAIMS:	10	
EXEMPLARY CLAIM:	1	
LINE COUNT:	3192	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel disubstituted bicyclic heterocycles, of which the following are exemplary:

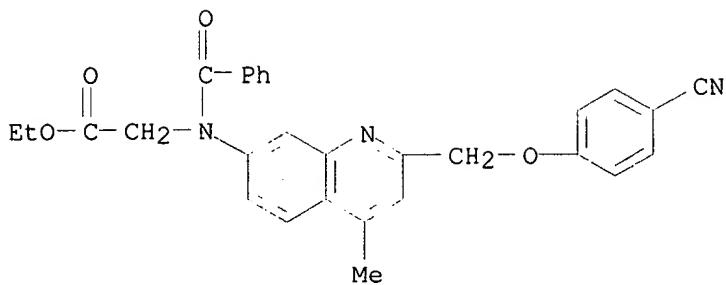
- (a) 1-methyl-2-[(4-amidinophenyl)-oxymethyl]-5-[N-(hydroxycarbonylmethyl)-quinoline-8-sulphonylamino]-benzimidazole,
- (b) 1-methyl-2-[2-(4-amidinophenyl)-ethyl]-5-[N-(N-(hydroxycarbonylmethyl)-aminocarbonylmethyl)-quinoline-8-sulphonylamino]-benzimidazole,
- (c) 1-methyl-2-[N-(4-amidinophenyl)-aminomethyl]-5-[N-(hydroxycarbonylmethyl)-quinoline-8-sulphonylamino]-benzimidazole and
- (d) 1-methyl-2-[N-(4-amidinophenyl)-aminomethyl]-5-[N-(hydroxycarbonylmethyl)-quinoline-8-sulphonylamino]-indole.

These are useful for the treatment of thrombotic disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

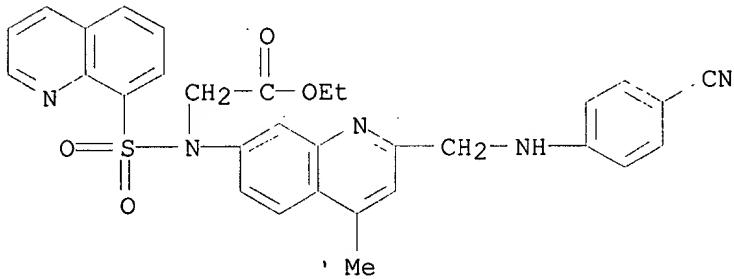
IT 256493-72-8 256493-73-9
 (prepn. of heterocyclalkylbenzamidines and analogs as thrombin inhibitors)

RN 256493-72-8 USPATFULL
 CN Glycine, N-benzoyl-N-[2-[(4-cyanophenoxy)methyl]-4-methyl-7-quinolinyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 256493-73-9 USPATFULL

CN Glycine, N-[2-[(4-cyanophenyl)amino]methyl]-4-methyl-7-quinoliny]-N-(8-quinolinylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



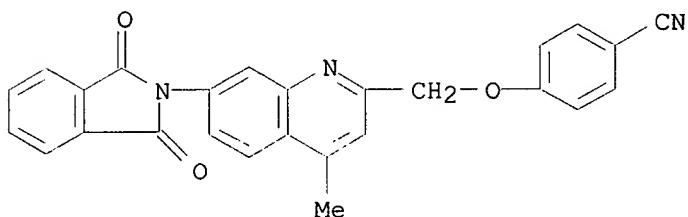
IT 256493-00-2P 256493-01-3P 256493-02-4P

256493-03-5P

(prepn. of heterocyclalkylbenzamidines and analogs as thrombin inhibitors)

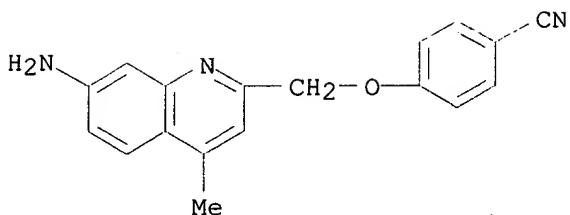
RN 256493-00-2 USPATFULL

CN Benzonitrile, 4-[(7-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-4-methyl-2-quinoliny)methoxy]- (9CI) (CA INDEX NAME)



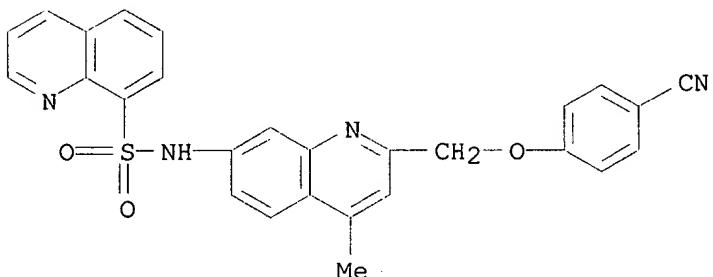
RN 256493-01-3 USPATFULL

CN Benzonitrile, 4-[(7-amino-4-methyl-2-quinoliny)methoxy]- (9CI) (CA INDEX NAME)



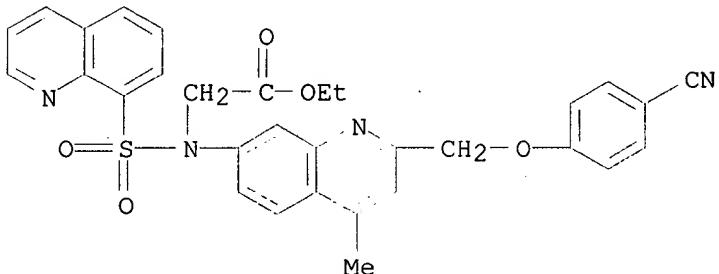
RN 256493-02-4 USPATFULL

CN 8-Quinolinesulfonamide, N-[2-[(4-cyanophenoxy)methyl]-4-methyl-7-quinolinyl]- (9CI) (CA INDEX NAME)



RN 256493-03-5 USPATFULL

CN Glycine, N-[2-[(4-cyanophenoxy)methyl]-4-methyl-7-quinolinyl]-N-(8-quinolinylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 71 OF 98 USPATFULL

ACCESSION NUMBER:

97:76141 USPATFULL

TITLE:

Quinolylbenzofuran derivatives as leukotriene antagonists

INVENTOR(S):

Matsuo, Masaaki, Toyonaka, Japan
Okumura, Kazuo, Osaka, Japan

PATENT ASSIGNEE(S):

Shigenaga, Shinji, Kobe, Japan
Fujisawa Pharmaceutical Co., Ltd., Osaka, Japan
(non-U.S. corporation)

NUMBER DATE

PATENT INFORMATION: US 5661159 19970826

WO 9317013 19930902

APPLICATION INFO.: US 1994-256735 19940802 (8)

WO 1993-JP198	19930218
	19940802 PCT 371 date
	19940802 PCT 102(e) date

NUMBER	DATE
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PRIORITY INFORMATION: GB 1992-3798 19920221
 DOCUMENT TYPE: Utility
 PRIMARY EXAMINER: Haley, Jacqueline
 LEGAL REPRESENTATIVE: Oblon, Spivak, McClelland, Maier & Neustadt, P.C.
 NUMBER OF CLAIMS: 5
 EXEMPLARY CLAIM: 1
 LINE COUNT: 2308

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention relates to new quinolylbenzofuran derivatives having activities as leukotriene and Slow Reacting Substance of Anaphylaxis antagonists and inhibitors and represented by the general formula (I): ##STR1## wherein R.¹ is halogen, etc.,

R.² is hydrogen or halogen,

R.³ is hydrogen, halogen, hydroxy, lower alkyl or lower alkoxy,

R.⁴ is hydrogen, acyl, cyano, nitro, substituted or unsubstituted aryl, or substituted or unsubstituted lower alkyl,

R.⁵ is hydrogen, hydroxy, lower alkyl or lower alkoxy,

A is lower alkylene, lower alkenylene or a single bond,

X is a single bond, O, NH, S, SO or SO₂, and

Y is O or S,

provided that when R.³ is hydrogen, R.⁴ is hydrogen,

R.⁵ is hydrogen, A is a single bond and X is a single bond, then R.¹ is halogen, etc.,

and pharmaceutically acceptable salts thereof to processes for the preparation thereof and to a pharmaceutical composition comprising the same.

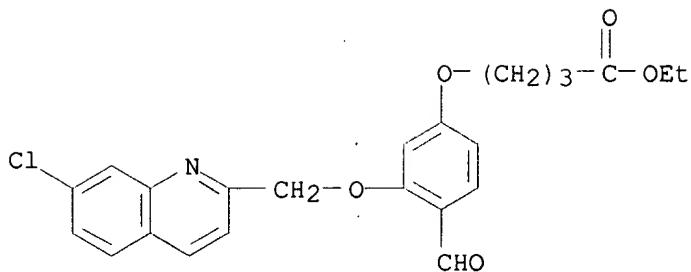
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 152942-07-9P

(prepn. and reaction of, in prepn. of leukotriene D4 receptor antagonists)

RN 152942-07-9 USPATFULL

CN Butanoic acid, 4-[3-[(7-chloro-2-quinolinyl)methoxy]-4-formylphenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 72 OF 98 USPATFULL

ACCESSION NUMBER: 97:7937 USPATFULL

TITLE: Substituted quinol-2-yl-methoxy-phenylacetic acid derivatives

INVENTOR(S): Matzke, Michael, Wuppertal, Germany, Federal Republic of
Mohrs, Klaus-Helmut, Wuppertal, Germany, Federal Republic of
Raddatz, Siegfried, Cologne, Germany, Federal Republic of
Fruchtmann, Romanis, Cologne, Germany, Federal Republic of
M uller-Peddinghaus, Reiner, Bergisch Gladbach, Germany, Federal Republic of
Hatzelmann, Armin, Constance, Germany, Federal Republic of
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Leverkusen, Germany, Federal Republic of (non-U.S. corporation)

NUMBER DATE

PATENT INFORMATION:	US 5597833	19970128
APPLICATION INFO.:	US 1993-102453	19930804 (8)

NUMBER DATE

PRIORITY INFORMATION:	DE 1992-4226519	19920811
DOCUMENT TYPE:	Utility	
PRIMARY EXAMINER:	Ivy, C. Warren	
ASSISTANT EXAMINER:	Mach, D. Margaret M.	
LEGAL REPRESENTATIVE:	Sprung Horn Kramer & Woods	
NUMBER OF CLAIMS:	4	
EXEMPLARY CLAIM:	1	
LINE COUNT:	841	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Substituted quinol-2-yl-methoxy-phenylacetic acid derivatives are prepared by reacting correspondingly substituted phenols with quinolylmethyl halides or by reacting unsubstituted phenols with quinolylmethyl halides and subsequent alkylation. The substituted quinol-2-yl-methoxy-phenylacetic acid derivatives may be employed as active substances in medicaments.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

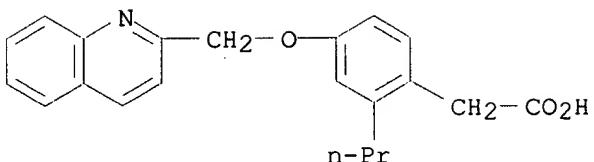
IT 154353-13-6P 154353-15-8P

(prepn. of, as lipoxygenase inhibitor)

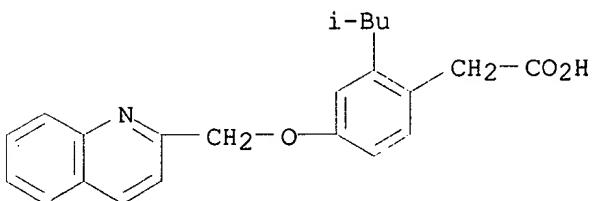
RN 154353-13-6 USPATFULL

CN Benzeneacetic acid, 2-propyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX)

NAME)



RN 154353-15-8 USPATFULL

CN Benzeneacetic acid, 2-(2-methylpropyl)-4-(2-quinolinylmethoxy)- (9CI) (CA
INDEX NAME)

L19 ANSWER 73 OF 98 USPATFULL

ACCESSION NUMBER: 96:43673 USPATFULL

TITLE: .alpha., .alpha. dialkylbenzyl derivatives

INVENTOR(S): Edwards, Philip N., Bramhall, England

Waterson, David, Bollington, England

PATENT ASSIGNEE(S): Imperial Chemical Industries Plc, London, United
Kingdom (non-U.S. corporation)

ICI Pharma, Cergy Cedex, France (non-U.S. corporation)

NUMBER DATE

PATENT INFORMATION: US 5519022 19960521

APPLICATION INFO.: US 1993-149803 19931110 (8)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1992-853277, filed on 18
Mar 1992, now patented, Pat. No. US 5288742

NUMBER DATE

PRIORITY INFORMATION: EP 1991-400772 19910321

DOCUMENT TYPE: Utility

PRIMARY EXAMINER: Ivy, C. Warren

ASSISTANT EXAMINER: Covington, Raymond

LEGAL REPRESENTATIVE: Cushman Darby & Cushman

NUMBER OF CLAIMS: 7

EXEMPLARY CLAIM: 1

LINE COUNT: 1418

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention concerns .alpha.,.alpha.-dialkylbenzyl derivatives of the formula I ##STR1## wherein Ar.¹ is phenyl or naphthyl, or a 10-membered bicyclic heterocyclic moiety containing one or two nitrogen heteroatoms and optionally containing a further heteroatom selected from nitrogen, oxygen and sulphur;A.¹ is a direct link to X.¹ or is (1-3C)alkylene;

X.sup.1 is oxy, thio, sulphinyl or sulphonyl;

the phenylene group may optionally bear one or two substituents R.sup.3 ;

each of R.sup.1 and R.sup.2, which may be the same or different is (1-4C)alkyl, (2-4C)alkenyl, (2-4C)alkynyl, fluoro-(1-4C)alkyl, phenyl or phenyl-(1-4C)alkyl, provided that both of R.sup.1 and R.sup.2 are not methyl or fluoromethyl; and

Q is cyano, amino, nitro, formyl, (1-4C)alkoxy, thiazolyl or (2-4C)alkanoyl;

or a pharmaceutically-acceptable salt thereof;

processes for their manufacture; pharmaceutical compositions containing them and their use as 5-lipoxygenase inhibitors.

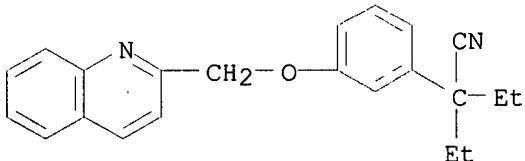
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 144828-64-8P

(prepn. of, as lipoxygenase inhibitor)

RN 144828-64-8 USPATFULL

CN Benzeneacetonitrile, .alpha.,.alpha.-diethyl-3-(2-quinolinylmethoxy)-(9CI) (CA INDEX NAME)



L19 ANSWER 74 OF 98 USPATFULL

ACCESSION NUMBER: 95:47727 USPATFULL

TITLE: Cyanomethylpyridine derivatives

INVENTOR(S): Carceller, Elena, Barcelona, Spain
Jimenez, Pere J., Tarragona, Spain
Almansa, Carmen, Barcelona, Spain
Bartroli, Javier, Barcelona, Spain
PATENT ASSIGNEE(S): J. Uriach & Cia, S.A., Barcelona, Spain (non-U.S. corporation)

	NUMBER	DATE
PATENT INFORMATION:	US 5420131	19950530
APPLICATION INFO.:	US 1994-216583	19940323 (8)

	NUMBER	DATE
PRIORITY INFORMATION:	ES 1993-591	19930323
DOCUMENT TYPE:	Utility	
PRIMARY EXAMINER:	Tsang, Cecilia	
LEGAL REPRESENTATIVE:	Rothwell, Figg, Ernst & Kurz	
NUMBER OF CLAIMS:	17	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1112	

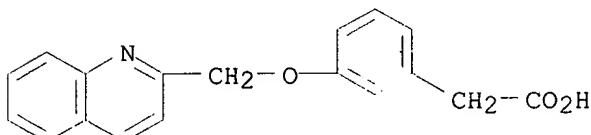
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to new cyanomethylpyridine derivatives of

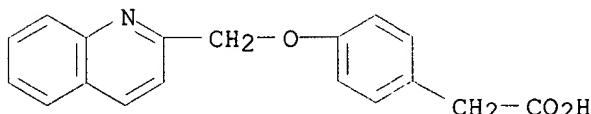
formula I ##STR1## wherein Y represents N or CH; R.sub.1 represents hydrogen, fluoro, chloro, difluoro or dichloro; R.sub.2 represents hydrogen or C.sub.1-4 alkyl; n is 0 or 1; p is 0 or 1; A represents a covalent bond or a group of formula --CONHCH(Ar)--, --NHCH(Ar)--, --SO.sub.2 NHCH(Ar)--, --NHCONHCH(Ar)-- or --OCONHCH(Ar)--, and when p is 1, A can also represent --CH(Ar)NH--; and Ar represents phenyl or phenyl substituted with halogen, C.sub.1-4 alkyl, C.sub.1-4 alkoxy or trifluoromethyl. These compounds are PAF antagonist and/or 5-lipoxygenase inhibitors.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 104325-55-5P, 3-(2-Quinolylmethoxy)phenylacetic acid
 121289-78-9P, p-(2-Quinolylmethoxy)phenylacetic acid
 (intermediate; prepn. of cyanomethylpyridine derivs. as PAF antagonists
 and 5-lipoxygenase inhibitors)
 RN 104325-55-5 USPATFULL
 CN Benzeneacetic acid, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



RN 121289-78-9 USPATFULL
 CN Benzeneacetic acid, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 75 OF 98 USPATFULL

ACCESSION NUMBER:

95:27318 USPATFULL

TITLE:

Isoquinoline derivatives suitable for use in leukotriene mediated disease

INVENTOR(S):

Crawley, Graham C., Kerridge, United Kingdom
 Edwards, Philip N., Bramhall, United Kingdom

PATENT ASSIGNEE(S):

Girodeau, Jean-Marc M. M., Rilly la Montagne, France
 Zeneca Limited, London, United Kingdom (non-U.S.

corporation)

Zeneca Pharma, Cergy Cedex, France (non-U.S.
 corporation)

NUMBER	DATE
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PATENT INFORMATION:

US 5401751 19950328

APPLICATION INFO.:

US 1993-64979 19930524 (8)

DISCLAIMER DATE:

20100622

RELATED APPLN. INFO.:

Division of Ser. No. US 1992-881133, filed on 11 May 1992, now patented, Pat. No. US 5236919 which is a division of Ser. No. US 1991-758491, filed on 5 Sep 1991, now patented, Pat. No. US 5134148 which is a continuation of Ser. No. US 1990-485875, filed on 27 Feb 1990, now abandoned

NUMBER DATE

PRIORITY INFORMATION: EP 1989-400560 19890228
 EP 1989-401493 19890531

DOCUMENT TYPE: Utility

PRIMARY EXAMINER: Bernhardt, Emily

LEGAL REPRESENTATIVE: Cushman Darby & Cushman

NUMBER OF CLAIMS: 7

EXEMPLARY CLAIM: 1

LINE COUNT: 2862

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention concerns a heterocycle of the formula I ##STR1## wherein Q is an optionally substituted 6-membered monocyclic or 10-membered bicyclic heterocyclic moiety containing one or two nitrogen atoms;

A is (1-6C)alkylene, (3-6C)alkenylene, (3-6C)alkynylene or cyclo(3-6C)alkylene;

X is oxy, thio, sulphanyl, sulphonyl or imino;

Ar is phenylene which may optionally bear one or two substituents or

Ar is an optionally substituted 6-membered heterocyclene moiety containing up to three nitrogen atoms;

R.sup.1 is hydrogen, (1-6C)alkyl, (3-6C)alkenyl, (3-6C)alkynyl, cyano-(1-4C)alkyl or (2-4C)alkanoyl, or optionally substituted benzoyl;

and R.sup.2 and R.sup.3 together form a group of the formula --A.sup.2 --X.sup.2 --A.sup.3 -- which, together with the carbon atom to which A.sup.2 and A.sup.3 are attached, defines a ring having 4 to 7 ring atoms, wherein A.sup.2 and A.sup.3, which may be the same or different, each is (1-4C)alkylene and X.sup.2 is oxy, thio, sulphanyl, sulphonyl or imino;

or a pharmaceutically-acceptable salt thereof.

The compounds of the invention are inhibitors of the enzyme 5-lipoxygenase.

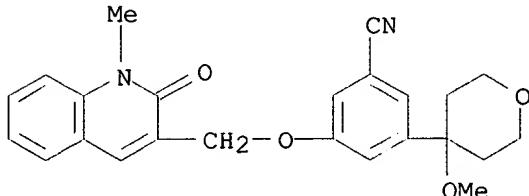
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 133739-06-7P

(prepn. of, as 5-lipoxygenase inhibitor)

RN 133739-06-7 USPATFULL

CN Benzonitrile, 3-[{(1,2-dihydro-1-methyl-2-oxo-3-quinolinyl)methoxy]-5-(tetrahydro-4-methoxy-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)



L19 ANSWER 76 OF 98 USPATFULL

ACCESSION NUMBER: 95:16195 USPATFULL

TITLE: Substituted (quinolin-2-yl-methoxy)phenyl-acyl-sulphon-

amides and -cyanamides, processes for their preparation and their use in medicaments
 INVENTOR(S): Raddatz, Siegfried, Cologne, Germany, Federal Republic of
 Mohrs, Klaus-Helmut, Wuppertal, Germany, Federal Republic of
 Fruchtmann, Romanis, Cologne, Germany, Federal Republic of
 Kohlsdorfer, Christian, Erftstadt, Germany, Federal Republic of
 Theisen-Popp, Pia, Bergisch Gladbach, Germany, Federal Republic of
 Muller-Peddinghaus, Reiner, Bergisch Gladbach, Germany, Federal Republic of
 PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Leverkusen, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	DATE
PATENT INFORMATION:	US 5391747	19950221
APPLICATION INFO.:	US 1991-764435	19910923 (7)
RELATED APPLN. INFO.:	Division of Ser. No. US 1990-517108, filed on 1 May 1990, now patented, Pat. No. US 5091392	

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1989-3916663	19890523
DOCUMENT TYPE:	Utility	
PRIMARY EXAMINER:	Ivy, C. Warren	
ASSISTANT EXAMINER:	Mach, D. Margaret M.	
LEGAL REPRESENTATIVE:	Sprung Horn Kramer & Woods	
NUMBER OF CLAIMS:	3	
EXEMPLARY CLAIM:	1	
LINE COUNT:	921	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

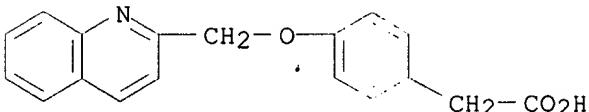
AB A (quinolin-2-yl-methoxy) phenylacyl-sulphonamide or -cyanamide of the formula ##STR1## and physiologically acceptable salts thereof. The (quinolin-2-yl-methoxy)phenylacylsulphonamide or -cyanamide is useful as an active compound in medicaments, particularly as a lipoxygenase inhibitor.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 121289-78-9
 (alkylation of, in prepn. of lipoxygenase inhibitors)

RN 121289-78-9 USPATFULL

CN Benzeneacetic acid, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 77 OF 98 USPATFULL
 ACCESSION NUMBER: 94:95522 USPATFULL
 TITLE: Phenoxyacetic acid compounds and medical preparations containing them
 INVENTOR(S): Igarashi, Azuma, Hadano, Japan
 Maeda, Sachiko, Nakai, Japan

Sugizaki, Katuyoshi, Isehara, Japan
 Shizawa, Takashi, Ninomiya, Japan
 Tajima, Atsumi, Zama, Japan
 Abe, Kenichi, Hadano, Japan
 Ozawa, Shinji, Hadano, Japan
 PATENT ASSIGNEE(S): Terumo Kabushiki Kaisha, Tokyo, Japan (non-U.S. corporation)

	NUMBER	DATE
PATENT INFORMATION:	US 5360909	19941101
APPLICATION INFO.:	US 1993-35178	19930322 (8)

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1992-4064773	19920323
	JP 1992-4198541	19920724
DOCUMENT TYPE:	Utility	
PRIMARY EXAMINER:	Ivy, C. Warren	
ASSISTANT EXAMINER:	Mach, D. Margaret M.	
LEGAL REPRESENTATIVE:	Burns, Doane, Swecker & Mathis	
NUMBER OF CLAIMS:	18	
EXEMPLARY CLAIM:	1	
LINE COUNT:	651	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Disclosed are phenoxyacetic acid compounds of formula (I): ##STR1## where X is a hydrogen atom, a lower alkyl group or a halogen atom;

R1 is a carboxyl group or a lower alkoxy carbonyl group;

Y is an oxygen atom, ##STR2## n is an integer of from 0 to 5; Z is ##STR3## R2 is a hydrogen atom or a lower alkyl group; and m is 0 or 1.

The compounds and their physiologically acceptable salts are used as thromboxane A₂ antagonistic agents, leukotriene antagonistic agents and/or anti-allergic agents.

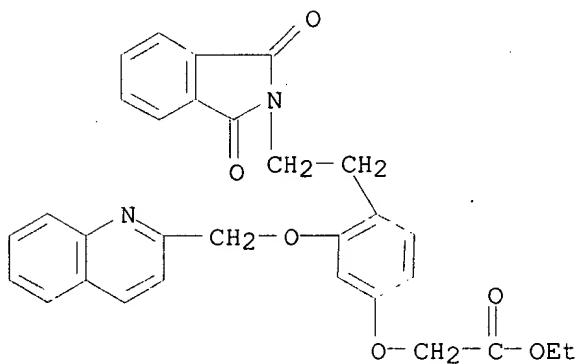
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 152499-02-0

(hydrazinolysis of, in prepn. of TXA₂-leukotriene antagonists)

RN 152499-02-0 USPATFULL

CN Acetic acid, [4-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethyl]-3-(2-quinolinylmethoxy)phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

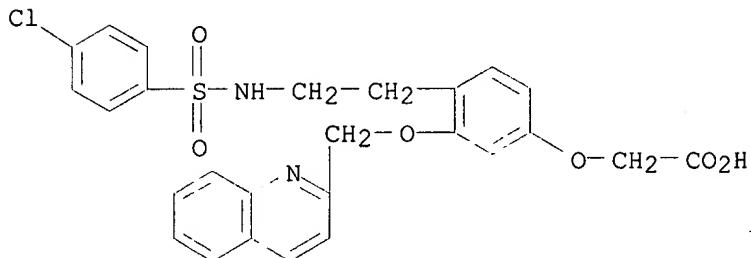


IT 152498-85-6

(prepn. as combination TXA2-leukotriene antagonist)

RN 152498-85-6 USPATFULL

CN Acetic acid, [4-[2-[[[4-chlorophenyl]sulfonyl]amino]ethyl]-3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)

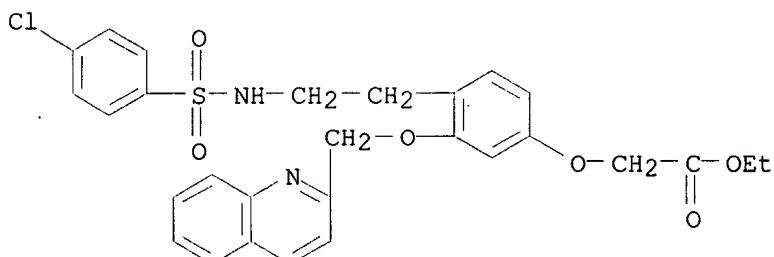


IT 152498-97-0 152498-99-2

(prepn. as intermediate in prepn. of TXA2-leukotriene antagonists)

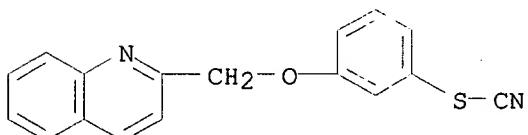
RN 152498-97-0 USPATFULL

CN Acetic acid, [4-[2-[[[4-chlorophenyl]sulfonyl]amino]ethyl]-3-(2-quinolinylmethoxy)phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 152498-99-2 USPATFULL

CN Thiocyanic acid, 3-(2-quinolinylmethoxy)phenyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 78 OF 98 USPATFULL

ACCESSION NUMBER: 94:40063 USPATFULL

TITLE: Quinolylmethoxyphenyl-acetamides

INVENTOR(S): Raddatz, Siegfried, Cologne, Germany, Federal Republic of

Mohrs, Klaus-Helmut, Wuppertal, Germany, Federal Republic of

Matzke, Michael, Wuppertal, Germany, Federal Republic of

Fruchtmann, Romanis, Cologne, Germany, Federal Republic of

Hatzelmann, Armin, Constance, Germany, Federal Republic of

PATENT ASSIGNEE(S): Muller-Peddinghaus, Reiner, Bergisch Gladbach, Germany,
Federal Republic of
Bayer Aktiengesellschaft, Leverkusen, Germany, Federal
Republic of (non-U.S. corporation)

PATENT INFORMATION:	NUMBER	DATE
	US 5310744	19940510
APPLICATION INFO.:	US 1992-979745	19921123 (7)

PRIORITY INFORMATION:	NUMBER	DATE
	DE 1991-4139749	19911203
DOCUMENT TYPE:	Utility	
PRIMARY EXAMINER:	Ivy, C. Warren	
ASSISTANT EXAMINER:	Davis, Zinna N.	
LEGAL REPRESENTATIVE:	Sprung Horn Kramer & Woods	
NUMBER OF CLAIMS:	11	
EXEMPLARY CLAIM:	1	
LINE COUNT:	645	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Quinolylmethoxyphenyl-acetamides of the formula: ##STR1## in which A, B, D, E, G, L and M are hydrogen, hydroxyl, halogen, cyano, carboxyl, nitro, trifluoromethyl, trifluoromethoxy, alkyl, alkoxy or optionally substituted aryl;

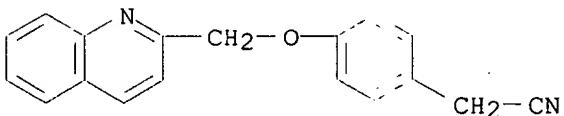
R.sub.1 represents optionally substituted cycloalkyl; and

R.sub.2 and R.sub.3 independently represent hydrogen, alkyl, benzyl, or optionally substituted cycloalkyl; or together represent piperidinyl, morpholino, or piperazinyl;

show a high in vitro activity as leukotriene synthesis inhibitors and, therefore, are suitable for the treatment and prevention of inflammations.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 114497-66-4P
(prepn. of, as intermediate for .alpha.-cycloalkyl[(quinolinyl)methoxy]benzeneacetamide (leukotriene antagonist))
RN 114497-66-4 USPATFULL
CN Benzeneacetonitrile, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 79 OF 98 USPATFULL
ACCESSION NUMBER: 94:26539 USPATFULL
TITLE: Alkanoic acid derivatives
INVENTOR(S): Waterson, David, Bollington, England
PATENT ASSIGNEE(S): Imperial Chemical Industries PLC, London, United Kingdom (non-U.S. corporation)
I.C.I. Pharma, Cedex, France (non-U.S. corporation)

NUMBER	DATE
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PATENT INFORMATION: US 5298511 19940329
 APPLICATION INFO.: US 1992-948594 19920923 (7)

NUMBER	DATE
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PRIORITY INFORMATION: EP 1991-402638 19911003
 DOCUMENT TYPE: Utility
 PRIMARY EXAMINER: Killos, Paul J.
 LEGAL REPRESENTATIVE: Cushman, Darby & Cushman
 NUMBER OF CLAIMS: 9
 EXEMPLARY CLAIM: 1
 LINE COUNT: 947

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention concerns alkanoic acid derivatives of the formula I
 ##STR1## wherein Ar.sup.1 is optionally-substituted phenyl or naphthyl,
 or a 10-membered bicyclic heterocyclic moiety containing one or two
 nitrogen heteroatoms and optionally containing a further heteroatom
 selected from nitrogen, oxygen and sulphur;

A.sup.1 is a direct link to X.sup.1 or is (1-3 C)alkylene;

X.sup.1 is oxy, thio, sulphanyl or sulphonyl;

n is 0, 1 or 2 and R.sup.1 is halogeno, (1-4 C)alkyl, (1-4 C)alkoxy or
 fluoro-(1-4 C)alkyl;

each of R.sup.2 and R.sup.3 is (1-4 C)alkyl, (2-4 C)alkenyl or (2-4
 C)alkynyl; and

R.sup.4 is hydrogen or (1-4 C)alkyl;

or a pharmaceutically-acceptable salt thereof;

processes for their manufacture; pharmaceutical compositions containing
 them and their use as 5-lipoxygenase inhibitors.

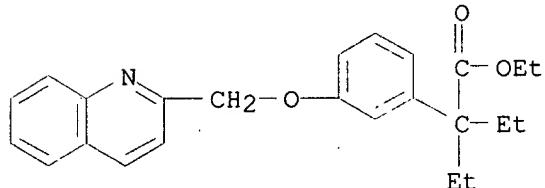
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 149490-68-6P

(prepn. of, as lipoxygenase inhibitor)

RN 149490-68-6 USPATFULL

CN Benzeneacetic acid, .alpha.,.alpha.-diethyl-3-(2-quinolinylmethoxy)-,
 ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 80 OF 98 USPATFULL
 ACCESSION NUMBER: 94:15766 USPATFULL
 TITLE: .alpha.,.alpha. dialkylbenzyl derivatives
 INVENTOR(S): Edwards, Philip N., Bramhall, England
 Waterson, David, MacClesfield, England
 PATENT ASSIGNEE(S): Imperial Chemical Industries PLC, London, England

(non-U.S. corporation)
 ICI Pharma, Cergy Cedex, France (non-U.S. corporation)

PATENT INFORMATION:	NUMBER	DATE
	US 5288742	19940222
APPLICATION INFO.:	US 1992-853277	19920318 (7)

PRIORITY INFORMATION:	NUMBER	DATE
	EP 1991-400772	19910321
DOCUMENT TYPE:	Utility	
PRIMARY EXAMINER:	Ivy, C. Warren	
ASSISTANT EXAMINER:	Covington, Raymond	
LEGAL REPRESENTATIVE:	Cushman, Darby & Cushman	
NUMBER OF CLAIMS:	7	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1363	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention concerns .alpha.,.alpha.-dialkylbenzyl derivatives of the formula I ##STR1## wherein Ar.sup.1 is phenyl or naphthyl, or a 10-membered bicyclic heterocyclic moiety containing one or two nitrogen heteroatoms and optionally containing a further heteroatom selected from nitrogen, oxygen and sulphur;

A.sup.1 is a direct link to X.sup.1 or is (1-3C)alkylene;

X.sup.1 is oxy, thio, sulphinyl or sulphonyl;

the phenylene group may optionally bear one or two substituents R.sup.3 ;

each of R.sup.1 and R.sup.2, which may be the same or different, is (1-4C)alkyl, (2-4C)alkenyl, (2-4C)alkynyl, fluoro-(1-4C)alkyl, phenyl or phenyl-(1-4C)alkyl, provided that both of R.sup.1 and R.sup.2 are not methyl or fluoromethyl; and

Q is cyano, amino, nitro, formyl, (1-4C)alkoxy, thiazolyl or (2-4C)alkanoyl;

or a pharmaceutically-acceptable salt thereof;

processes for their manufacture; pharmaceutical compositions containing them and their use as 5-lipoxygenase inhibitors.

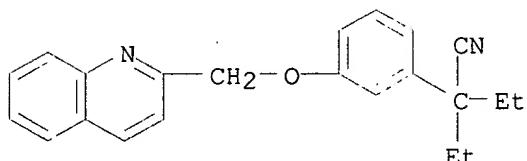
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 144828-64-8P

(prepn. of, as lipoxygenase inhibitor)

RN 144828-64-8 USPATFULL

CN Benzeneacetonitrile, .alpha.,.alpha.-diethyl-3-(2-quinolinylmethoxy)-(9CI) (CA INDEX NAME)



L19 ANSWER 81 OF 98 USPATFULL
 ACCESSION NUMBER: 94:15757 USPATFULL
 TITLE: Quinolylmethoxyphenylacetic acid acylamides and ureas
 INVENTOR(S): Raddatz, Siegfried, Cologne, Germany, Federal Republic of
 Mohrs, Klaus-Helmut, Wuppertal, Germany, Federal Republic of
 Matzke, Michael, Wuppertal, Germany, Federal Republic of
 Fruchtmann, Romanis, Cologne, Germany, Federal Republic of
 Hatzelmann, Armin, Konstanz, Germany, Federal Republic of
 Muller-Peddinghaus, Reiner, Bergisch Gladbach, Germany, Federal Republic of
 PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Leverkusen, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	DATE
PATENT INFORMATION:	US 5288733	19940222
APPLICATION INFO.:	US 1992-979756	19921123 (7)

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1991-4139750	19911203
DOCUMENT TYPE:	Utility	
PRIMARY EXAMINER:	Springer, David B.	
LEGAL REPRESENTATIVE:	Sprung Horn Kramer & Woods	
NUMBER OF CLAIMS:	8	
EXEMPLARY CLAIM:	1	
LINE COUNT:	426	

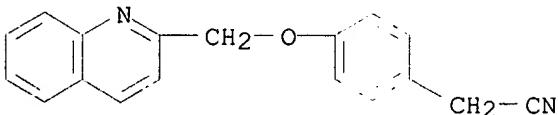
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Quinolylmethoxyphenylacetic acid acylamides and ureas can be prepared either by reacting corresponding carboxylic acids with amides or reacting corresponding amides with isocyanates or ureas. The quinolylmethoxyphenylacetic acid acylamides or ureas can be used as active compounds in medicaments.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 114497-66-4P
 (prepn. of, as intermediate for N-acyl-.alpha.-cycloalkyl[(quinolinyl)methoxy]benzeneacetamide (leukotriene antagonist))

RN 114497-66-4 USPATFULL
 CN Benzeneacetonitrile, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 82 OF 98 USPATFULL
 ACCESSION NUMBER: 93:67620 USPATFULL
 TITLE: Quinoxaliny derivatives suitable for use in leukotriene mediated disease
 INVENTOR(S): Crawley, Graham C., Macclesfield, United Kingdom

PATENT ASSIGNEE(S):

Edwards, Philip N., Bramhall, United Kingdom
 Girodeau, Jean-Marc M. M., Rilly la Montagne, France
 Imperial Chemical Industries PLC, London, United Kingdom (non-U.S. corporation)
 ICI Pharma, Cergy Cedex, France (non-U.S. corporation)

NUMBER DATE

PATENT INFORMATION:

US 5236919 19930817
 US 1992-881133 19920511 (7)

APPLICATION INFO.:

Division of Ser. No. US 1991-758491, filed on 5 Sep 1991, now patented, Pat. No. US 5134148 which is a continuation of Ser. No. US 1990-485875, filed on 27 Feb 1990, now abandoned

RELATED APPLN. INFO.:

NUMBER DATE

PRIORITY INFORMATION:

EP 1989-4005602 19890228
 EP 1989-4014935 19890531

DOCUMENT TYPE:

Utility

PRIMARY EXAMINER:

Bernhardt, Emily

LEGAL REPRESENTATIVE:

Cushman, Darby & Cushman

NUMBER OF CLAIMS:

8

EXEMPLARY CLAIM:

1

LINE COUNT:

2785

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention concerns a heterocycle of the formula I ##STR1## wherein Q is an optionally substituted 6-membered monocyclic or 10-membered bicyclic heterocyclic moiety containing one or two nitrogen atoms;

A is (1-6C)alkylene, (3-6C)alkenylene, (3-6C)alkynylene or cyclo(3-6C)alkylene;

X is oxy, thio, sulphinyl, sulphonyl or imino;

Ar is phenylene which may optionally bear one or two substituents or

Ar is an optionally substituted 6-membered heterocyclene moiety containing up to three nitrogen atoms;

R.sup.1 is hydrogen, (1-6C)alkyl, (3-6C)alkenyl, (3-6C)alkynyl, cyano-(1-4C)alkyl or (2-4C)alkanoyl, or optionally substituted benzoyl;

and R.sup.2 and R.sup.3 together form a group of the formula --A.sup.2 --X.sup.2 --A.sup.3 -- which, together with the carbon atom to which A.sup.2 and A.sup.3 are attached, defines a ring having 4 to 7 ring atoms, wherein A.sup.2 and A.sup.3, which may be the same or different, each is (1-4C)alkylene and X.sup.2 is oxy, thio, sulphinyl, sulphonyl or imino;

or a pharmaceutically-acceptable salt thereof..

The compounds of the invention are inhibitors of the enzyme 5-lipoxygenase.

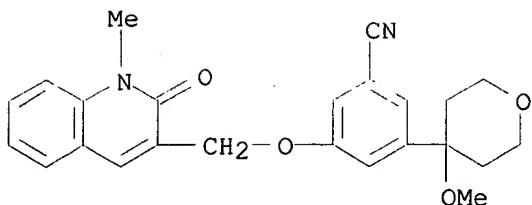
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 133739-06-7P

(prepn. of, as 5-lipoxygenase inhibitor)

RN 133739-06-7 USPATFULL

CN Benzonitrile, 3-[(1,2-dihydro-1-methyl-2-oxo-3-quinolinyl)methoxy]-5-(tetrahydro-4-methoxy-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)



L19 ANSWER 83 OF 98 USPATFULL

ACCESSION NUMBER: 93:63161 USPATFULL

TITLE: Quinoline ether alcanoic acids

INVENTOR(S): Zamboni, Robert, Point-Claire, Canada

Prasit, Petpiboon, Kirkland, Canada

Young, Robert N., Senneville, Canada

PATENT ASSIGNEE(S): Merck Frosst Canada, Inc., Kirkland, Canada (non-U.S. corporation)

NUMBER DATE

PATENT INFORMATION: US 5232916 19930803

APPLICATION INFO.: US 1991-783463 19911028 (7)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1989-453654, filed on 20 Dec 1989, now patented, Pat. No. US 5102881 which is a continuation-in-part of Ser. No. US 1988-211642, filed on 27 Jun 1988, now abandoned

DOCUMENT TYPE: Utility

PRIMARY EXAMINER: Shah, Mukund J.

ASSISTANT EXAMINER: Ward, E. C.

LEGAL REPRESENTATIVE: Lopez, Gabriel; DiPrima, Joseph F.

NUMBER OF CLAIMS: 2

EXEMPLARY CLAIM: 1

LINE COUNT: 1666

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

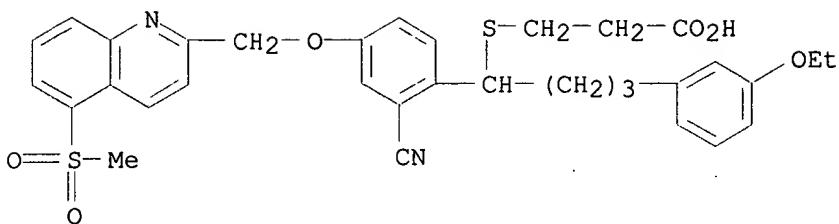
AB Compounds having the formula: ##STR1## are inhibitors of leukotriene biosynthesis. These compounds are useful as anti-asthmatic, anti-allergic, anti-inflammatory, and cytoprotective agents. They are also useful in treating diarrhea, hypertension, angina, platelet aggregation, cerebral spasm, premature labor, spontaneous abortion, dysmenorrhea, and migraine.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 127481-82-7P 127481-87-2P
(prepn. of, as leukotriene inhibitor)

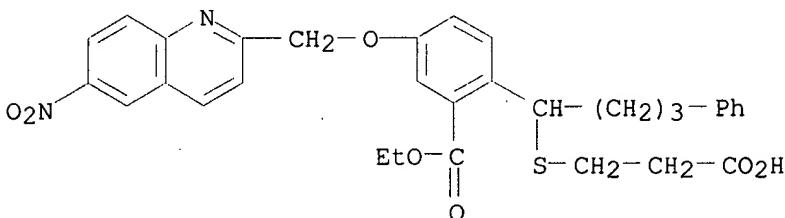
RN 127481-82-7 USPATFULL

CN Propanoic acid, 3-[[1-[2-cyano-4-[[5-(methylsulfonyl)-2-quinolinyl]methoxy]phenyl]-4-(3-ethoxyphenyl)butyl]thio]- (9CI) (CA INDEX NAME)



RN 127481-87-2 USPATFULL

CN Benzoic acid, 2-[1-[(2-carboxyethyl)thio]-4-phenylbutyl]-5-[(6-nitro-2-quinolinyl)methoxy]-, 1-ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 84 OF 98 USPATFULL

ACCESSION NUMBER: 93:3587 USPATFULL

TITLE: Substituted N-(quinolin-2-yl-methoxy)

benzyl-sulphonylurea leukotriene synthesis inhibitors
Mohrs, Klaus, Wuppertal, Germany, Federal Republic of
Raddatz, Siegfried, Cologne, Germany, Federal Republic
of
Fruchtmann, Romanis, Cologne, Germany, Federal Republic
of
Kohlsdorfer, Christian, Erftstadt, Germany, Federal
Republic of
Muller-Peddinghaus, Reiner, Bergisch-Gladbach, Germany,
Federal Republic of
Theisen-Popp, Pia, Bergisch-Gladbach, Germany, Federal
Republic of
Bayer Aktiengesellschaft, Leverkusen, Germany, Federal
Republic of (non-U.S. corporation)

PATENT ASSIGNEE(S):

NUMBER DATE

PATENT INFORMATION:
APPLICATION INFO.:-----
US 5179106 19930112
US 1990-558730 19900727 (7)

NUMBER DATE

PRIORITY INFORMATION: DE 1989-3927369 19890819
DOCUMENT TYPE: Utility
PRIMARY EXAMINER: Ivy, C. Warren
ASSISTANT EXAMINER: Covington, Raymond
LEGAL REPRESENTATIVE: Sprung Horn Kramer & Woods
NUMBER OF CLAIMS: 9
EXEMPLARY CLAIM: 1
LINE COUNT: 546
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Inhibiting leucotriene synthesis in patients with novel substituted N-(quinolin-2-yl-methoxy)benzylsulphonyl-urea of the formula ##STR1## in which A, B, D, E, G, K and M each independently is H, OH, halogen, CF₃, OCF₂, COOH, alkyl, alkoxy, alkoxy carbonyl or aryl,

R.sup.1 is alkyl or cycloalkyl,

R.sup.2 and R.sup.3 each independently is H or alkyl, and

R.sup.4 is alkyl, aryl or a heterocyclic radical,

and salts thereof.

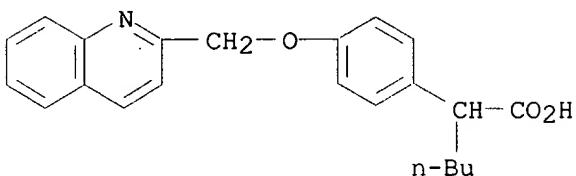
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 126960-82-5

(reaction of, in prepn. of lipoxygenase inhibitor)

RN 126960-82-5 USPATFULL

CN Benzeneacetic acid, .alpha.-butyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 85 OF 98 USPATFULL

ACCESSION NUMBER:

92:89194 USPATFULL

TITLE:

2-anilino phenylacetic acid derivatives as inhibitors of PLA₂ and lipoxygenase

INVENTOR(S):

Failli, Amedeo A., Princeton Junction, NJ, United States

Kreft, III, Anthony F., Trooper, PA, United States

PATENT ASSIGNEE(S):

Musser, John H., Yardley, PA, United States
American Home Products Corporation, New York, NY, United States (U.S. corporation)

NUMBER	DATE
US 5159085	19921027
US 1991-667732	19910404 (7)
Division of Ser. No. US 1989-428092, filed on 27 Oct 1989, now patented, Pat. No. US 5021576	

PATENT INFORMATION:

APPLICATION INFO.:

US 5159085 19921027

RELATED APPLN. INFO.:

US 1991-667732 19910404 (7)

Division of Ser. No. US 1989-428092, filed on 27 Oct

1989, now patented, Pat. No. US 5021576

DOCUMENT TYPE:

Utility

PRIMARY EXAMINER:

Lee, Mary C.

ASSISTANT EXAMINER:

McKane, Joseph K.

LEGAL REPRESENTATIVE:

Tarnowski, George

NUMBER OF CLAIMS:

3

EXEMPLARY CLAIM:

1

LINE COUNT:

1549

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB There are disclosed compounds of the formula ##STR1## wherein R is hydroxy, lower alkoxy or lower alkoxyamino;

R.sup.1 is hydrogen or A(CH₂).sub.n O--;

R.sup.2 is hydrogen or A(CH₂).sub.n O--, with the proviso that one

of R.sup.1 and R.sup.2 is hydrogen;

n is 1-2;

A is phenoxyethyl, phenoxyphenyl or a group having the formula ##STR2##
R.sup.3 is hydrogen, lower alkyl or phenyl; R.sup.4 is hydrogen or lower
alkyl; or

R.sup.3 and R.sup.4 taken together form a benzene ring;

R.sup.5 is hydrogen or lower alkyl;

R.sup.6 is hydrogen, halo or lower alkyl;

and the pharmacologically acceptable salts thereof, and their use in the treatment of inflammatory conditions, such as rheumatoid arthritis, ulcerative colitis, psoriasis and other immediate hypersensitivity reactions; in the treatment of leukotriene-mediated naso-bronchial obstructive air-passageway conditions, such as allergic rhinitis, allergic bronchial asthma and the like; and as gastric cytoprotective agents.

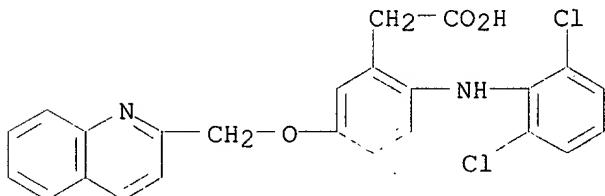
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 136099-33-7P 136099-34-8P 136099-35-9P
136099-37-1P 136099-49-5P

(prepn. of, as lipoxygenase inhibitor)

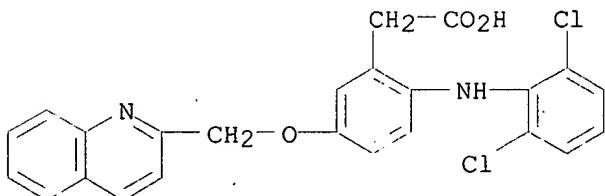
RN 136099-33-7 USPATFULL

CN Benzeneacetic acid, 2-[(2,6-dichlorophenyl)amino]-5-(2-quinolinylmethoxy)-(9CI) (CA INDEX NAME)



RN 136099-34-8 USPATFULL

CN Benzeneacetic acid, 2-[(2,6-dichlorophenyl)amino]-5-(2-quinolinylmethoxy)-, monosodium salt (9CI) (CA INDEX NAME)



● Na

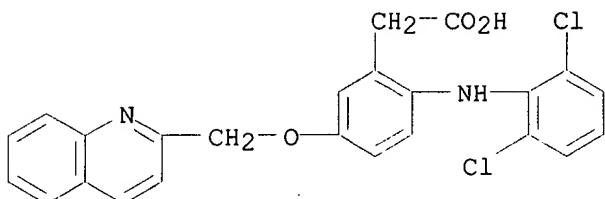
RN 136099-35-9 USPATFULL

CN Benzeneacetic acid, 2-[(2,6-dichlorophenyl)amino]-5-(2-quinolinylmethoxy)-

, compd. with 2-amino-2-(hydroxymethyl)-1,3-propanediol (1:1) (9CI) (CA INDEX NAME)

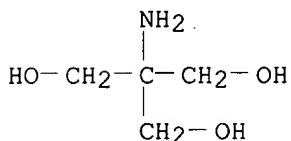
CM 1

CRN 136099-33-7
CMF C24 H18 Cl2 N2 O3

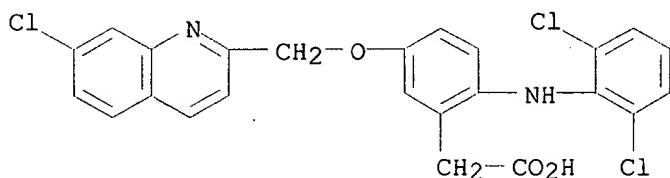


CM 2

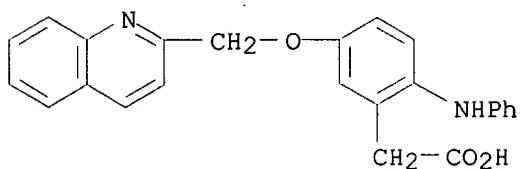
CRN 77-86-1
CMF C4 H11 N O3



RN 136099-37-1 USPATFULL
CN Benzeneacetic acid, 5-[(7-chloro-2-quinolinyl)methoxy]-2-[(2,6-dichlorophenyl)amino]- (9CI) (CA INDEX NAME)



RN 136099-49-5 USPATFULL
CN Benzeneacetic acid, 2-(phenylamino)-5-(2-quinolinylmethoxy)-, monosodium salt (9CI) (CA INDEX NAME)



● Na

L19 ANSWER 86 OF 98 USPATFULL
 ACCESSION NUMBER: 92:61922 USPATFULL
 TITLE: Heterocycles for use as inhibitors of leukotrienes
 INVENTOR(S): Crawley, Graham C., Macclesfield, United Kingdom
 Edwards, Philip N., Bramhall, United Kingdom
 Girodeau, Jean-Marc M. M., Rilly la Montagne, France
 PATENT ASSIGNEE(S): Imperial Chemical Industries PLC, London, England
 (non-U.S. corporation)
 ICI Pharma, Cedex, France (non-U.S. corporation)

	NUMBER	DATE
PATENT INFORMATION:	US 5134148	19920728
APPLICATION INFO.:	US 1991-758491	19910905 (7)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1990-485875, filed on 27 Feb 1990, now abandoned	

	NUMBER	DATE
PRIORITY INFORMATION:	EP 1989-400560	19890228
	EP 1989-401493	19890531
DOCUMENT TYPE:	Utility	
PRIMARY EXAMINER:	Shah, Mukund J.	
ASSISTANT EXAMINER:	Bernhardt, E.	
LEGAL REPRESENTATIVE:	Cushman, Darby & Cushman	
NUMBER OF CLAIMS:	15	
EXEMPLARY CLAIM:	1, 9	
LINE COUNT:	2913	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention concerns a heterocycle of the formula I ##STR1## wherein Q is an optionally substituted 6-membered monocyclic or 10-membered bicyclic heterocyclic moiety containing one or two nitrogen atoms;

A is (1-6C)alkylene, (3-6C)alkenylene, (3-6C)alkynylene or cyclo(3-6C)alkylene;

X is oxy, thio, sulphinyl, sulphonyl or imino;

Ar is phenylene which may optionally bear one or two substituents or Ar is an optionally substituted 6-membered heterocyclene moiety containing up to three nitrogen atoms;

R.sup.1 is hydrogen, (1-6C)alkyl, (3-6C)alkenyl, (3-6C)alkynyl, cyano-(1-4C)alkyl or (2-4C)alkanoyl, or optionally substituted benzoyl;

and R.sup.2 and R.sup.3 together form a group of the formula --A.sup.2 --X.sup.2 --A.sup.3 -- which, together with the carbon atom to which A.sup.2 and A.sup.3 are attached, defines a ring having 4 to 7 ring atoms, wherein A.sup.2 and A.sup.3, which may be the same or different, each is (1-4C)alkylene and X.sup.2 is oxy, thio, sulphinyl, sulphonyl or imino; or a pharmaceutically-acceptable salt thereof.

The compounds of the invention are inhibitors of the enzyme 5-lipoxygenase.

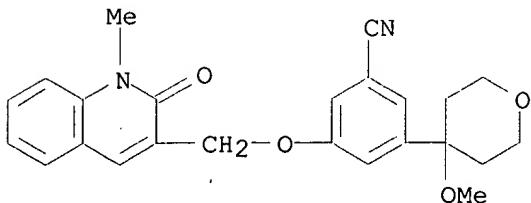
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 133739-06-7P

(prepn. of, as 5-lipoxygenase inhibitor)

RN 133739-06-7 USPATFULL

CN Benzonitrile, 3-[(1,2-dihydro-1-methyl-2-oxo-3-quinolinyl)methoxy]-5-(tetrahydro-4-methoxy-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)



L19 ANSWER 87 OF 98 USPATFULL

ACCESSION NUMBER:

92:34167 USPATFULL

TITLE:

Quinoline and pyridine compounds and inhibition of 5-lipoxygenases therewith

INVENTOR(S):

Nielsen, Ole Bent T., Vanlose, Denmark

Ahnfelt-Ronne, Ian, Fredensborg, Denmark

PATENT ASSIGNEE(S):

Leo Pharmaceutical Products Ltd., Ballerup, Denmark
(non-U.S. corporation)

NUMBER	DATE
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PATENT INFORMATION:

US 5109009 19920428

APPLICATION INFO.:

US 1990-581121 19900910 (7)

DISCLAIMER DATE:

20060502

RELATED APPLN. INFO.:

Continuation of Ser. No. US 1987-140277, filed on 31 Dec 1987, now abandoned which is a continuation-in-part of Ser. No. US 1986-834542, filed on 28 Feb 1986

NUMBER	DATE
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PRIORITY INFORMATION:

GB 1985-6094 19850308

GB 1985-25153 19851011

DOCUMENT TYPE:

Utility

PRIMARY EXAMINER:

Springer, David B.

LEGAL REPRESENTATIVE:

Cushman, Darby & Cushman

NUMBER OF CLAIMS:

13

EXEMPLARY CLAIM:

1,13

LINE COUNT:

1909

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to compounds of formula ##STR1## in which formula I X stands for O, S, ##STR2## R._{sub.1} and R._{sub.2} which can be the same or different stand for hydrogen, straight or branched, saturated or unsaturated, unsubstituted or substituted C._{sub.1} -C._{sub.8}-alkyl, aryl or for ar-C._{sub.1} -C._{sub.4}-alkyl, aryl and ar being unsubstituted or substituted phenyl; R._{sub.3}, R._{sub.4}, R._{sub.5}, and R._{sub.6} are the same or different and stand for hydrogen, halogen, pseudo halogen, cyano, nitro, amino, carboxy, hydroxy, alkyl, alkoxy; or R._{sub.5} and R._{sub.6} form an aromatic ring which is fused to the pyridyl ring, and which aromatic ring may substituted; provided that R._{sub.1} and R._{sub.2} cannot be hydrogen at the same time, and provided that when R._{sub.5} and R._{sub.6} both are chlorine and R._{sub.1} is hydrogen, then R._{sub.2} cannot be n-propyl; and salts and bioreversible derivatives thereof.

The compounds of formula I are useful in the human and veterinary therapy, as they exert specific 5-lipoxygenase inhibition.

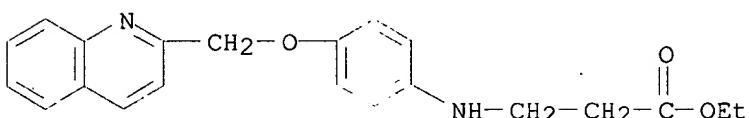
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 105349-96-0P 105349-97-1P

(prepn. of, as drug)

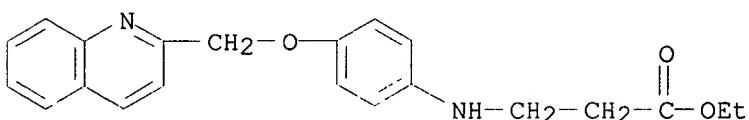
RN 105349-96-0 USPATFULL

CN .beta.-Alanine, N-[4-(2-quinolinylmethoxy)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 105349-97-1 USPATFULL

CN .beta.-Alanine, N-[4-(2-quinolinylmethoxy)phenyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

L19 ANSWER 88 OF 98 USPATFULL

ACCESSION NUMBER: 92:15018 USPATFULL

TITLE: Substituted (quinolin-2-yl-methoxy)phenyl-acyl-sulphonamides and -cyanamides, processes for their preparation and their use in medicaments

INVENTOR(S): Raddatz, Siegfried, Cologne, Germany, Federal Republic of
Mohrs, Klaus-Helmut, Wuppertal, Germany, Federal Republic of
Fruchtmann, Romanis, Cologne, Germany, Federal Republic of
Kohlsdorfer, Christian, Erftstadt, Germany, Federal Republic of
Theisen-Popp, Pia, Bergisch Gladbach, Germany, Federal Republic of
Muller-Peddinghaus, Reiner, Bergisch Gladbach, Germany, Federal Republic of
Bayer Aktiengesellschaft, Leverkusen, Germany, Federal Republic of (non-U.S. corporation)

NUMBER	DATE
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PATENT INFORMATION: US 5091392 19920225
APPLICATION INFO.: US 1990-517108 19900501 (7)

NUMBER	DATE
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PRIORITY INFORMATION: DE 1989-3916663 19890523
DOCUMENT TYPE: Utility
PRIMARY EXAMINER: Lee, Mary C.

ASSISTANT EXAMINER: Whittenbaugh, Robert C.
 LEGAL REPRESENTATIVE: Sprung, Horn, Kramer & Woods
 NUMBER OF CLAIMS: 17
 EXEMPLARY CLAIM: 1
 LINE COUNT: 914

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A quinolin-2-yl-methoxy)phenylacetyl-sulphonamide or -cyanamide of the formula ##STR1## in which A, B, D, E, F and G are identical or different and

represent hydrogen, hydroxyl, halogen, carboxyl, nitro, trifluoromethyl, trifluoromethoxy or a group of the formula --NH.sup.3 R.sup.4, in which

R.sup.3 and R.sup.4 are identical or different and denote hydrogen, straight-chain or branched alkyl having up to 8 carbon atoms or aryl having 6 to 10 carbon atoms,

represent straight-chain or branched alkyl, alkoxy or alkoxy carbonyl in each case having up to 12 carbon atoms,

represent aryl having 6 to 10 carbon atoms,

R.sup.1 represents cycloalkyl having 3 to 8 carbon atoms,

R.sup.2 represents hydrogen or

straight-chain or branched alkyl having up to 10 carbon atoms,

represents an alkali metal,

represents cycloalkyl having 3 to 8 carbon atoms,

X represents a group of the formula --SO.sub.2 --R.sup.5, in which

R.sup.5 denotes trifluoromethyl or straight-chain or branches alkyl having up to 10 carbon atoms, or

denotes aryl having 6 to 10 carbon atoms, or

X represents cyano

and physiologically acceptable salts thereof.

The (quinolin-2-yl-methoxy)phenylacylsulphonamide or -cyanamide is useful as an active compound in medicaments, particularly as a lipoxygenase inhibitor.

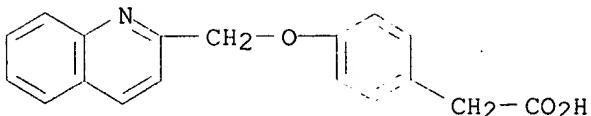
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 121289-78-9

(alkylation of, in prepn. of lipoxygenase inhibitors)

RN 121289-78-9 USPATFULL

CN Benzeneacetic acid, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



L19 ANSWER 89 OF 98 USPATFULL
 ACCESSION NUMBER: 91:44883 USPATFULL
 TITLE: 2-Anilino phenylacetic acid derivatives
 INVENTOR(S): Failli, Amedeo A., Princeton Junction, NJ, United States
 PATENT ASSIGNEE(S): Kreft, III, Anthony F., Trooper, PA, United States
 Musser, John H., Yardley, PA, United States
 American Home Products Corporation, New York, NY,
 United States (U.S. corporation)

	NUMBER	DATE
PATENT INFORMATION:	US 5021576	19910604
APPLICATION INFO.:	US 1989-428092	19891027 (7)
DOCUMENT TYPE:	Utility	
PRIMARY EXAMINER:	Springer, David B.	
LEGAL REPRESENTATIVE:	Tarnowski, George	
NUMBER OF CLAIMS:	13	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1568	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB There are disclosed compounds of the formula ##STR1## wherein R is hydroxy, lower alkoxy or lower alkoxyamino;

R.sup.1 is hydrogen or A(CH_{sub.2}).sub.n O--;

R.sup.2 is hydrogen or A(CH_{sub.2}).sub.n O--, with the proviso that one of R.sup.1 and R.sup.2 is A(CH_{sub.2}).sub.n O-- and the other is hydrogen;

n is 1-2;

A is phenoxyethyl, phenoxyphenyl or a group having the formula ##STR2## X is --N-- or ##STR3## Z is ##STR4## R.sup.3 is hydrogen, lower alkyl or phenyl; R.sup.4 is hydrogen or lower alkyl; or

R.sup.3 and R.sup.4 taken together form a benzene ring;

R.sup.5 is hydrogen or lower alkyl;

R.sup.6 is hydrogen, halo or lower alkyl;

and the pharmacologically acceptable salts thereof, and their use in the treatment of inflammatory conditions, such as rheumatoid arthritis, ulcerative colitis, psoriasis and other immediate hypersensitivity reactions; in the treatment of leukotriene-mediated naso-bronchial obstructive air-passageway conditions, such as allergic rhinitis, allergic bronchial asthma and the like; and as gastric cytoprotective agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

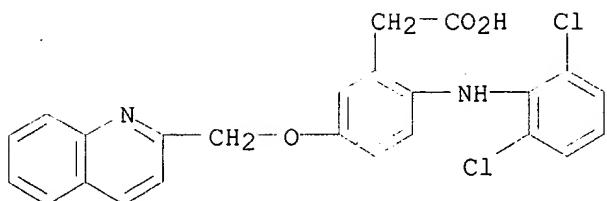
IT 136099-33-7P 136099-34-8P 136099-35-9P

136099-37-1P 136099-49-5P

(prepn. of, as lipoxygenase inhibitor)

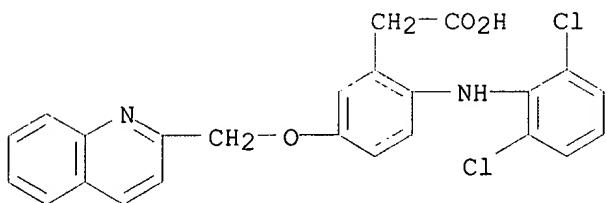
RN 136099-33-7 USPATFULL

CN Benzeneacetic acid, 2-[(2,6-dichlorophenyl)amino]-5-(2-quinolinylmethoxy)-(9CI) (CA INDEX NAME)



RN 136099-34-8 USPATFULL

CN Benzeneacetic acid, 2-[(2,6-dichlorophenyl)amino]-5-(2-quinolinylmethoxy)-, monosodium salt (9CI) (CA INDEX NAME)



● Na

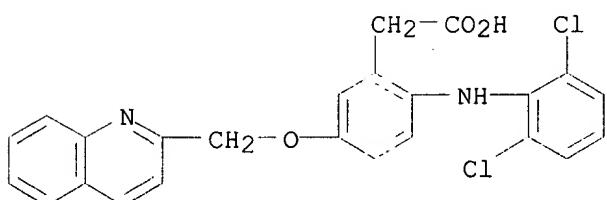
RN 136099-35-9 USPATFULL

CN Benzeneacetic acid, 2-[(2,6-dichlorophenyl)amino]-5-(2-quinolinylmethoxy)-, compd. with 2-amino-2-(hydroxymethyl)-1,3-propanediol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 136099-33-7

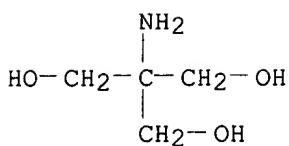
CMF C24 H18 Cl12 N2 O3



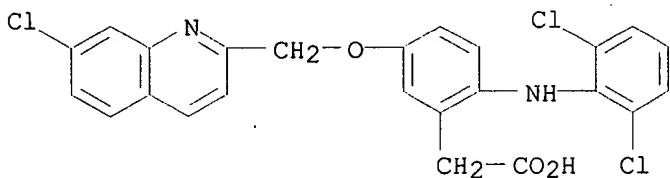
CM 2

CRN 77-86-1

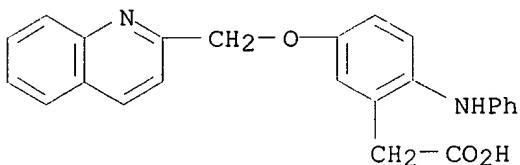
CMF C4 H11 N O3



RN 136099-37-1 USPATFULL
 CN Benzeneacetic acid, 5-[(7-chloro-2-quinolinyl)methoxy]-2-[(2,6-dichlorophenyl)amino] - (9CI) (CA INDEX NAME)



RN 136099-49-5 USPATFULL
 CN Benzeneacetic acid, 2-(phenylamino)-5-(2-quinolinylmethoxy)-, monosodium salt (9CI) (CA INDEX NAME)



● Na

L19 ANSWER 90 OF 98 USPATFULL
 ACCESSION NUMBER: 90:78336 USPATFULL
 TITLE: 2-substituted quinolines useful as leukotriene antagonists
 INVENTOR(S): Young, Robert N., Quebec, Canada
 Williams, Haydn W. R., Dollard des Ormeaux, Canada
 Leger, Serge, Dollard des Ormeaux, Canada
 Frenette, Richard, Laval, Canada
 Zamboni, Robert, Longueuil, Canada
 PATENT ASSIGNEE(S): Merck Frost Canada, Inc., Kirkland, Canada (non-U.S. corporation)

	NUMBER	DATE
PATENT INFORMATION:	US 4962203	19901009
APPLICATION INFO.:	US 1989-393436	19890814 (7)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1988-253993, filed on 5 Oct 1988, now abandoned which is a continuation of Ser. No. US 1986-874243, filed on 13 Jun 1986, now abandoned which is a continuation-in-part of Ser. No. US 1985-746204, filed on 18 Jun 1985, now abandoned	
DOCUMENT TYPE:	Utility	

PRIMARY EXAMINER: Daus, Donald G.
 LEGAL REPRESENTATIVE: Lopez, Gabriel; Pfeiffer, Hesna J.
 NUMBER OF CLAIMS: 9
 EXEMPLARY CLAIM: 1
 LINE COUNT: 1923

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

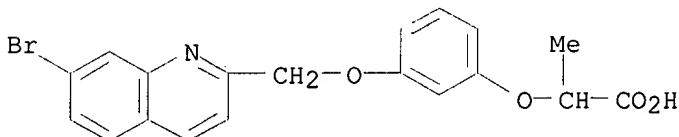
AB Compounds having the formula: ##STR1## are selective antagonists of leukotrienes of D_{sub}4. These compounds are useful as anti-asthmatic, anti-allergic, anti-inflammatory, and cytoprotective agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 108165-90-8P
 (prepn. of, as leukotriene D4 antagonist)

RN 108165-90-8 USPATFULL

CN Propanoic acid, 2-[3-[(7-bromo-2-quinolinyl)methoxy]phenoxy]- (9CI) (CA INDEX NAME)



L19 ANSWER 91 OF 98 USPATFULL

ACCESSION NUMBER:

90:42522 USPATFULL

TITLE:

.alpha.-substituted 4-(quinolin-2-yl-methoxy)phenylacetic acids and esters and lipoxygenase inhibition therewith

INVENTOR(S):

Mohrs, Klaus, Wuppertal, Germany, Federal Republic of
 Raddatz, Siegfried, Cologne, Germany, Federal Republic of
 Fruchtmann, Romanis, Cologne, Germany, Federal Republic of
 Kohlsdorfer, Christian, Erfstadt, Germany, Federal Republic of
 Muller-Peddinghaus, Reiner, Bergisch-Gladbach, Germany,
 Federal Republic of
 Bayer Aktiengesellschaft, Leverkusen, Germany, Federal Republic of (non-U.S. corporation)

PATENT ASSIGNEE(S):

NUMBER DATE

PATENT INFORMATION:

US 4929626 19900529

APPLICATION INFO.:

US 1989-336974 19890412 (7)

NUMBER DATE

PRIORITY INFORMATION:

DE 1988-3814504 19880429

DOCUMENT TYPE:

Utility

PRIMARY EXAMINER:

Springer, David B.

LEGAL REPRESENTATIVE:

Sprung Horn Kramer & Woods

NUMBER OF CLAIMS:

11

EXEMPLARY CLAIM:

1,10

LINE COUNT:

748

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB As lipoxygenase inhibitors, the novel .alpha.-substituted 4-(quinolin-2-yl-methoxy)phenyl-acetic acid and esters thereof of the formula ##STR1## in which R_{sup}1 - stands for hydrogen, alkyl,

arylalkyl, aryl or

- for a group of the formula

--CH₂.sub.2 --CO.sub.2 --R.sup.3,

where

R.sup.3 - stands for hydrogen, alkyl, arylalkyl or aryl and

R.sup.2 - stands for hydrogen, alkyl, alkenyl or alkinyl,
and salts thereof.

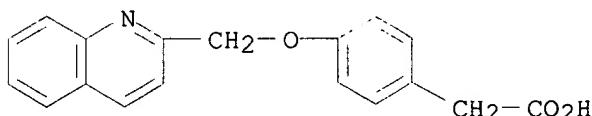
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 121289-78-9P 126960-79-0P 126960-80-3P
126960-81-4P 126960-82-5P 126960-83-6P
126960-84-7P 126960-85-8P 126960-86-9P
126960-87-0P 126960-88-1P 126960-95-0P
126960-96-1P

(prepn. of, as lipoxygenase inhibitor)

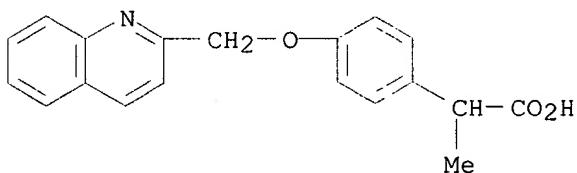
RN 121289-78-9 USPATFULL

CN Benzeneacetic acid, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



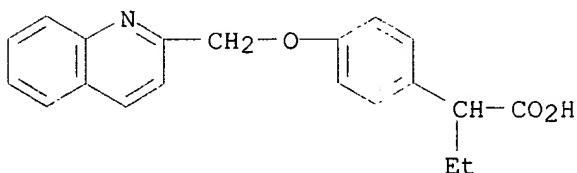
RN 126960-79-0 USPATFULL

CN Benzeneacetic acid, .alpha.-methyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



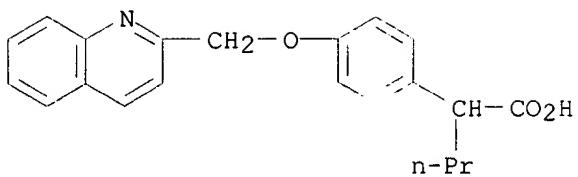
RN 126960-80-3 USPATFULL

CN Benzeneacetic acid, .alpha.-ethyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

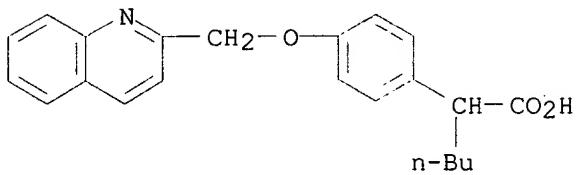


RN 126960-81-4 USPATFULL

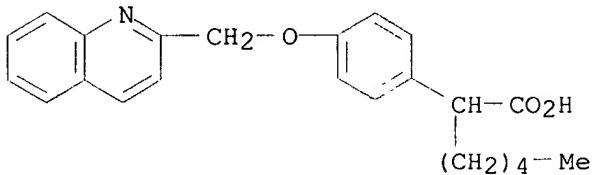
CN Benzeneacetic acid, .alpha.-propyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



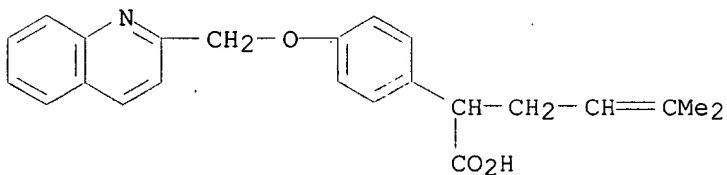
RN 126960-82-5 USPATFULL
 CN Benzeneacetic acid, .alpha.-butyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



RN 126960-83-6 USPATFULL
 CN Benzeneacetic acid, .alpha.-pentyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

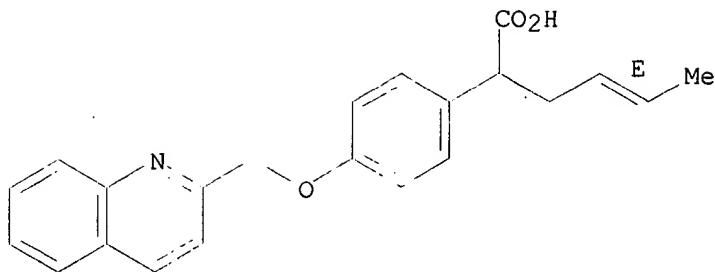


RN 126960-84-7 USPATFULL
 CN Benzeneacetic acid, .alpha.- (3-methyl-2-butenyl)-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



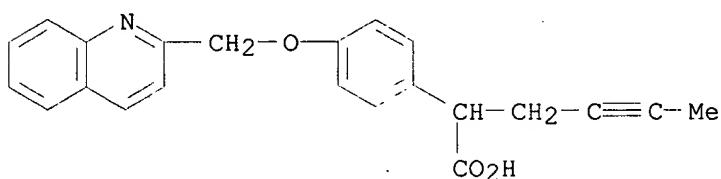
RN 126960-85-8 USPATFULL
 CN Benzeneacetic acid, .alpha.-2-butenyl-4-(2-quinolinylmethoxy)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



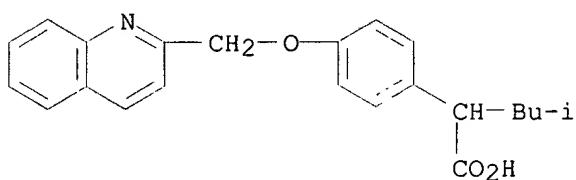
RN 126960-86-9 USPATFULL

CN Benzeneacetic acid, .alpha.-2-butynyl-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



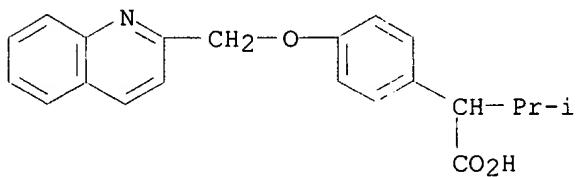
RN 126960-87-0 USPATFULL

CN Benzeneacetic acid, .alpha.-(2-methylpropyl)-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



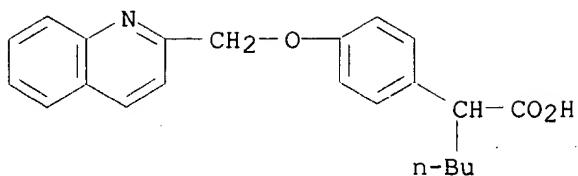
RN 126960-88-1 USPATFULL

CN Benzeneacetic acid, .alpha.-(1-methylethyl)-4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



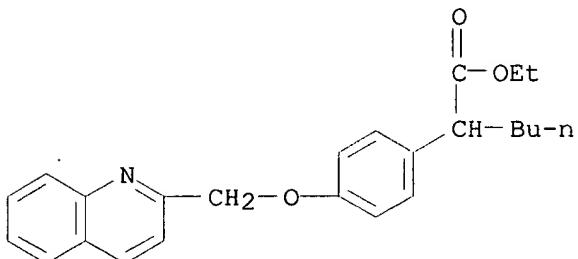
RN 126960-95-0 USPATFULL

CN Benzeneacetic acid, .alpha.-butyl-4-(2-quinolinylmethoxy)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 126960-96-1 USPATFULL
 CN Benzeneacetic acid, .alpha.-butyl-4-(2-quinolinylmethoxy)-, ethyl ester
 (9CI) (CA INDEX NAME)



L19 ANSWER 92 OF 98 USPATFULL
 ACCESSION NUMBER: 89:85920 USPATFULL
 TITLE: Quinolinyl ether or thioether tetrazoles as agents for
 the treatment of hypersensitive ailments
 INVENTOR(S): Youssefye, Raymond, Princeton Junction, NJ, United
 States
 Chakraborty, Utpal, Flemington, NJ, United States
 Magnien, Ernest, Norwich, VT, United States
 Desai, Rohit, Millwood, NY, United States
 Lee, Thomas D-Y, Scarsdale, NY, United States
 PATENT ASSIGNEE(S): Rorer Pharmaceutical Corporation, Fort Washington, PA,
 United States (U.S. corporation)

	NUMBER	DATE
PATENT INFORMATION:	US 4874769	19891017
	WO 8705510	19870924
APPLICATION INFO.:	US 1988-124800	19880105 (7)
	WO 1987-US560	19870311
		19880105 PCT 371 date
		19880105 PCT 102(e) date
DISCLAIMER DATE:	20031223	
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1986-839410, filed on 13 Mar 1986, now patented, Pat. No. US 4839369 And a continuation of Ser. No. US 1985-723781, filed on 16 Apr 1985, now patented, Pat. No. US 4631287 which is a continuation-in-part of Ser. No. US 1986-911028, filed on 24 Sep 1986	
DOCUMENT TYPE:	Utility	
PRIMARY EXAMINER:	Friedman, Stanley J.	

NUMBER OF CLAIMS: 6
 EXEMPLARY CLAIM: 1
 LINE COUNT: 936

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention relates to certain quinolyl ether and thioether tetrazoles and their use as valuable pharmaceutical agents for the treatment of hypersensitive ailments, particularly as lipoxygenase inhibitors and/or leukotriene antagonists possessing anti-inflammatory and antiallergic properties.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

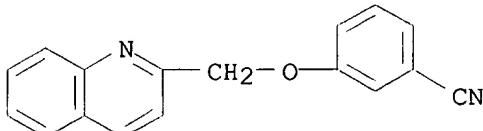
IT 107813-60-5 107813-64-9 107813-82-1

107813-84-3

(cyclization reaction of, with azide, tetrazole deriv. from)

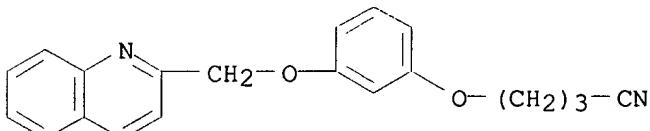
RN 107813-60-5 USPATFULL

CN Benzonitrile, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



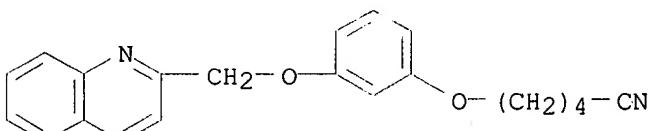
RN 107813-64-9 USPATFULL

CN Butanenitrile, 4-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



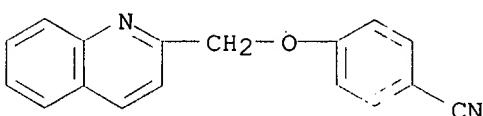
RN 107813-82-1 USPATFULL

CN Pentanenitrile, 5-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



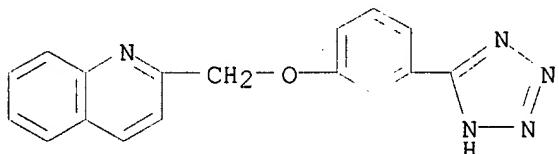
RN 107813-84-3 USPATFULL

CN Benzonitrile, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

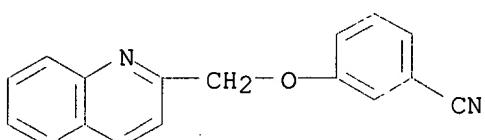


IT 107813-59-2P 107813-60-5P 107813-61-6P
 107813-63-8P 107813-64-9P 107813-71-8P
 107813-78-5P 107813-81-0P 107813-83-2P
 107813-85-4P

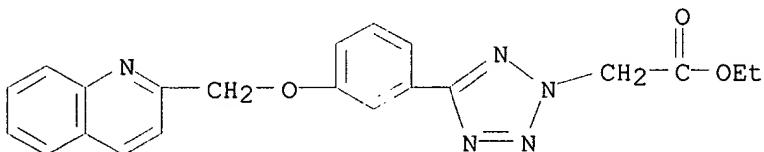
(prepn. of, as antiinflammatory and antiallergic)
 RN 107813-59-2 USPATFULL
 CN Quinoline, 2-[[3-(1H-tetrazol-5-yl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



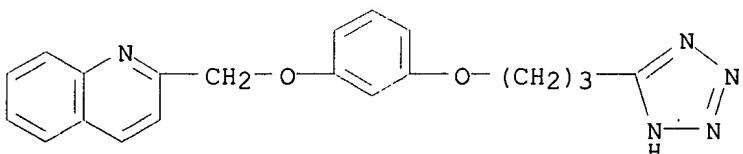
RN 107813-60-5 USPATFULL
 CN Benzonitrile, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



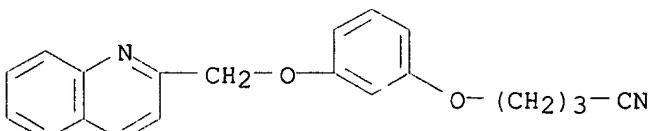
RN 107813-61-6 USPATFULL
 CN 2H-Tetrazole-2-acetic acid, 5-[3-(2-quinolinylmethoxy)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 107813-63-8 USPATFULL
 CN Quinoline, 2-[[3-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)

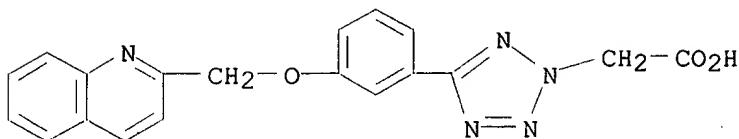


RN 107813-64-9 USPATFULL
 CN Butanenitrile, 4-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



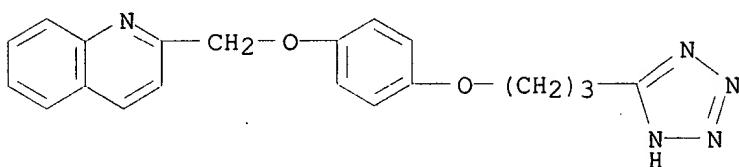
RN 107813-71-8 USPATFULL

CN 2H-Tetrazole-2-acetic acid, 5-[3-(2-quinolinylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



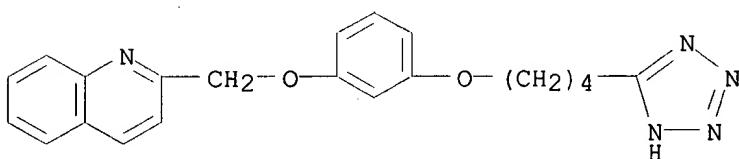
RN 107813-78-5 USPATFULL

CN Quinoline, 2-[[4-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



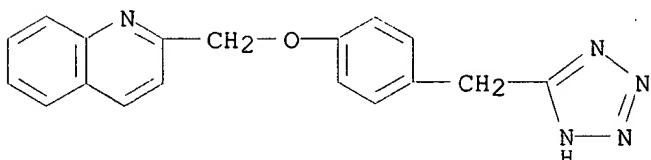
RN 107813-81-0 USPATFULL

CN Quinoline, 2-[[3-[4-(1H-tetrazol-5-yl)butoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



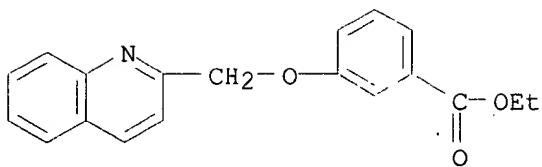
RN 107813-83-2 USPATFULL

CN Quinoline, 2-[[4-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



RN 107813-85-4 USPATFULL

CN Benzoic acid, 3-(2-quinolinylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 93 OF 98 USPATFULL

ACCESSION NUMBER:

89:78761 USPATFULL

TITLE:

Styryl tetrazoles and anti-allergic use thereof

INVENTOR(S):

Lee, Thomas D. Y., Scarsdale, NY, United States

PATENT ASSIGNEE(S):

Rorer Pharmaceutical Corporation, Fort Washington, PA,
United States (U.S. corporation)

NUMBER DATE

PATENT INFORMATION:	US 4868193	19890919
APPLICATION INFO.:	US 1986-911028	19860924 (6)

DOCUMENT TYPE:

Utility

PRIMARY EXAMINER:

Springer, David B.

NUMBER OF CLAIMS:

13

EXEMPLARY CLAIM:

5

LINE COUNT:

429

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds of the formula: ##STR1## and salts thereof, wherein,

Ar.sub.1 is phenyl, naphthyl or heterocyclic ring selected from the group consisting of quinolinyl, isoquinolinyl, pyridinyl, quinazolinyl, quinoxalinyl, benzimidazolyl, 1,2,3,4-tetrahydroquinolinyl or 1,2,3,4-tetrahydroquinoxalinyl;

R.sub.1 is hydrogen, alkyl, carboxy, carbalkoxy, alkanoyl, formyl, nitrilo, amino, halo, CF.sub.3, hydroxy, alkoxy, aralkoxy, aryloxy, nitro, sulfanyl, mercapto or alkylthio;

R.sub.2 is hydrogen, alkyl or Ar.sub.1,

n is 1 or 2

X is O, S, or NH--

Y is a bond, methylene or ethylene

R.sub.3 and R.sub.4 are independently hydrogen, alkyl or halo

Ar is phenyl, naphthyl, pyridyl or quinolinyl containing one or two substituents selected from the group consisting of hydrogen, alkoxy, aryloxy, hydroxy, hydroxylalkyl, alkyl, aryl, halo, CF.sub.3, carboxy, carbalkoxy, lower acyl, nitrilo, amino, nitro, mercapto or alkylthio possess anti-inflammatory and anti-allergic activities.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

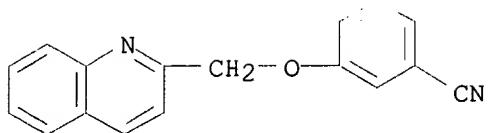
IT 107813-60-5 107813-64-9 107813-82-1

107813-84-3

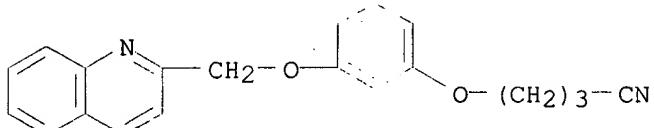
(cyclization reaction of, with azide, tetrazole deriv. from)

RN 107813-60-5 USPATFULL

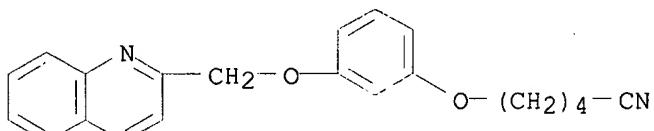
CN Benzonitrile, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



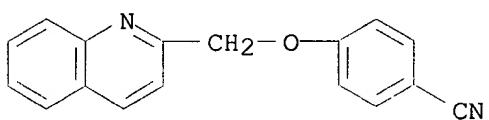
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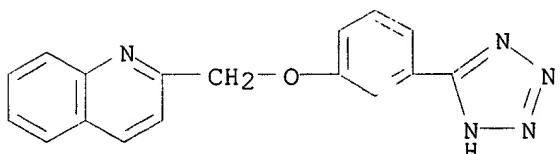
RN 107813-82-1 USPATFULL
 CN Pentanenitrile, 5-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



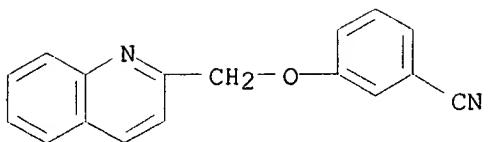
RN 107813-84-3 USPATFULL
 CN Benzonitrile, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



IT 107813-59-2P 107813-60-5P 107813-61-6P
 107813-63-8P 107813-64-9P 107813-71-8P
 107813-78-5P 107813-81-0P 107813-83-2P
 107813-85-4P
 (prepn. of, as antiinflammatory and antiallergic)
 RN 107813-59-2 USPATFULL
 CN Quinoline, 2-[[3-(1H-tetrazol-5-yl)phenoxy]methyl]- (9CI) (CA INDEX NAME)

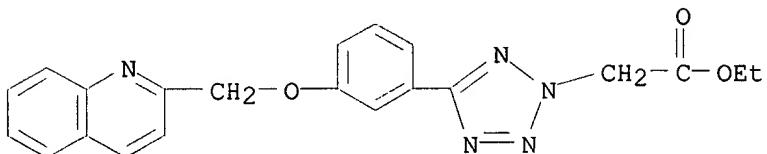


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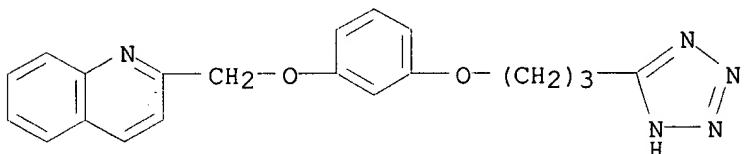
RN 107813-61-6 USPATFULL

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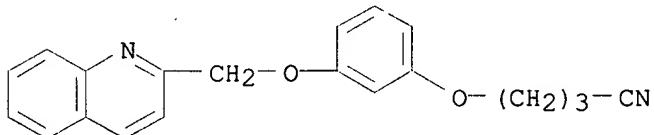
RN 107813-63-8 USPATFULL

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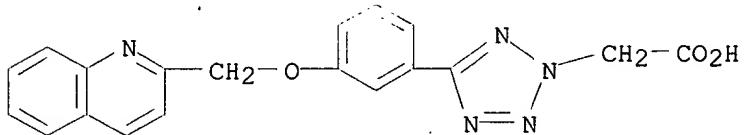
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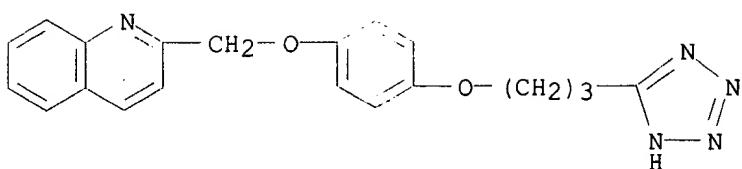
RN 107813-71-8 USPATFULL

CN 2H-Tetrazole-2-acetic acid, 5-[3-(2-quinolinylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

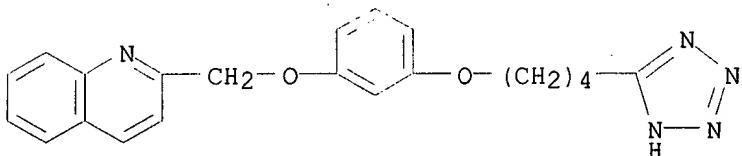


RN 107813-78-5 USPATFULL

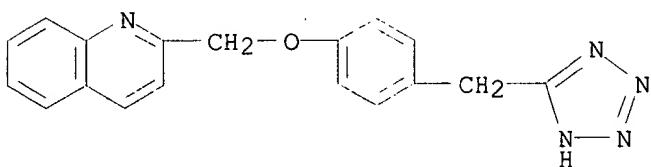
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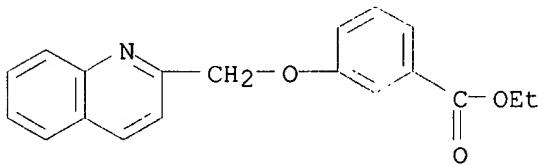
RN 107813-81-0 USPATFULL
 CN Quinoline, 2-[[3-[4-(1H-tetrazol-5-yl)butoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



RN 107813-83-2 USPATFULL
 CN Quinoline, 2-[[4-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



RN 107813-85-4 USPATFULL
 CN Benzoic acid, 3-(2-quinolinylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 94 OF 98 USPATFULL
 ACCESSION NUMBER: 89:47869 USPATFULL
 TITLE: Aryl and heteroaryl ethers as agents for the treatment of hypersensitive ailments
 INVENTOR(S): Youssefye, Raymond, Tarrytown, NY, United States
 Chakraborty, Utpal, Bedford Hills, NY, United States
 Magnien, Ernest, Flushing, NY, United States
 Desai, Rohit, Millwood, NY, United States
 PATENT ASSIGNEE(S): Rorer Pharmaceutical Corporation, Fort Washington, PA, United States (U.S. corporation)

PATENT INFORMATION:	NUMBER	DATE
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	US 4839369	19890613

APPLICATION INFO.: US 1986-839410 19860313 (6)
 RELATED APPLN. INFO.: Continuation of Ser. No. US 1985-723781, filed on 16
 Apr 1985, now abandoned
 DOCUMENT TYPE: Utility
 PRIMARY EXAMINER: Friedman, Stanley J.
 NUMBER OF CLAIMS: 17
 EXEMPLARY CLAIM: 1
 LINE COUNT: 962
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.
 AB Aryl and Heteroaryl Ethers are used for their anti-inflammatory and
 anti-allergic properties.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

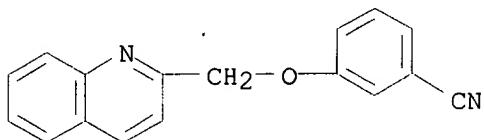
IT 107813-60-5 107813-64-9 107813-82-1

107813-84-3

(cyclization reaction of, with azide, tetrazole deriv. from)

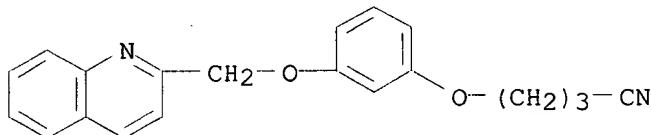
RN 107813-60-5 USPATFULL

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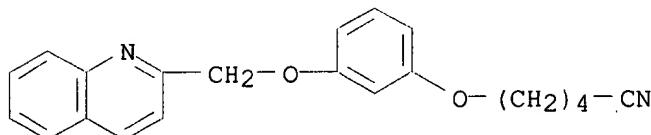
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CN Butanenitrile, 4-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



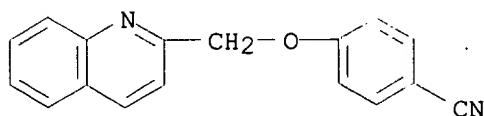
RN 107813-82-1 USPATFULL

CN Pentanenitrile, 5-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



RN 107813-84-3 USPATFULL

CN Benzonitrile, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



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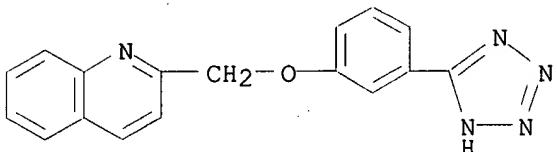
107813-78-5P 107813-81-0P 107813-83-2P

107813-85-4P

(prepn. of, as antiinflammatory and antiallergic)

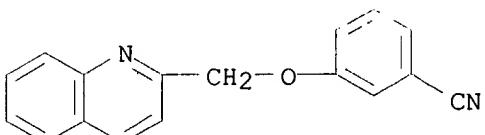
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CN Quinoline, 2-[[3-(1H-tetrazol-5-yl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



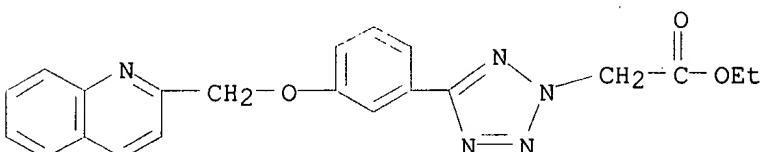
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CN Benzonitrile, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



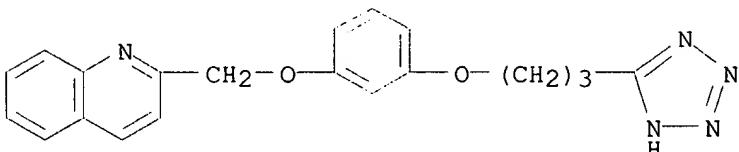
RN 107813-61-6 USPATFULL

CN 2H-Tetrazole-2-acetic acid, 5-[3-(2-quinolinylmethoxy)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



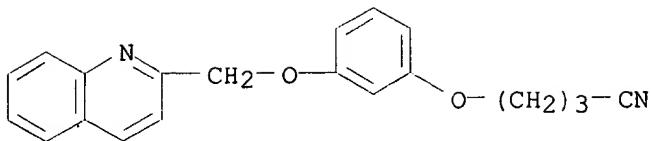
RN 107813-63-8 USPATFULL

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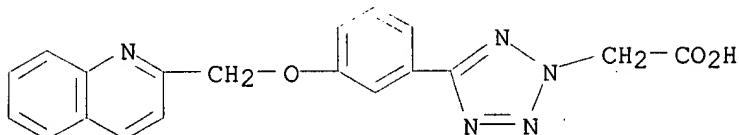
RN 107813-64-9 USPATFULL

CN Butanenitrile, 4-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



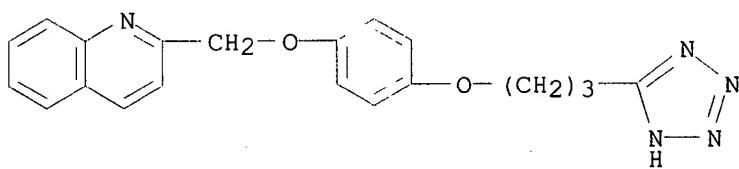
RN 107813-71-8 USPATFULL

CN 2H-Tetrazole-2-acetic acid, 5-[3-(2-quinolinylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



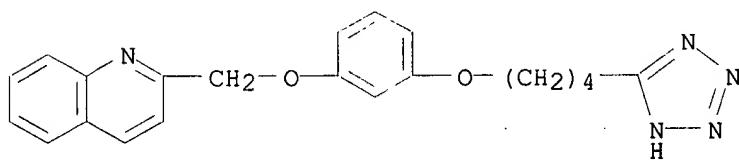
RN 107813-78-5 USPATFULL

CN Quinoline, 2-[[4-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



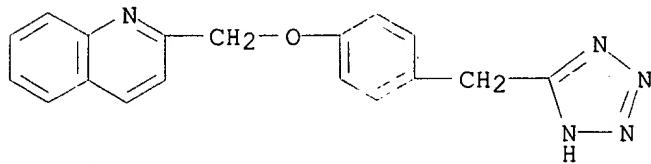
RN 107813-81-0 USPATFULL

CN Quinoline, 2-[[3-[4-(1H-tetrazol-5-yl)butoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



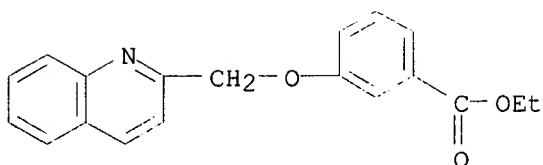
RN 107813-83-2 USPATFULL

CN Quinoline, 2-[[4-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



RN 107813-85-4 USPATFULL

CN Benzoic acid, 3-(2-quinolinylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 95 OF 98 USPATFULL

ACCESSION NUMBER: 89:34539 USPATFULL
 TITLE: Pyridyl and quinoline derivatives
 INVENTOR(S): Nielsen, Ole Bent Iv rmose, Vanlose, Denmark
 Ahnfelt-Ronne, Ian, Copenhagen, Denmark
 PATENT ASSIGNEE(S): Leo Pharmaceutical Products Ltd., Ballerup, Denmark
 (non-U.S. corporation)

	NUMBER	DATE
PATENT INFORMATION:	US 4826987	19890502
APPLICATION INFO.:	US 1986-834542	19860228 (6)

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1985-6094	19850308
	GB 1985-25153	19851011
DOCUMENT TYPE:	Utility	
PRIMARY EXAMINER:	Springer, David B.	
LEGAL REPRESENTATIVE:	Cushman, Darby & Cushman	
NUMBER OF CLAIMS:	12	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1626	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to compounds of formula I ##STR1## in which formula I X stands for O, S, ##STR2## R._{sub.1} and R._{sub.2} which can be the same or different stand for hydrogen, straight or branched, saturated or unsaturated, unsubstituted or substituted C._{sub.1}-C._{sub.8}-alkyl, or for ar-C._{sub.1}-C._{sub.4}-alkyl, aryl and ar being unsubstituted or substituted phenyl; R._{sub.3}, R._{sub.4}, R._{sub.5}, and R._{sub.6} are the same or different and stand for hydrogen, halogen, pseudo halogen, cyano, nitro, amino, carboxy, hydroxy, alkyl, alkoxy; or R._{sub.5} and R._{sub.6} form an aromatic ring which is fused to the pyridyl ring, and which aromatic ring may substituted; provided that R._{sub.1} and R._{sub.2} cannot be hydrogen at the same time, and provided that when R._{sub.5} and R._{sub.6} both are chlorine and R._{sub.1} is hydrogen, then R._{sub.2} cannot be n-propyl; and salts and bioreversible derivatives thereof.

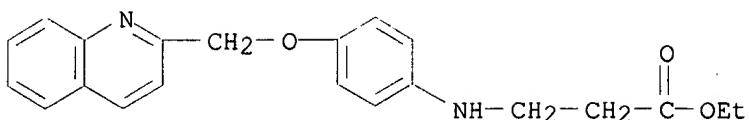
The compounds of formula I are useful in the human and veterinary therapy, as they exert specific 5-lipoxygenase inhibition.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 105349-96-0P 105349-97-1P
 (prepn. of, as drug)

RN 105349-96-0 USPATFULL

CN .beta.-Alanine, N-[4-(2-quinolinylmethoxy)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 105349-97-1 USPATFULL

CN .beta.-Alanine, N-[4-(2-quinolinylmethoxy)phenyl]-, ethyl ester,
dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

L19 ANSWER 96 OF 98 USPATFULL

ACCESSION NUMBER: 88:13242 USPATFULL

TITLE: Aryl and heteroaryl ethers as agents for the treatment
of hypersensitive ailments

INVENTOR(S): Chakraborty, Utpal R., Orangeburg, NY, United States

Youssefye, Raymond D., Tarrytown, NY, United States

PATENT ASSIGNEE(S): USV Pharmaceutical Corporation, Fort Washington, PA,
United States (U.S. corporation)

NUMBER DATE

PATENT INFORMATION: US 4728668 19880301

APPLICATION INFO.: US 1986-877570 19860623 (6)

RELATED APPLN. INFO.: Division of Ser. No. US 1985-723781, filed on 16 Apr
1985, now patented, Pat. No. US 4631287

DOCUMENT TYPE: Utility

PRIMARY EXAMINER: Friedman, Stanley J.

NUMBER OF CLAIMS: 6

EXEMPLARY CLAIM: 1

LINE COUNT: 551

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention is concerned with the therapeutic composition
comprising as an active ingredient a compound of the formula:(R.₁.sub.1) (R.₁.sub.2) Ar--Z--M--Ar.₁.sub.1 (R.₁.sub.3) (R.₁.sub.4) I

and salts thereof;

wherein

Ar and Ar.₁.sub.1 are independently phenyl, naphthyl or a nitrogen,
oxygen, or sulfur heterocyclic ring;Z is an alkylene chain containing from 1 to 5 carbon atoms in the
principal chain and up to a total of 10 carbon atoms;M is oxygen, sulfur, or NR.₁.sub.5 ;

R.sub.1, R.sub.2, R.sub.3 and R.sub.4 are each independently H, lower alkyl, lower alkoxy, hydroxy, halo, trihalomethyl, hydroxy lower alkyl, carboxy, formyl, aryl, aryloxy, benzyloxy, lower alkanoyl, carboxy lower alkoxy, nitro, amino, lower alkylamino, dilower alkylamino, cyano, lower alkanoyloxy, carbamoyl, lower alkoxy-alkoxy, carbo-lower alkoxy-alkoxy, or tetrahydropyranyl methyl; and

R.sub.5 is hydrogen or lower alkyl.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

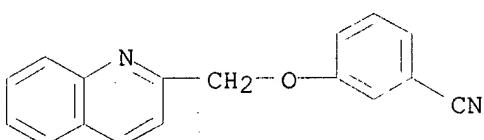
IT 107813-60-5 107813-64-9 107813-82-1

107813-84-3

(cyclization reaction of, with azide, tetrazole deriv. from)

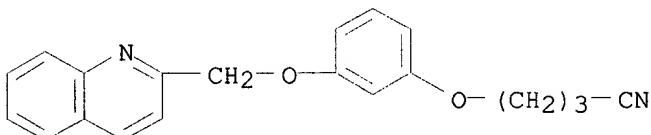
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CN Benzonitrile, 3-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



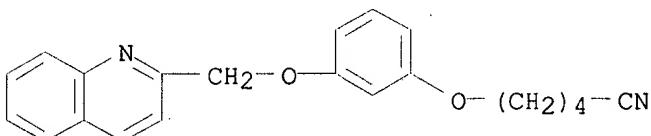
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CN Butanenitrile, 4-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



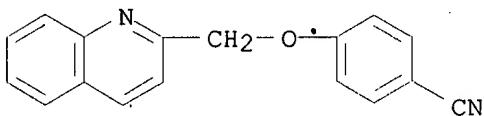
RN 107813-82-1 USPATFULL

CN Pentanenitrile, 5-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



RN 107813-84-3 USPATFULL

CN Benzonitrile, 4-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)



IT 107813-59-2P 107813-60-5P 107813-61-6P

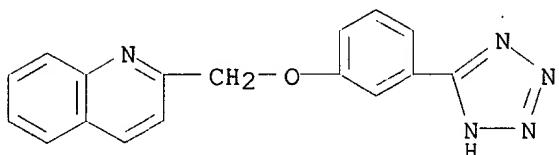
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107813-78-5P 107813-81-0P 107813-83-2P

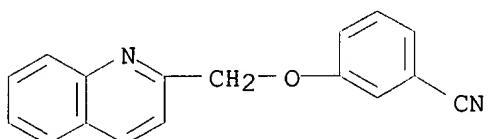
107813-85-4P

(prepn. of, as antiinflammatory and antiallergic)

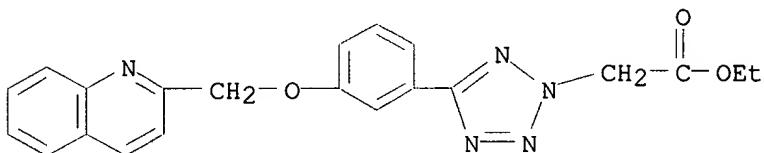
RN 107813-59-2 USPATFULL
 CN Quinoline, 2-[(3-(1H-tetrazol-5-yl)phenoxy)methyl]- (9CI) (CA INDEX NAME)



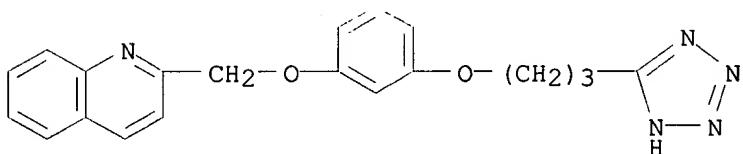
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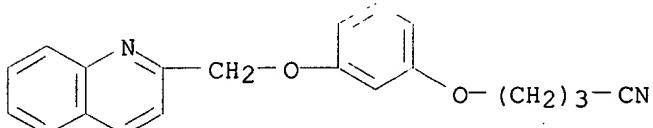
RN 107813-61-6 USPATFULL
 CN 2H-Tetrazole-2-acetic acid, 5-[3-(2-quinolinylmethoxy)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 107813-63-8 USPATFULL
 CN Quinoline, 2-[(3-(1H-tetrazol-5-yl)propoxy)phenoxy)methyl]- (9CI) (CA INDEX NAME)

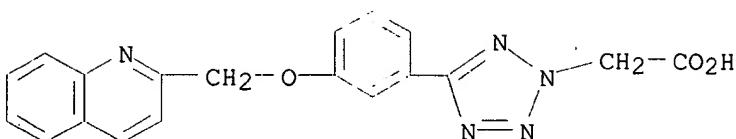


RN 107813-64-9 USPATFULL
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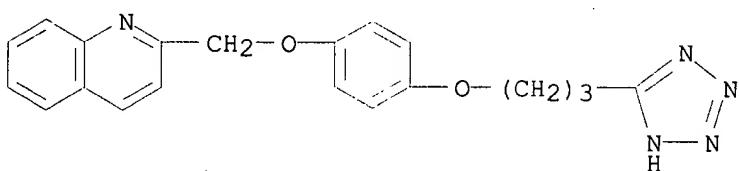
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CN 2H-Tetrazole-2-acetic acid, 5-[3-(2-quinolinylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



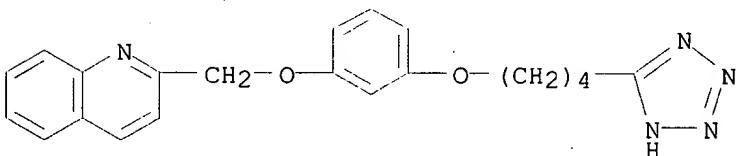
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CN Quinoline, 2-[[4-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



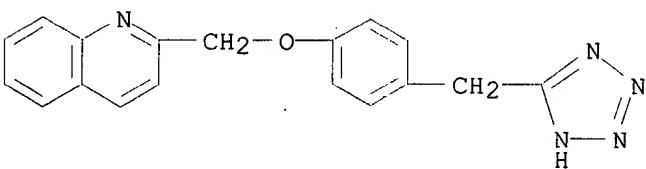
RN 107813-81-0 USPATFULL

CN Quinoline, 2-[[3-[4-(1H-tetrazol-5-yl)butoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



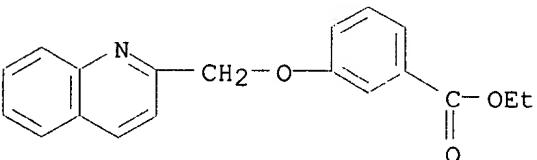
RN 107813-83-2 USPATFULL

CN Quinoline, 2-[[4-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



RN 107813-85-4 USPATFULL

CN Benzoic acid, 3-(2-quinolinylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 97 OF 98 USPATFULL
 ACCESSION NUMBER: 88:9933 USPATFULL
 TITLE: Aryl and heteroaryl ethers as agents for the treatment
 of hypersensitive ailments
 INVENTOR(S): Chakraborty, Utpal R., Orangeburg, NY, United States
 Youssefye, Raymond D., Tarrytown, NY, United States
 PATENT ASSIGNEE(S): USV Pharmaceutical Corporation, Fort Washington, PA,
 United States (U.S. corporation)

	NUMBER	DATE
PATENT INFORMATION:	US 4725619	19880216
APPLICATION INFO.:	US 1986-877568	19860623 (6)
RELATED APPLN. INFO.:	Division of Ser. No. US 1985-723781, filed on 16 Apr 1985, now patented, Pat. No. US 4631287	
DOCUMENT TYPE:	Utility	
PRIMARY EXAMINER:	Friedman, Stanley J.	
NUMBER OF CLAIMS:	6	
EXEMPLARY CLAIM:	1	
LINE COUNT:	549	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention is concerned with the therapeutic composition comprising as an active ingredient a compound of the formula:

(R.sub.1) (R.sub.2) Ar--Z--M--Ar.sub.1 (R.sub.3) (R.sub.4) I

and salts thereof; wherein

Ar and Ar.sub.1 are independently phenyl, naphthyl or a nitrogen, oxygen, or sulfur heterocyclic ring;

Z is an alkylene chain containing from 1 to 5 carbon atoms in the principal chain and up to a total of 10 carbon atoms;

M is oxygen, sulfur, or NR.sub.5 ;

R.sub.1, R.sub.2, R.sub.3 and R.sub.4 are each independently H, lower alkyl, lower alkoxy, hydroxy, halo, trihalomethyl, hydroxy lower alkyl, carboxy, formyl, aryl, aryloxy, benzyloxy, lower alkanoyl, carboxy lower alkoxy, nitro, amino, lower alkylamino, dilower alkylamino, cyano, lower alkanoyloxy, carbamoyl, lower alkoxy-alkoxy, carbo-lower-alkoxy-alkoxy, or tetrahydropyranylmethyl; and

R.sub.5 is hydrogen or lower alkyl.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

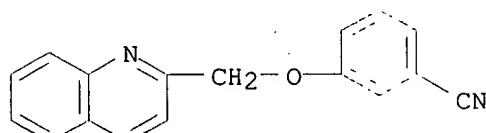
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107813-84-3

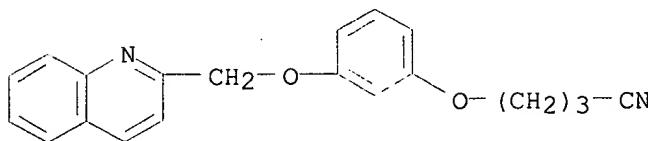
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RN 107813-60-5 USPATFULL

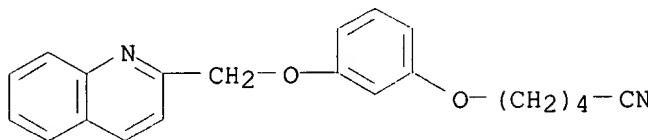
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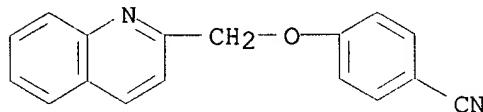
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 CN Butanenitrile, 4-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



RN 107813-82-1 USPATFULL
 CN Pentanenitrile, 5-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)

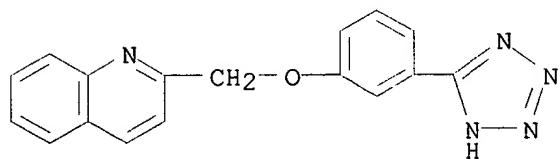


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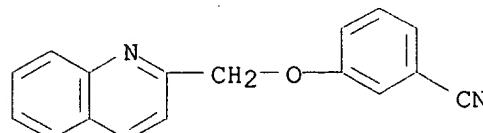


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 107813-85-4P
 (prepn. of, as antiinflammatory and antiallergic)

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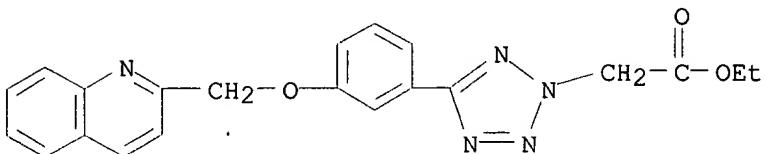


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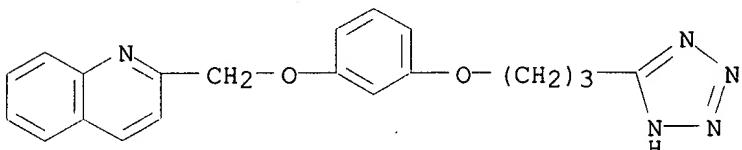
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CN 2H-Tetrazole-2-acetic acid, 5-[3-(2-quinolinylmethoxy)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



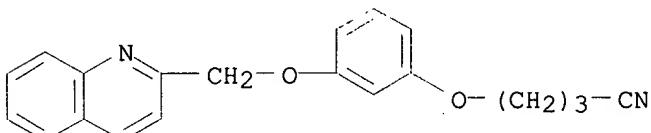
RN 107813-63-8 USPATFULL

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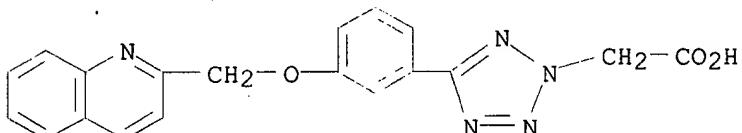
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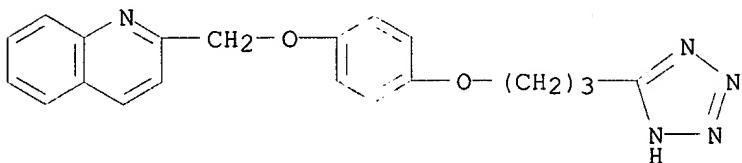
RN 107813-71-8 USPATFULL

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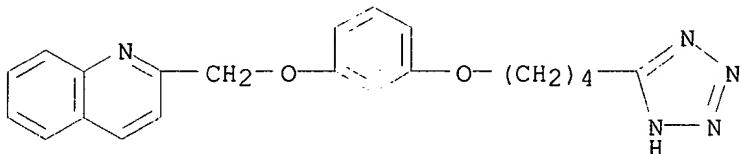


RN 107813-78-5 USPATFULL

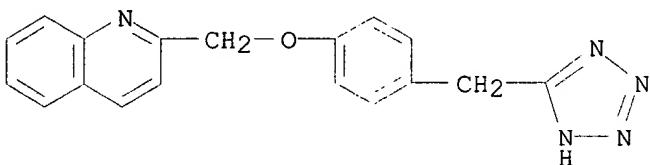
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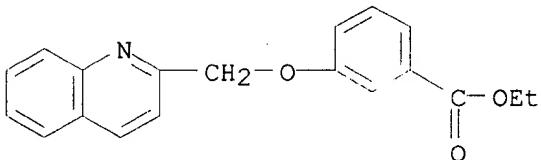
RN 107813-81-0 USPATFULL
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RN 107813-83-2 USPATFULL
 CN Quinoline, 2-[[4-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



RN 107813-85-4 USPATFULL
 CN Benzoic acid, 3-(2-quinolinylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 98 OF 98 USPATFULL
 ACCESSION NUMBER: 86:73248 USPATFULL
 TITLE: Aryl and heteroaryl ethers as agents for the treatment of hypersensitive ailments
 INVENTOR(S): Chakraborty, Utpal R., Orangeburg, NY, United States
 Youssefye, Raymond D., Tarrytown, NY, United States
 PATENT ASSIGNEE(S): USV Pharmaceutical Corp., Fort Washington, PA, United States (U.S. corporation)

NUMBER	DATE

PATENT INFORMATION:	US 4631287 19861223
APPLICATION INFO.:	US 1985-723781 19850416 (6)
DOCUMENT TYPE:	Utility
PRIMARY EXAMINER:	Friedman, Stanley J.
NUMBER OF CLAIMS:	55
EXEMPLARY CLAIM:	1
LINE COUNT:	717

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
 AB The present invention is concerned with the therapeutic composition comprising as an active ingredient a compound of the formula:

(R.sub.1) (R.sub.2)Ar--Z--M--Ar.sub.1 (R.sub.3) (R.sub.4) I

and salts thereof;

wherein

Ar and Ar.sub.1 are independently phenyl, naphthyl or a nitrogen, oxygen, or sulfur heterocyclic ring;

Z is an alkylene chain containing from 1 to 5 carbon atoms in the principal chain and up to a total of 10 carbon atoms;

M is oxygen, sulfur, or NR.sub.5 ;

R.sub.1, R.sub.2, R.sub.3 and R.sub.4 are each independently H, lower alkyl, lower alkoxy, hydroxy, halo, trihalomethyl, hydroxy lower alkyl, carboxy, formyl, aryl, aryloxy, benzyloxy, lower alkanoyl, carboxy lower alkoxy, nitro, amino, lower alkylamino, dilower alkylamino, cyano, lower alkanoyloxy, carbamoyl, lower alkoxy-alkoxy, carbo-lower-alkoxy-alkoxy, or tetrahydropyranyl methyl; and

R.sub.5 is hydrogen or lower alkyl.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

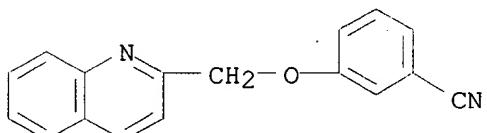
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107813-84-3

(cyclization reaction of, with azide, tetrazole deriv. from)

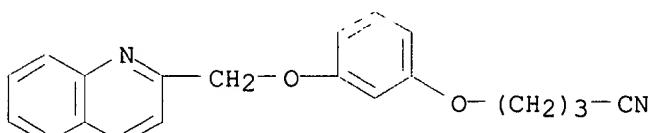
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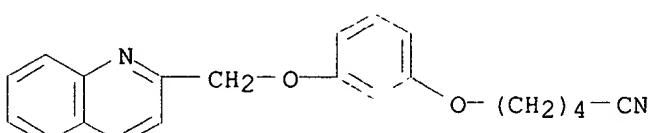
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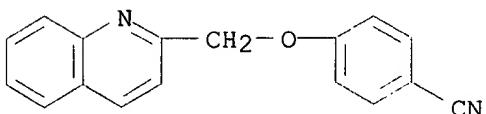


RN 107813-82-1 USPATFULL

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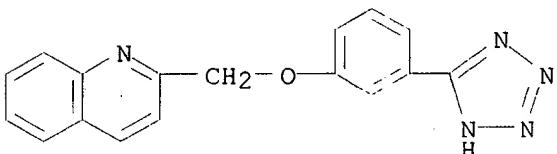


RN 107813-84-3 USPATFULL
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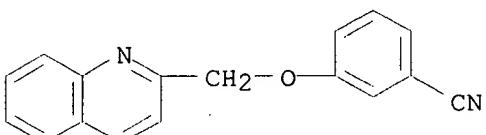


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 (prepn. of, as antiinflammatory and antiallergic)

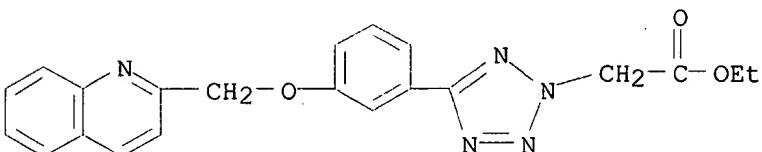
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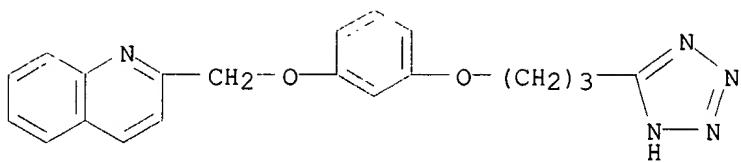
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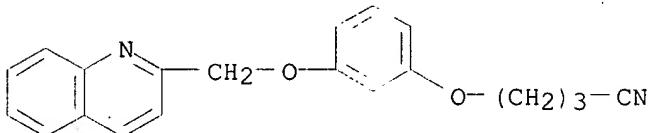
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 CN 2H-Tetrazole-2-acetic acid, 5-[3-(2-quinolinylmethoxy)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



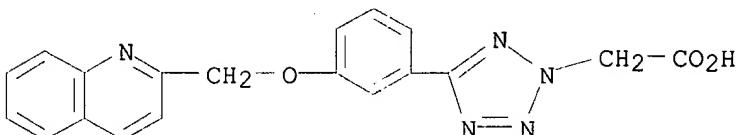
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 CN Quinoline, 2-[[3-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



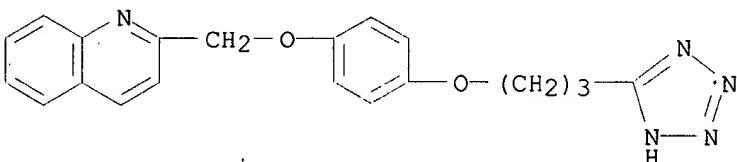
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 CN Butanenitrile, 4-[3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



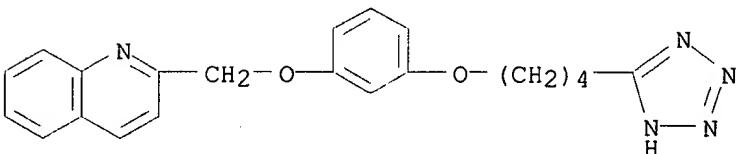
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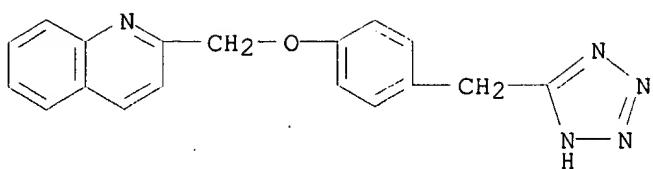
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 CN Quinoline, 2-[[4-[3-(1H-tetrazol-5-yl)propoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



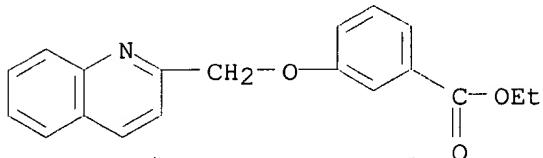
RN 107813-81-0 USPATFULL
 CN Quinoline, 2-[[3-[4-(1H-tetrazol-5-yl)butoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)



RN 107813-83-2 USPATFULL
 CN Quinoline, 2-[[4-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



RN 107813-85-4 USPATFULL
 CN Benzoic acid, 3-(2-quinolinylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



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FILE COVERS 1907-1966
 FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

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